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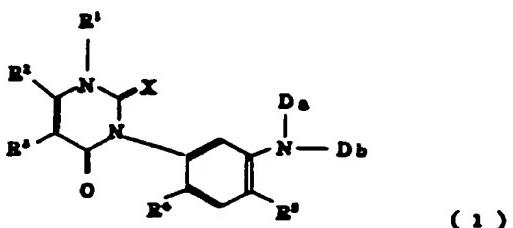
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④ URACIL DERIVATIVE.

⑤ Compounds represented by general formula (1), a process for the production thereof, a method of killing weeds or controlling their growth therewith, and a herbicide containing the same as the active ingredient. In formula (1), R¹ represents H, C₁ to C₃ alkyl or C₁ to C₃ haloalkyl; R² represents C₁ to C₆ haloalkyl; R³ represents H, C₁ to C₆ alkyl, C₁ to C₆ haloalkyl, CH₂OH, halogen or nitro; R⁴ represents H or halogen; R⁵ represents H, halogen, nitro or cyano; X represents O or S; and Da and Db represent each independently H, C₁ to C₈ alkyl, C₁ to C₆ haloalkyl, C₃ to C₈ cycloalkyl, C₂ to C₈ alkenyl, etc., provided that the cases where both of Da and Db represent H, etc., are excluded. These compounds scarcely inflict chemical injury on crop and have a high herbicidal effect on various weeds even in small doses.



<Technical Field>

This invention relates to a novel uracil derivative and a selective herbicide containing the derivative as an effective ingredient.

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<Prior Arts>

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Many herbicides have heretofore been practically used in order to protect sugar important crops such as rice, soybean, wheat, corn, cotton, sugar, beet, etc. from weeds and to enhance productivities of these important crops. These agents may be roughly classified into three classes depending on application loci, i.e. the agent for upland field, the agent for paddy field and the agent for non-cultivated field. Further, each class can be classified to a soil incorporation treatment type, a pre-emergence soil treatment type, a post-emergence treatment (foliar treatment) type, etc., depending on methods for application of the agents.

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In recent years, accompanying with a worldwide increase in population, it is clear that the productivities of the important crops have influence to food economy in respective countries. Accompanying with these changes, it is unavoidable that the form of conventional agriculture will be changed toward the 21th century. Actually, to provide for persons engaged in agriculture a herbicide which can economically and efficiently kill or control the weeds which may be obstacles to crop cultivation becomes increasingly necessary than before.

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Such a herbicide is required to have the following requirements:

(1) it has a high herbicidal effect with a low amount (particularly in view of environmental protection, it is necessary to kill the weeds in the applicatin amount as low as possible);

(2) it has a suitable residual effect (in recent years, it has become a problem that the agent remaining in soil for a long period damages succeeding crops, thereby it is important to show the suitable residual effect after application);

(3) it promptly kills the weeds after application (after a short period from a chemical treatment, next crops can be seeded and transplanted).

(4) a frequency of its application is fewer (for a person engaged in agriculture, it is important to make the frequency of a complicated work for controlling the weeds as fewer as possible).

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(5) its spectrum of controlling the weeds is wide (it is desirable that the agent is capable of controlling weed species of different characteristics such as broad leaf weeds, grassy weeds, perennial weeds, etc. with the single agent).

(6) it is applied according various methods (more potent herbicidal effects can be obtained by combining a soil treatment effect, a foliar treatment effect, etc.).

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(7) it shows no damage which causes problems against the crops (the agent which can selectively kill only weeds is preferred in the cultivated field where the crops and the weeds mixedly exist).

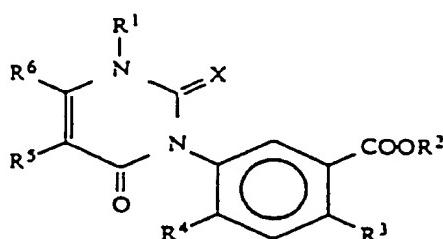
On the other hand, it has been known that specific compounds of uracil derivative show herbicidal activities. For example, bromacil has been described in The Pesticide Manual, 8th Edition, p. 89, The British Crop Protection Council (1987), etc. as one of the herbicide having an uracil structure.

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Further, it has also been known that the following aryl uracil derivatives have the herbicidal activities.

For example, the compound represented by the formula:

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in which

R¹ represents hydrogen, C₁-₄ alkyl, C₂-₄ alkenyl, C₂-₄ alkynyl, C₂-₆ alkoxyalkyl, formyl, C₂-₆ alkanoyl or C₂-₆ alkoxy carbonyl;

R² represents hydrogen, C₁-₆ alkyl, C₂-₄ alkenyl, C₂-₄ alkynyl or C₂-₆ alkoxyalkyl,

R³ represents halogen or nitro,

R⁴ represents hydrogen or halogen,

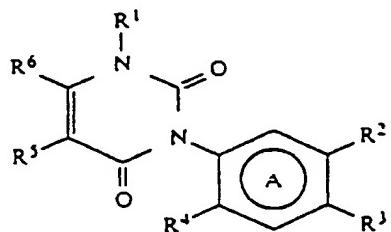
R⁵ represents hydrogen, halogen, C₁₋₄ alkyl, chloromethyl, bromomethyl, hydroxymethyl, (C₁₋₅)alkoxy)methyl, (C₁₋₅ alkylthio)methyl, cyano, nitro or thiocyanato,

5 R⁶ represents hydrogen, C₁₋₄ alkyl or C₁₋₄ fluoroalkyl, and alternatively R⁵ and R⁶ represent tri- or tetramethylene in which one methylene group may be replaced by oxygen or sulfur and which may be optionally substituted by C₁₋₃ alkyl, and

X represents oxygen or sulfur,

provided that (I) when R⁵ represents fluorine, R⁶ necessarily represents C₁₋₄ alkyl or C₁₋₄ fluoroalkyl, and (II) when R⁵ represents cyano, R⁶ necessarily represents hydrogen or C₁₋₄ alkyl and X necessarily represents oxygen, and a salt of the compound wherein R¹ and/or R² represent hydrogen [Japanese Patent Application Laid Open No. 61-221178 (= U.S. Patent No. 4,746,352, U.S. Patent No. 4,760,163)]:

10 a compound of the formula:



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in which

R¹ represents hydrogen, C₁₋₄ alkyl, C₁₋₄ haloalkyl, formyl or C₂₋₆ alkanoyl,

R² represents an ether group, or a residue containing (thio)carbonyloxy group or sulfonyloxy group, the residue being directly bonded to a benzene ring A through oxygen atom,

30 R³ represents halogen or cyano,

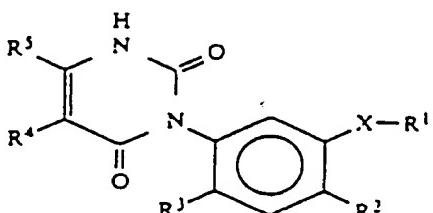
R⁴ represents hydrogen or halogen,

R⁵ represents hydrogen, halogen or C₁₋₄ alkyl,

R⁶ represents C₁₋₄ alkyl or C₁₋₄ haloalkyl, and alternatively R⁵ and R⁶ represent tri- or tetramethylene, and a salt of the compound wherein R¹ represents hydrogen [Japanese Patent Application Laid Open No.

35 63-41466 (= U.S. Patent No. 4,859,229)]:

C₁₋₄ alkyl, C₂₋₄ alkenyl and C₃ or C₄ alkynyl enol ethers of 3-aryluracil represented by the following formula:

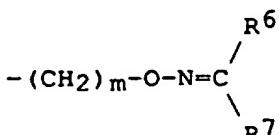


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50 in which

R¹ represents C₁₋₈ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₂₋₈ alkoxyalkyl or



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group,

R² represents halogen or cyano,

R³ represents hydrogen or halogen,

R⁴ represents hydrogen, fluorine or C₁–C₄ alkyl,

R⁵ represents C₁–C₄ alkyl or C₁–C₄ haloalkyl,

and alternatively R⁴ and R⁵ represent tri or tetramethylene,

R⁶ and R⁷ each independently represents C₁–C₄ alkyl,

m is 1 or 2, and

X represents O, O-C(O), O-C(O)-O or C(O)-O [Japanese Patent Application Laid Open No. 63-107967

(= U.S. Patent No. 4,812,164):

compounds disclosed as an aryluracil derivative in Japanese Patent Application Laid Open No. 54-147923 (= U.S. Patent No. 4,266,056, U.S. Patent No. 4,338,318), WO/89-03825, U.S. Patent No. 4,927,451, U.S. Patent No. 4,941,909, etc. may be mentioned.

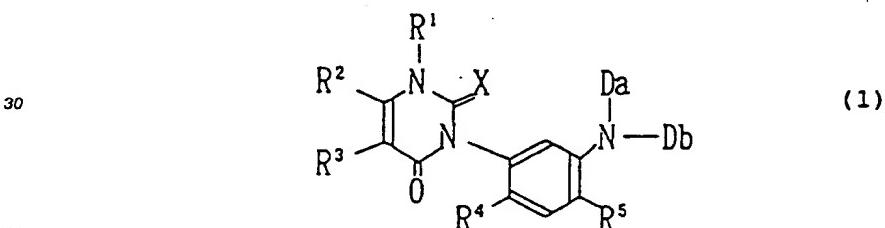
There have been desired to provide an aryl uracil compound which promptly show high effect against many kinds of weeds including perennial weeds in an extremely low application amount and have the suitable residual effect with substantially no damage against important crops according to either of the soil treatment method or the foliar treatment method.

(Disclosure of the Invention)

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We have been studied in order to develop a herbicide showing selectivity against important crops, having excellent herbicidal effect against many weeds in a low application amount, and having both of soil treatment and foliar treatment effects. And as the result, we have found an uracil derivative (hereinafter referred to the compound of the present invention) represented by the formula (1):

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in which

R¹ represents a hydrogen atom, a C₁ to C₃ alkyl group or a C₁ to C₃ haloalkyl group;

R² represents a C₁ to C₆ haloalkyl group;

40 R³ represents a hydrogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ haloalkyl group, a hydroxymethyl group, a halogen atom or a nitro group;

R⁴ represents a hydrogen atom or a halogen atom;

R⁵ represents a hydrogen atom, a halogen atom, a nitro group or a cyano group;

X represents an oxygen atom or a sulfur atom;

45 D_a and D_b each independently represents a hydrogen atom, a C₁ to C₈ alkyl group, a C₁ to C₆ haloalkyl group, a C₃ to C₈ cycloalkyl group, a C₃ to C₈ cycloalkyl(C₁ to C₄)alkyl group, a C₂ to C₈ alkenyl group, a C₃ to C₈ alkynyl group, a C₂ to C₈ haloalkenyl group, a C₃ to C₈ haloalkynyl group, a C₃ to C₈ halocycloalkyl group, a C₃ to C₈ halocycloalkyl(C₁ to C₄)alkyl group, CH(OH)CCl₃.

Ar in which Ar represents a phenyl group or a naphthyl group each of which may be substituted by one or 50 two or more substituents,

-L¹-Ar in which Ar has the same meaning as above, and L¹ represents a C₁ to C₆ alkyl chain, a C₂ to C₆ alkenyl chain or a C₂ to C₆ alkynyl chain each of which may be branched,

Het in which Het represents a 5-membered heterocyclic residue, a 6-membered heterocyclic residue or a fused heterocyclic residue each of which contains, as a ring constituent atom, at least one atom selected from a sulfur atom, an oxygen atom and a nitrogen atom and may be substituted by one or two or more substituents,

-L¹-Het in which Het and L¹ have the same meanings as above,

-L²-D⁵² in which D⁵² represents a hydrogen atom, a C₁ to C₂₀ alkyl group, a C₁ to C₂₀ haloalkyl group, a C₃

- to C₈ cycloalkyl group, a C₃ to C₈ cycloalkyl(C₁ to C₄)alkyl group, a C₂ to C₈ alkenyl group, a C₃ to C₈ alkynyl group, a C₂ to C₈ haloalkenyl group, a C₃ to C₈ haloalkynyl group, a C₃ to C₈ halocycloalkyl group, a C₃ to C₈ halocycloalkyl(C₁ to C₄)alkyl group, a C₁ to C₄ alkoxy(C₁ to C₄)alkyl group, Ar (Ar has the same meaning as above), -L¹-Ar group (Ar and L¹ have the same meanings as above), Het (Het has the same meaning as above) or -L¹-Het (Het and L¹ have the same meanings as above), and L² represents -C(O)-, -C(S)-, -SO₂-, -S(O)-, -S-, -O-, -C(O)O-, -C(S)O-, -C(S)S- or -C(O)C(O)O-, -L³-O-D⁵² in which D⁵² has the same meaning as above, and L³ represents a C₁ to C₆ alkyl chain, a C₂ to C₆ alkenyl chain or a C₂ to C₆ alkynyl chain each of which may be substituted by one or two or more substituents and may be branched, and the substituent may be selected from a C₁ to C₄ alkoxy carbonyl group, a C₁ to C₄ haloalkyl group, a C₁ to C₄ alkoxy(C₁ to C₄)alkyl group, a C₁ to C₄ alkylthio group, Ar (Ar has the same meaning as above), -L¹-Ar (Ar and L¹ have the same meanings as above), Het (Het has the same meaning as above) and -L¹-Het (Het and L¹ have the same meanings as above), -L³-S-D⁵² in which D⁵² and L³ have the same meanings as above, -L³-C(O)-D⁵² in which D⁵² and L³ have the same meanings as above,
- 15 -L³-C(S)-D⁵² in which D⁵² and L³ have the same meanings as above, -L³-C(O)O-D⁵² in which D⁵² and L³ have the same meanings as above, -O-L³-C(O)O-D⁵² in which D⁵² and L³ have the same meanings as above, -L³-C(O)S-D⁵² in which D⁵² and L³ have the same meanings as above, -O-L³-C(O)S-D⁵² in which D⁵² and L³ have the same meanings as above,
- 20 -C(O)-ND⁵²D⁵³ in which D⁵² has the same meanings as above and D⁵³ represents a hydrogen atom, a C₁ to C₈ alkyl group, a C₁ to C₈ haloalkyl group, a C₁ to C₆ alkoxy group, a C₁ to C₆ alkyl carbonyl group, a C₁ to C₆ haloalkyl carbonyl group, a C₁ to C₆ alkoxy carbonyl group, a C₁ to C₆ alkylsulfonyl group, a C₁ to C₆ haloalkylsulfonyl group, Ar (Ar has the same meaning as above), -L¹-Ar (Ar and L¹ have the same meanings as above), -C(S)-Ar (Ar has the same meaning as above) or -SO₂-Ar (Ar has the same meaning as above), and alternatively D⁵² and D⁵³ together with a nitrogen atom to which they are attached may form a 5- to 7-membered ring, and the ring constituent atoms are selected from a carbon atom, a nitrogen atom, an oxygen atom and a sulfur atom,
- 25 -C(S)-ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above, -L³-C(O)-ND⁵²D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above, -L³-C(S)-ND⁵²D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above, -SO₂-ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above, -SO₂O-D⁵³ in which D⁵³ has the same meaning as above, -SO₂O-Si(CH₃)₃,
- 30 -SO₂-L¹-Si(CH₃)₃ in which L¹ has the same meaning as above, -SO₂CH₂SO₂CH₃, -P(O)(OD⁵²)(OD⁵³) in which D⁵² and D⁵³ have the same meanings as above, -P(O)(OD⁵²)(SD⁵³) in which D⁵² and D⁵³ have the same meanings as above, -P(O)(OD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above, -P(O)(SD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
- 35 -P(S)(OD⁵²)(OD⁵³) in which D⁵² and D⁵³ have the same meanings as above, -P(S)(OD⁵²)(SD⁵³) in which D⁵² and D⁵³ have the same meanings as above, -P(S)(OD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above, -P(S)(SD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
- 40 -L³-P(O)(OD⁵²)(OD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above, -P(S)(OD⁵²)(SD⁵³) in which D⁵² and D⁵³ have the same meanings as above, -P(S)(OD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above, -P(S)(SD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
- 45 -L³-P(O)(OD⁵²)(SD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above, -L³-P(O)(OD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above, -L³-P(O)(SD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above, -L³-P'(S)(OD⁵²)(OD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above, -L³-P'(S)(OD⁵²)(SD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
- 50 -L³-P(S)(OD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above, -L³-P(S)(SD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above, -C(D⁵³)(P(O)(OD⁵²))₂ in which D⁵² and D⁵³ have the same meanings as above, =CD⁵²D⁵⁴ in which D⁵² has the same meaning as above, and D⁵⁴ represents a hydrogen atom, a halogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ alkoxy group, a C₁ to C₆ alkylthio group or Ar (Ar has the same meaning as above),
- 55 -L³-CN in which L³ has the same meaning as above, -ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above, -CH=N-O-D⁵² in which D⁵² has the same meaning as above,

= CD⁵⁴-ND⁵²D⁵³ in which D⁵², D⁵³ and D⁵⁴ have the same meanings as above,

-L²-D⁵⁵ in which L² has the same meaning as above, and D⁵⁵ represents a C₁ to C₆ alkyl group, a C₂ to C₆ alkenyl group or a C₂ to C₆ alkynyl group each of which may be substituted by one or two or more of a C₁ to C₆ haloalkyl group or one or two or more of a C₁ to C₄ alkyloxycarbonyl group and may be branched, or

5 -L¹-SO₂-D⁵⁶ in which L¹ has the same meaning as above, and D⁵⁶ represents a C₁ to C₆ alkyl group, and alternatively D_a and D_b together with a nitrogen atom to which they are attached may form a 3- to 8-membered ring and the ring constituent atoms are selected from a carbon atom,

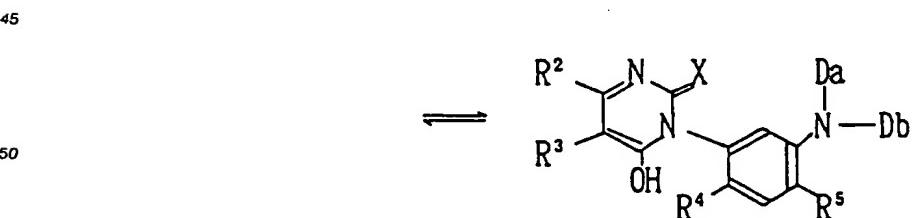
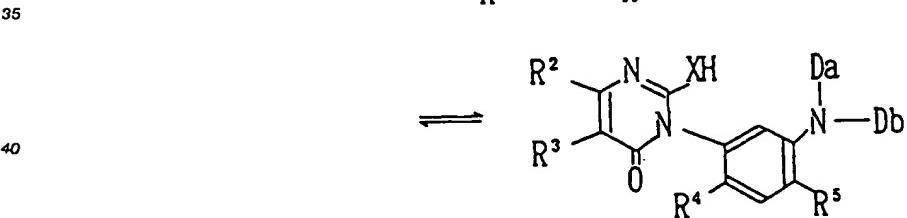
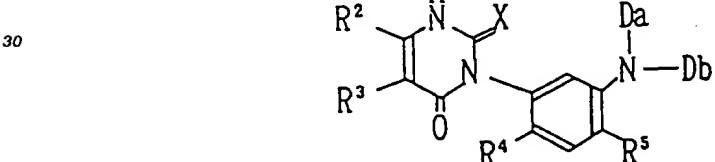
a nitrogen atom, an oxygen atom, a sulfur atom and a phosphorus atom, and the ring may be substituted: provided that except for the case where D_a and D_b both represent hydrogen atoms, the case where D_a

10 represents -L²-D⁵² (L² represents -SO₂- and D⁵² represents the C₁ to C₄ alkyl group or the C₁ to C₃ haloalkyl group) and D_b represents the hydrogen atom, the C₁ to C₄ alkyl group, the C₂ to C₅ alkenyl group, the C₃ to C₅ alkynyl group, the C₂ to C₅ acyl group, the C₁ to C₄ alkylsulfonyl group or the (C₁ to C₃ alkoxy) C₁ to C₂ alkyl group is excluded,

has the above characteristics. The above finding leads to the present invention.

15 Characteristic features in the structure of the compound of the present invention are to have a haloalkyl group at 6-position of the uracil ring and have specific combination of R⁴, R⁵ and N(D_a)D_b as the substituents on the benzene ring at 3-position of the uracil ring. By having such a structure, the compound of the present invention has a permeation and translocation and the very high herbicidal activity. As the results, the compound of the present invention has great merits that it can be applied according to either 20 the soil treatment or the foliar treatment against many kinds of weeds including the perennial weeds, that it can develop the high effect promptly even if the application amount is very low, and that it has the suitable residual effect.

Incidentally, the compound of the present invention represented by the formula (1) may be a tautomer 25 as shown below, when the substituent R¹ is the hydrogen atom, and the compound of the present invention includes all of these forms.



55 As the general method for synthesizing an uracil derivative, uracil skeleton can be synthesized by referring to the synthesis method described in, for example, A.R. Katritzky et al., Comprehensive Heterocyclic Chemistry, 3, p. 57 (1984), etc. 3-Amino-4,4,4-trifluorocrotonate ester which is one of starting materials may be synthesized by referring to A.W. Lutz et al., Journal of Heterocyclic Chemistry, 9 (3), p.

513 (1972), etc.

Including the above methods, the compound of the present invention can be synthesized by, for example, the methods shown in Schemes 1 to 5. R¹, R², R³, R⁴, R⁵, X, D_a and D_b in Schemes 1 to 5 have the same meanings as described in the above, G¹ represents an alkyl group having 1 to 4 carbon atoms, G² represents an alkyl group having 1 to 4 carbon atoms or a phenyl group, and Hal represents a halogen atom, methanesulfonyloxy group or a paratoluenesulfonyloxy group.

(Scheme 1)

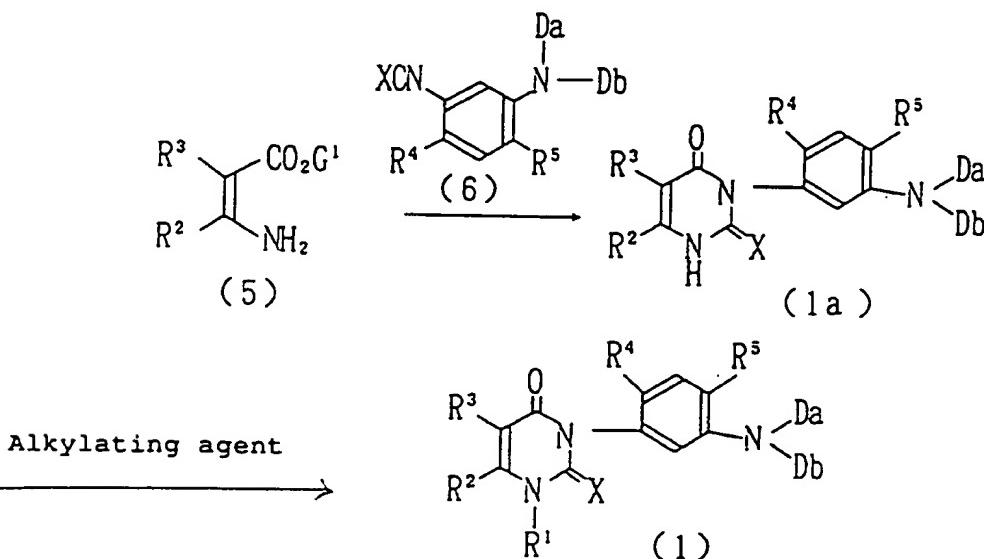
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1. Scheme 1 shows a method for preparing an uracil derivative (1) by reacting phenyliso(thio)cyanate (6) with β -aminoacrylate ester (5) to form an uracil derivative (1a) in a first step, and after isolation of the derivative (1a) or subsequently, without isolation, alkylating 1-position of the uracil ring in a second step.

① Reaction in the first step

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The compound (6) is generally used in an amount of 0.5 to 1.5 equivalent, preferably 0.8 to 1.2 equivalent based on the compound (5).

The reaction may proceed without any solvent, but it is generally promoted by using a solvent. As the solvent, there may be mentioned aliphatic hydrocarbons such as hexane, heptane, ligroin, petroleum ether, etc.; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene, etc.; halogenated hydrocarbons such as chloroform, methylene chloride, etc.; ethers such as diethyl ether, dioxane, tetrahydrofuran, etc.; ketones such as acetone, methyl ethyl ketone, etc.; nitriles such as acetonitrile, isobutyrone, etc.; tertiary amines such as pyridine, N,N-diethylaniline, etc.; acid amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methylpyrrolidone, etc.; sulfur-containing compounds such as dimethylsulfoxide, sulfolane, etc.; water and their mixture, and preferably the aliphatic hydrocarbons, the aromatic hydrocarbons, the acid amides, the sulfur-containing compounds and their mixture.

The reaction may proceed without any base, but generally a base in an amount of 0.5 to 10 equivalents, preferably 1.0 to 3.0 equivalents based on the compound (5) is used. As the base, there may be mentioned nitrogen-containing organic bases such as pyridine, triethylamine, N,N-dimethylaniline, N,N-diethylaniline, 4-(N,N-dimethylamino)pyridine, 1,4-diazabicyclo[2.2.2]octane, etc.; inorganic bases such as sodium hydride, potassium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, etc.; and metal alcoholates such as sodium methoxide, sodium ethoxide, potassium tert-butoxide, etc., and preferably sodium hydride, sodium hydroxide and potassium hydroxide.

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The reaction temperature is generally -70 to 200 °C, preferably -30 °C to the reflux temperature of the reaction mixture.

The reaction time is generally 5 minutes to 72 hours, preferably 10 minutes to 12 hours.

After the reaction is completed followed by acidifying with a mineral acid such as hydrochloric acid, etc., or an organic acid such as acetic acid, trifluoroacetic acid, p- toluenesulfonic acid, etc., the derivative (1a) can be isolated.

② The second step

The alkylating agent is used in an amount of 0.5 to 10 equivalents, preferably 0.8 to 5.0 equivalents based on the derivative (1a). As the alkylating agent, there may be mentioned alkylsulfates such as dimethylsulfate, diethylsulfate, etc.; and halogenated alkyls such as methyl chloride, ethyl chloride, methyl bromide, ethyl bromide, methyl iodide, ethyl iodide, etc.

The reaction may proceed without any solvent, but it is generally promoted by using a solvent. As the solvent, there may be mentioned aliphatic hydrocarbons such as hexane, heptane, ligroin, petroleum ether, etc.; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene, etc.; halogenated hydrocarbons such as chloroform, methylene chloride, etc.; ethers such as diethyl ether, dioxane, tetrahydrofuran, etc.; ketones such as acetone, methyl ethyl ketone, etc.; nitriles such as acetonitrile, isobutyronitrile, etc.; tertiary amines such as pyridine, N,N-diethylaniline, etc.; acid amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methylpyrrolidone, etc.; sulfur-containing compounds such as dimethylsulfoxide, sulfolane, etc.; water and their mixture, and preferably the aliphatic hydrocarbons, the aromatic hydrocarbons, the ethers, the ketones, the nitriles, the acid amides, the sulfur-containing compounds and their mixture.

A base is generally used in an amount of 0.5 to 10 equivalents, preferably 0.8 to 3.0 equivalents based on the derivative (1a). As the base, there may be mentioned nitrogen-containing organic bases such as pyridine, triethylamine, N,N-dimethylaniline, N,N-diethylaniline, 4-(N,N-dimethylamino)-pyridine, 1,4-diazabicyclo[2.2.2]octane, etc. and inorganic bases such as sodium hydride, potassium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, etc., and preferably the inorganic bases such as sodium hydride, potassium carbonate, etc.

The reaction temperature is generally -30 to 150 °C, preferably -10 °C to the reflux temperature of the reaction mixture.

The reaction time is generally 10 minutes to 96 hours, preferably 30 minutes to 48 hours.

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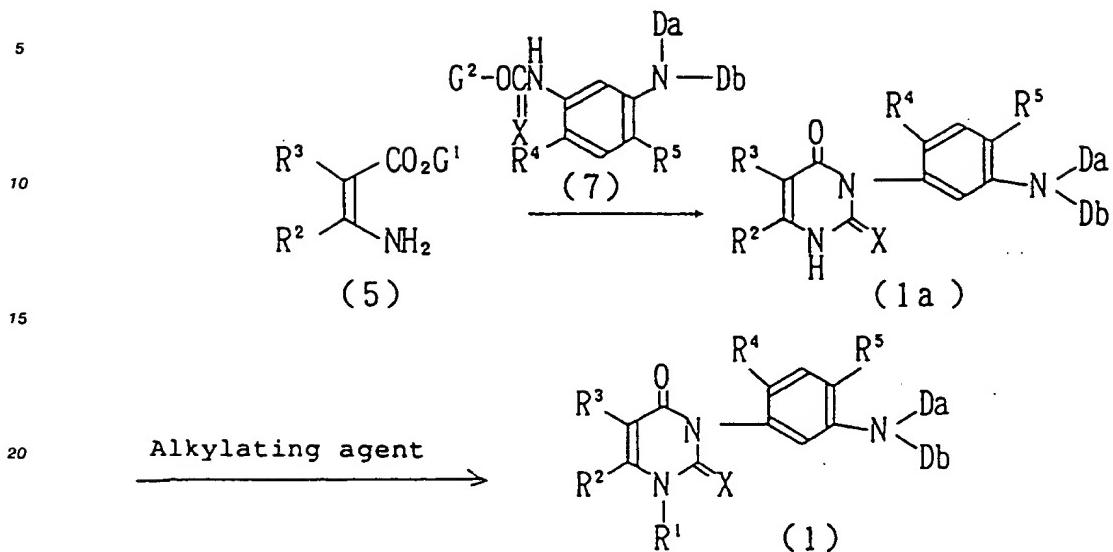
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(Scheme 2)



2. Scheme 2 shows a method for preparing an uracil derivative (1) by reacting N-phenyl(thio)carbamate (7) with β -aminoacrylate ester (5) to form an uracil derivative (1a) in a first step, and after isolation of the derivative (1a) or subsequently, without isolation, alkylating 1-position of the uracil ring in a second step.

① Reaction in the first step

The compound (7) is generally used in an amount of 0.5 to 1.5 equivalent, preferably 0.8 to 1.2 equivalent based on the compound (5).

The reaction generally requires a solvent. As the solvent, there may be mentioned aliphatic hydrocarbons such as hexane, heptane, ligroin, petroleum ether, etc.; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene, etc.; halogenated hydrocarbons such as chloroform, methylene chloride, etc.; ethers such as diethyl ether, dioxane, tetrahydrofuran, etc.; ketones such as acetone, methyl ethyl ketone, etc.; nitriles such as acetonitrile, isobutyronitrile, etc.; tertiary amines such as pyridine, N,N-diethylaniline, etc.; acid amides such as N,N-dimethylacetamide, N,N-dimethyl-formamide, N-methylpyrrolidone, etc.; sulfur-containing compounds such as dimethylsulfoxide, sulfolane, etc.; alcohols such as methanol, ethanol, propanol, butanol, etc.; water and their mixture, and preferably the aliphatic hydrocarbons, the aromatic hydrocarbons, the acid amides, the sulfur-containing compounds and their mixture.

A base is generally used in an amount of 0.5 to 10 equivalents, preferably 1.0 to 3.0 equivalents based on the compound (5). As the base, there may be mentioned nitrogen-containing organic bases such as pyridine, triethylamine, N,N-dimethylaniline, N,N-diethylaniline, 4-(N,N-dimethylamino)-pyridine, 1,4-diazabicyclo[2.2.2]octane, etc.; inorganic bases such as sodium hydride, potassium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, etc.; metal alcoholates such as sodium methoxide, sodium ethoxide, potassium tert-butoxide, etc.; and metal alkylmercaptides such as sodium methylmercaptide, sodium ethylmercaptide, etc., and preferably the inorganic bases such as sodium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, etc., and the metal alcoholates such as sodium methoxide, etc.

The reaction temperature is generally 0 to 200 °C, preferably the room temperature to the reflux temperature of the reaction mixture.

The reaction time is generally 10 minutes to 72 hours, preferably 30 minutes to 24 hours.

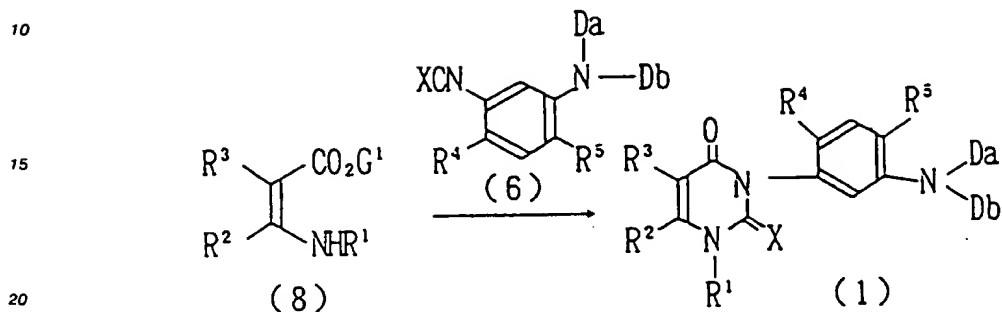
After completion of the reaction followed by acidifying with a mineral acid such as hydrochloric acid, etc., or an organic acid such as acetic acid, trifluoroacetic acid, p-toluenesulfonic acid, etc., the derivative (1a) can be isolated.

② The second step

Alkylation can be carried out under the same reaction conditions as in the second step of Scheme 1.

5 (Scheme 3)

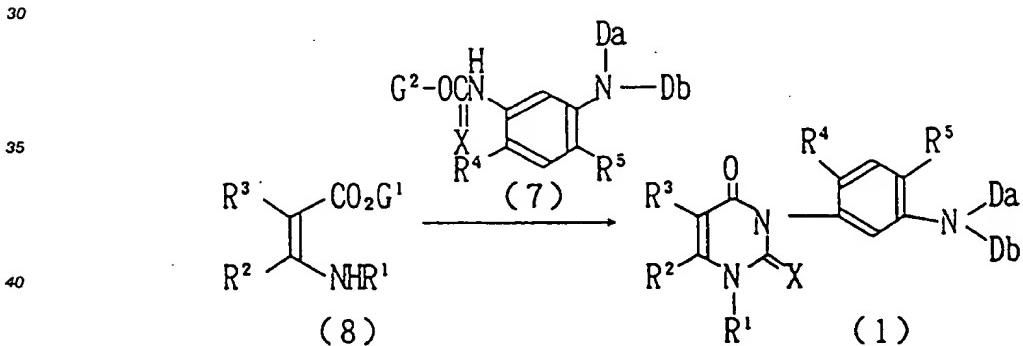
(Scheme 3)



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3. Scheme 3 shows a method for preparing an uracil derivative (1) by reacting phenyliso(thio)cyanate (6) with N-alkyl-β-aminoacrylate ester (8) in one step and may be carried out under the same reaction conditions as in Scheme 1.

(Scheme 4)

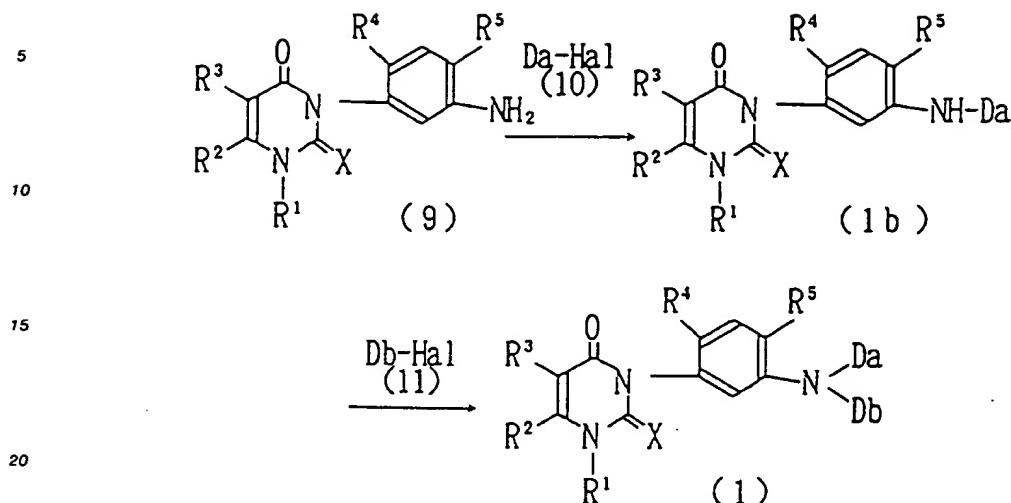


4. Scheme 4 shows a method for preparing an uracil derivative (1) by reacting N-phenyl(thio)carbamate (7) with N-alkyl-β-aminoacrylate ester (8) in one step and may be carried out under the same reaction conditions as in Scheme 2.

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(Scheme 5)



5. Scheme 5 shows a method for preparing an uracil derivative (1) by reacting D_a-Hal (10) with an amino material (9) to form an uracil derivative (1b) in a first step, and after isolation of the derivative (1b) or subsequently, without isolation, reacting D_b-Hal (11) with the derivative (1b) in a second step.

① Reaction in the first step

The compound (10) is generally used in an amount of 0.3 to 10 equivalents, preferably 0.5 to 2.0 equivalents based on the compound (9).

The reaction may proceed without any solvent, but it is generally promoted by using a solvent. As the solvent, there may be mentioned aliphatic hydrocarbons such as hexane, heptane, ligroin, petroleum ether, etc.; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene, etc.; halogenated hydrocarbons such as chloroform, methylene chloride, etc.; ethers such as diethyl ether, dioxane, tetrahydrofuran, etc.; ketones such as acetone, methyl ethyl ketone, etc.; nitriles such as acetonitrile, isobutyronitrile, etc.; tertiary amines such as pyridine, N,N-diethylaniline, etc.; acid amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methylpyrrolidone, etc.; sulfur-containing compounds such as dimethylsulfoxide, sulfolane, etc., and their mixture.

The reaction may proceed without any base, but generally a base in an amount of 0.3 to 10 equivalents based on the compound (9) is used. Also, it may be used in a very excess amount as a solvent. As the base, there may be mentioned nitrogen-containing organic bases such as pyridine, triethylamine, N,N-dimethylaniline, N,N-diethylaniline, 4-(N,N-dimethylamino)pyridine, 1,4-diazabicyclo-[2.2.2]octane, etc.; inorganic bases such as sodium hydride, potassium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, etc.; and metal alcoholates such as sodium methoxide, sodium ethoxide, potassium tert-butoxide, etc., and preferably the nitrogen-containing organic bases and the inorganic bases.

The reaction temperature is generally -30 to 160 °C, preferably -10 °C to 130 °C.

The reaction time is generally 10 minutes to 48 hours, preferably 30 minutes to 24 hours.

② The second step

The reaction can be carried out under the same conditions as in the first step of Scheme 5.

Among the compounds of the present invention represented by the formula (1), obtained according to the above methods, the following uracil compounds are preferred.

In the formula (1),

R¹ represents a hydrogen atom, a C₁ to C₃ alkyl group, a C₁ to C₃ haloalkyl group;

R² represents a C₁ to C₆ haloalkyl group;

R³ represents a hydrogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ haloalkyl group, a hydroxymethyl group, a halogen atom or a nitro group;

R⁴ represents a hydrogen atom or a halogen atom;

R^5 represents a hydrogen atom, a halogen atom, a nitro group or cyano group;
 X represents an oxygen atom or a sulfur atom;

5 D_a and D_b each independently represents a hydrogen atom, a C_1 to C_8 alkyl group, a C_1 to C_6 haloalkyl group, a C_3 to C_8 cycloalkyl group, a C_3 to C_8 cycloalkyl(C_1 to C_4)alkyl group, a C_2 to C_8 alkenyl group, a C_3 to C_8 alkynyl group, a C_2 to C_8 haloalkenyl group, a C_3 to C_8 haloalkynyl group, a C_3 to C_8 halocycloalkyl group, a C_3 to C_8 halocycloalkyl(C_1 to C_4)alkyl group, $CH(OH)CCl_3$, Ar in which Ar represents a phenyl group or a naphthyl group each of which may be substituted by one or two or more substituents, and the substituent may be selected from a C_1 to C_4 alkyl group, a C_3 to C_6 cycloalkyl group, a C_1 to C_4 haloalkyl group, a C_3 to C_6 halocycloalkyl group, a halogen atom, a cyano group, a nitro group, a hydroxyl group, a C_1 to C_4 alkoxy group, a C_1 to C_4 haloalkoxy group, a C_1 to C_4 alkylcarbonyl group, a carboxyl group, a C_1 to C_4 alkoxy carbonyl group, an amino group, a C_1 to C_4 alkylamino group, an acetamino group, N,N-dimethylamino group and methanesulfonyl group,

10 - L^1 -Ar in which Ar has the same meaning as above, and L^1 represents a C_1 to C_6 alkyl chain, a C_2 to C_6 alkenyl chain or a C_2 to C_6 alkynyl chain each of which may be branched,

15 Het in which Het represents a 5-membered heterocyclic residue, a 6-membered heterocyclic residue or a fused heterocyclic residue each of which contains, as a ring constituent atom, at least one atom selected from a sulfur atom, an oxygen atom and a nitrogen atom and may be substituted by one or two or more substituents, and the substituent may be selected from a C_1 to C_4 alkyl group, a C_3 to C_6 cycloalkyl group, a C_1 to C_4 haloalkyl group, a C_3 to C_6 halocycloalkyl group, a halogen atom, a cyano group, a nitro group, a hydroxyl group, a C_1 to C_4 alkoxy group, a C_1 to C_4 haloalkoxy group, a C_1 to C_4 alkylcarbonyl group, a carboxyl group, a C_1 to C_4 alkoxy carbonyl group, an amino group, a C_1 to C_4 alkylamino group, an acetamino group, N,N-dimethylamino group and methanesulfonyl group,

20 - L^1 -Het in which Het and L^1 have the same meanings as above,

25 - L^2 - D^{52} in which D^{52} represents a hydrogen atom, a C_1 to C_{20} alkyl group, a C_1 to C_{20} haloalkyl group, a C_3 to C_8 cycloalkyl group, a C_3 to C_8 cycloalkyl(C_1 to C_4)alkyl group, a C_2 to C_8 alkenyl group, a C_3 to C_8 alkynyl group, a C_2 to C_8 haloalkenyl group, a C_3 to C_8 haloalkynyl group, a C_3 to C_8 halocycloalkyl group, a C_3 to C_8 halocycloalkyl(C_1 to C_4)alkyl group, a C_1 to C_4 alkoxy(C_1 to C_4)-alkyl group, Ar (Ar has the same meaning as above), - L^1 -Ar (Ar and L^1 have the same meanings as above), Het (Het has the same meaning as above) or - L^1 -Het (Het and L^1 have the same meanings as above), and L^2 represents - $C(O)$ - , - $C(S)$ - , - SO_2 - , - $S(O)$ - , - S - , - O - , - $C(O)O$ - , - $C(O)S$ - , - $C(S)O$ - , - $C(S)S$ - or - $C(O)C(O)O$ - ,

30 - L^3 - O - D^{52} in which D^{52} has the same meaning as above, and L^3 represents a C_1 to C_6 alkyl chain, a C_2 to C_6 alkenyl chain or a C_2 to C_6 alkynyl chain each of which may be substituted by one or two or more substituents and may be branched, and the substituent may be selected from a C_1 to C_4 alkoxy carbonyl group, a C_1 to C_4 haloalkyl group, a C_1 to C_4 alkoxy(C_1 to C_4)alkyl group, a C_1 to C_4 alkylthio group,

35 Ar (Ar has the same meaning as above), - L^1 -Ar (Ar and L^1 have the same meanings as above), Het (Het has the same meaning as above) and - L^1 -Het (Het and L^1 have the same meanings as above), - L^3 - S - D^{52} in which D^{52} and L^3 have the same meanings as above,

40 - L^3 - $C(O)$ - D^{52} in which D^{52} and L^3 have the same meanings as above,

45 - L^3 - $C(S)$ - D^{52} in which D^{52} and L^3 have the same meanings as above,

50 - L^3 - $C(O)O$ - D^{52} in which D^{52} and L^3 have the same meanings as above,

55 - O - L^3 - $C(O)O$ - D^{52} in which D^{52} and L^3 have the same meanings as above,

and D^{53} represents a hydrogen atom, a C_1 to C_8 alkyl group,

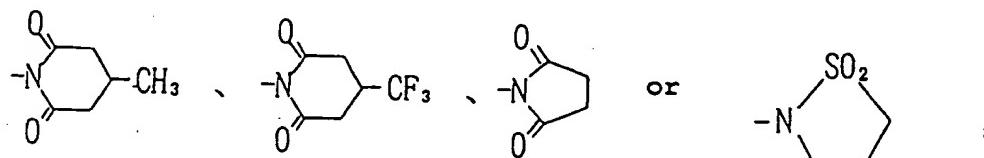
a C_1 to C_8 haloalkyl group, a C_1 to C_6 alkoxy group, a C_1 to C_6 alkylcarbonyl group, a C_1 to C_6 haloalkylcarbonyl group,

a C_1 to C_6 alkoxy carbonyl group, a C_1 to C_6 alkylsulfonyl group, a C_1 to C_6 haloalkylsulfonyl group, Ar (Ar has the same meaning as above), - L^1 -Ar (Ar and L^1 have the same meanings as above), - $C(O)$ -Ar (Ar has the same meaning as above), - $C(S)$ -Ar (Ar has the same meaning as above) or - SO_2 -Ar (Ar has the same meaning as above), and alternatively D^{52} and D^{53} together with a nitrogen atom to which they are attached may form a 5- to 7-membered ring and ring constituent atoms are selected from a carbon atom, a nitrogen atom, an oxygen atom and a sulfur atom,

- $C(S)$ - $ND^{52}D^{53}$ in which D^{52} and D^{53} have the same meanings as above,

- L³-C(O)-ND⁵²D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-C(S)-ND⁵²D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 -SO₂-ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 -SO₂O-D⁵³ in which D⁵³ has the same meaning as above, -SO₂O-Si(CH₃)₃,
 5 -SO₂L¹-Si(CH₃)₃ in which L¹ has the same meaning as above, -SO₂CH₂SO₂CH₃,
 -P(O)(OD⁵²)(OD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
 -P(O)(OD⁵²)(SD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
 -P(O)(OD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 -P(O)(SD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 10 -P(S)(OD⁵²)(OD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
 -P(S)(OD⁵²)(SD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
 -P(S)(OD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 -P(S)(SD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 15 -L³-P(O)(OD⁵²)(OD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(O)(OD⁵²)(SD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(O)(OD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(S)(OD⁵²)(OD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
 20 -L³-P(S)(OD⁵²)(SD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(S)(OD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(S)(SD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 -C(D⁵³)(P(O)(OD⁵²)₂) in which D⁵² and D⁵³ have the same meanings as above,
 =CD⁵²D⁵⁴ in which D⁵² has the same meaning as above, and D⁵⁴ represents a hydrogen atom, a
 25 halogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ alkoxy group, a C₁ to C₆ alkylthio group or Ar (Ar
has the same meaning as above),
 -L³-CN in which L³ has the same meaning as above,
 -ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 -CH=N-O-D⁵² in which D⁵² has the same meaning as above,
 =CD⁵⁴-ND⁵²D⁵³ in which D⁵², D⁵³ and D⁵⁴ have the same meanings as above,
 30 -L²-D⁵⁵ in which L² has the same meaning as above, and D⁵⁵ represents a C₁ to C₆ alkyl group, a C₂
to C₆ alkenyl group or a C₂ to C₆ alkynyl group each of which may be substituted by one or two or
more of a C₁ to C₆ haloalkyl group or one or two or more of a C₁ to C₄ alkyloxycarbonyl group and
may be branched,
 or -L¹-SO₂-D⁵⁶ in which L¹ has the same meaning as above,
 35 and D⁵⁶ represents a C₁ to C₄ alkyl group,
and alternatively D_a and D_b together with a nitrogen atom to which they are attached may form a 3- to
8-membered ring and the ring constituent atoms are selected from a carbon atom,
 a nitrogen atom, an oxygen atom, a sulfur atom and a phosphorus atom, and the ring may be
 40 substituted by one or more substituents and the substituent may be selected from a C₁ to C₄ alkyl
group, a C₃ to C₆ cycloalkyl group, a C₁ to C₄ haloalkyl group, a C₃ to C₆ halocycloalkyl group, a
halogen atom, a cyano group, a nitro group, a hydroxy group, a C₁ to C₄ alkoxy group, a C₁ to C₄
haloalkoxy group, a C₁ to C₄ alkylcarbonyl group, a carboxyl group, a C₁ to C₄ alkyloxycarbonyl group,
 an amino group, a C₁ to C₄ alkylamino group, an acetamino group, N,N-dimethylamino group and
 methanesulfonyl group;
 45 provided that except for the case where D_a and D_b both represent the hydrogen atoms, the case
where D_a represents -L²-D⁵² in which L² represents -SO₂- and D⁵² represents the C₁ to C₄ alkyl group
or the C₁ to C₃ haloalkyl group and D_b represents the hydrogen atom, the C₁ to C₄ alkyl group, the
C₂ to C₆ alkenyl group, the C₃ to C₆ alkynyl group, the C₂ to C₆ acyl group, the C₁ to C₄ alkylsulfonyl
group or the (C₁ to C₃ alkoxy) C₁ to C₂ alkyl group are excluded.
 50 The more preferred compounds are those represented by the formula (I) wherein
 R¹ represents methyl group;
 R² represents trifluoromethyl group;
 R³ represents hydrogen atom;
 R⁴ represents hydrogen atom or halogen atom;
 55 R⁵ represents a halogen atom;
 X represents oxygen atom;
 D_a and D_b each independently represents hydrogen atom, C₁ to C₆ alkyl group, C₃ to C₆ alkenyl group,
 C₃ to C₆ alkynyl group,

- L¹-Ar in which L¹ represents a C₁ to C₆ alkyl chain, a C₂ to C₆ alkenyl chain or a C₂ to C₆ alkynyl chain each of which may be branched, and Ar represents a phenyl group or a naphthyl group each of which may be substituted by one or two or more substituents and the substituent may be selected from a C₁ to C₄ alkyl group, a C₁ to C₄ haloalkyl group, a halogen atom, a nitro group, a C₁ to C₄ alkoxy group and a C₁ to C₄ aloxycarbonyl group,
5 Het in which Het represents a pyridine ring, a thiophen ring and a furan ring,
-L¹-Het in which Het and L¹ have the same meanings as above,
-L²-D⁵² in which D⁵² represents a hydrogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ haloalkyl group, a C₃ to C₈ cycloalkyl group, a C₃ to C₈ cycloalkyl(C₁ to C₂)alkyl group, a C₃ to C₆ alkenyl group, a C₃ to C₆ alkynyl group, a C₁ to C₄ alkoxy(C₁ to C₄)alkyl group, Ar (Ar has the same meaning as above), -L¹-Ar (Ar and L¹ have the same meanings as above), Het (Het has the same meaning as above) or -L¹-Het (Het and L¹ have the same meanings as above), and L² represents -C(O)-, -C(S)-, -SO₂-, -S(O)-, -S-, -C(O)O-, -C(O)S-, -C(S)O-, -C(S)S- or -C(O)C(O)O-,
10 -L³-S-D⁵² in which D⁵² has the same meaning as above, and L³ represents a C₁ to C₆ alkyl chain, a C₂ to C₆ alkenyl chain or a C₂ to C₆ alkynyl chain each of which may be substituted by one or two or more of a C₁ to C₄ haloalkyl group or a C₁ to C₄ alkyloxycarbonyl group and may be branched,
15 -L³-C(O)O-D⁵² in which D⁵² and L³ have the same meanings as above,
-C(O)-ND⁵²D⁵³ in which D⁵² has the same meaning as above,
and D⁵³ represents a hydrogen atom, a C₁ to C₆ alkyl group,
20 a C₁ to C₆ alkoxy group, a C₁ to C₄ alkylsulfonyl group, Ar (Ar has the same meaning as above) or -L¹-Ar group (Ar and L¹ have the same meanings as above), and alternatively D⁵² and D⁵³ together with a nitrogen group to which they are attached may form a 5- or 6-membered ring,
-C(S)-ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
25 -L³-C(O)-ND⁵²D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
-L³-C(S)-ND⁵²D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
-P(O)(OD⁵²)(OD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
30 -L³-P(O)(OD⁵²)(OD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
-L³-CN in which L³ has the same meaning as above,
=CD⁵⁴-ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above, and D⁵⁴ represents a hydrogen atom, a halogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ alkoxy group, a C₁ to C₄ alkylthio group or Ar (Ar has the same meaning as above),
35 -L²-D⁵⁵ in which L² has the same meaning as above, and D⁵⁵ represents a C₁ to C₆ alkyl group, a C₂ to C₆ alkenyl group or a C₂ to C₆ alkynyl group each of which may be substituted by one or two or more of a C₁ to C₄ haloalkyl group or one or two or more of a C₁ to C₄ alkyloxycarbonyl group and may be branched, or
-L¹-SO₂-D⁵⁶ in which L¹ has the same meaning as above, and D⁵⁶ represents a C₁ to C₄ alkyl group,
40 and alternatively D_a and D_b together with a nitrogen atom to which they are attached may form a ring represented by
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provided that except for the case where D_a and D_b both represent hydrogen atoms, the case where D_a represents -L²-D⁵² in which L² represents -SO₂- and D⁵² represents the C₁ to C₄ alkyl group or the C₁ to C₃ haloalkyl group, and D_b represents the hydrogen atom, the C₁ to C₄ alkyl group, the C₂ to C₅ alkenyl group, the C₃ to C₈ alkynyl group, the C₂ to C₅ acyl group, the C₁ to C₄ alkylsulfonyl group or the (C₁ to C₃ alkoxy) C₁ to C₂ alkyl group are excluded.

The compound of the present invention can be used in treatment methods either of a soil treatment or a foliar treatment, as herbicides for upland field, paddy field and non-cultivated field.

As subjective weeds of the compound of the present invention, there may be mentioned broad-leaved weeds such as Solanum nigrum, Datura nigrum, Abutilon theophrasti, Sida spinosa, Ipomoea spp., Ipomoea purpurea, Amaranthus lividus, Amaranthus vividis, Xanthium strumarium, Ambrosia artemisiæfolia, Helianthus annuus, Galinsoga ciliata, Cirsium arvense, Senecio vulgaris, Erigeron annus, Rorippa indica.

Sinapis arvensis, Capsella Bursapastoris, Polygonum Blumei, Polygonum convolvulus, Portulaca oleracea, Chenopodium album, Chenopodium ficifolium, Kochia coparia, Stellaria media, Veronica persica, Com-melina communis, Lamium amplexicaule, Lamium purpureum, Euphorbia supina, Euphorbia maculata, Gallium aparine, Rubiaakane, Viola arvensis, Sesbania exaltata, Cassia obtusifolia and Bidens pilosa;

5 Graminaceous weeds such as Sorghum bicolor, Panicum dichotomiflorum, Sorghum halepense, Echinochloa crus-galli, Digitaria adscendens, Avena fatua, Eleusine indica, Setaria viridis and Alopecurus aequalis;

10 Cyperaceous weeds such as Cyperus rotundus; and Alisma canaliculatum, Sagittaria trifolia, Sagittaria pygmaea, Cyperus difformis, Cyperus serotinus, Scirpus juncoides, Eleocharis kuroguwai, Lindernia pyxidaria, Monochoria vaginalis, Potamogeton distinctus, Rotala indica and Echinochloa oryzicola.

10 The compound of the present invention contains a compound which can be used safely to wheat, corn, barley, soybean, rice, cotton, sugar, beet, sorghum, etc. which are important crops.

Also, the compound of the present invention is available as a defoliant.

For applying the compound of the present invention as a herbicide, it may be generally applied by mixing with a suitable carrier such as a solid carrier, for example, clay, talc, bentonite, diatomaceous earth, white carbon, etc., or a liquid carrier, for example, water, alcohols including isopropanol, butanol, benzyl alcohol and furfuryl alcohol, aromatic hydrocarbons including toluene and xylene, ethers including anisol, ketones including cyclohexanone and isophorone, esters including butyl acetate, acid amides including N-methylpyrrolidone, or halogenated hydrocarbons including chlorobenzene and the like. If desired, by adding a surfactant, an emulsifier, a dispersant, a penetrating agent, a spreading agent, a thickening agent, an antifreezing agent, an anticaking agent, a stabilizer, etc., it can be provided practically in an optional formulation such as a liquid formulation, an emulsifiable concentrate, a wettable powder, a dry flowable formulation, a flowable formulation, a dust, a granule, etc.

The content of the compound of the present invention in the herbicide of the present invention may be an amount which develops the herbicidal activity and not particularly limited, but it is preferably 1 mg to 95 g per 100 g of the herbicide.

If necessary, the compound of the present invention may be mixed with any other herbicides, various insecticides, plant growth regulators, synergists, etc., and applied, when the formulation is prepared or applied.

Particularly, by mixing and applying with the other herbicides, a cost reduction by decreasing an applied dosage, an enlargement in weed control spectrum or an improvement in herbicidal activity due to synergistic effect of mixed agents can be expected. At this time, a plural known herbicides can be combined simultaneously. As a kind of a herbicide to be mixed with the compound of the present invention, there may be mentioned, for example, compounds described in Farm Chemicals Handbook, issued in 1990.

When the compound of the present invention is applied to the soybean, particularly preferred agents to be mixed with the compound of the present invention are trifluralin, pendimethalin, alachlor, metolachlor, metribuzin, linuron; chlorimuron ethyl, imazaquin, imazethapyr, dinoseb, bifenoxy, clomazone, etc.

When the compound of the present invention is mixed with the other agents, a mixing ratio (by weight) of the compound of the present invention to active components of the other agents is preferably 0.001 to 100 : 1 and a content proportion of the compound of the present invention in the mixed agents (herbicides) is preferably 1 mg to 95 g per 100 g of the herbicide.

An applied dosage of the compound of the present invention may vary depending on a locus to be applied, a time to be applied, a method for application, cultivated crops, etc., but generally it is suitable in an amount of about 0.0001 to 10 kg, preferably about 0.001 to 5 kg of active component per hectare (ha).

The compound of the present invention is a compound having the high herbicidal effect and the suitable residual activity with an extremely low dose, killing the weeds promptly after applying, having broad object of controlling the weeds, having many methods for application of agents, and showing substantially no chemical damage against the important crops.

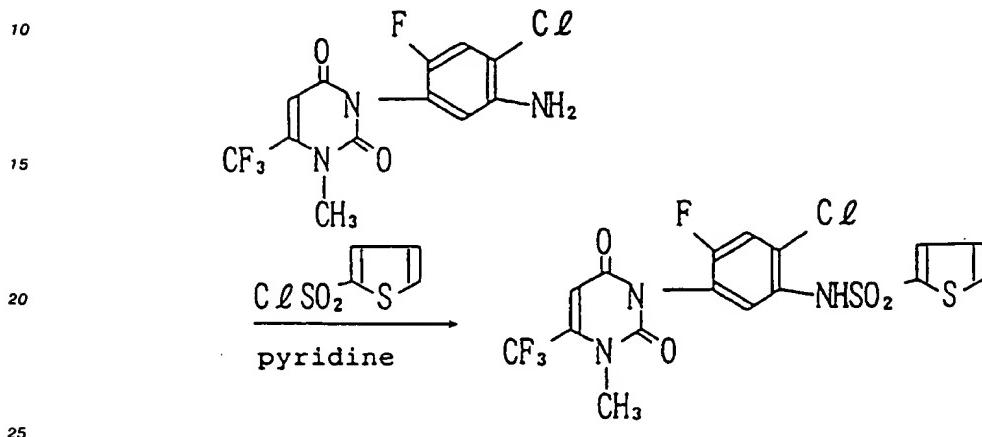
(Best mode for practicing the invention)

50 In the following, the present invention will be explained in more detail by referring to Examples, but the present invention is not limited by the following Examples so long as not exceeding the gist of the invention.

[Examples]

[Example 1]

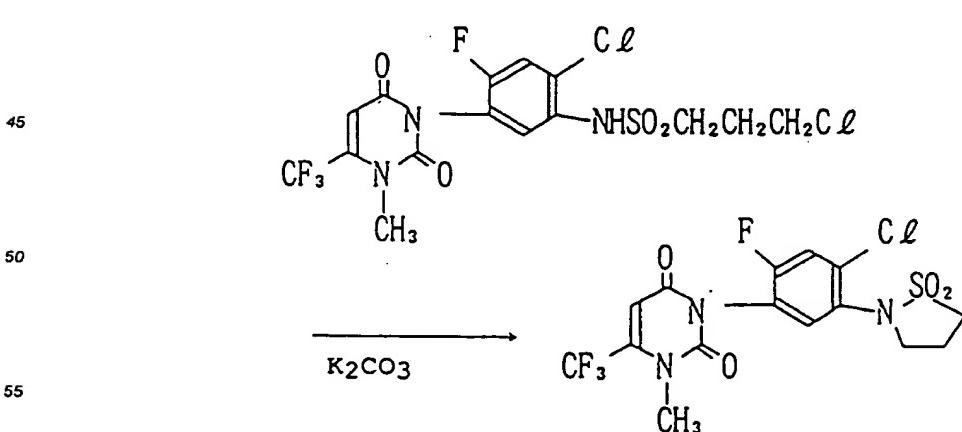
- 5 Synthesis of 3-(4-chloro-2-fluoro-5-(2-thienylsulfonylamino)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-12)



In 5 ml of pyridine was dissolved 0.32 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and then 0.19 g of 2-thiophensulfonyl chloride was added to the solution at 5 °C or lower. Thereafter, the temperature was increased to room temperature and the reaction was continued overnight. Then, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The solution was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was washed with diisopropyl ether to obtain 0.3 g of the desired compound as white crystal.

[Example 2]

- 35 Synthesis of 3-(4-chloro-2-fluoro-5-(2,3,4,5-tetrahydroisothiazol-1,1-dioxide-2-yl)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-15)
- 40

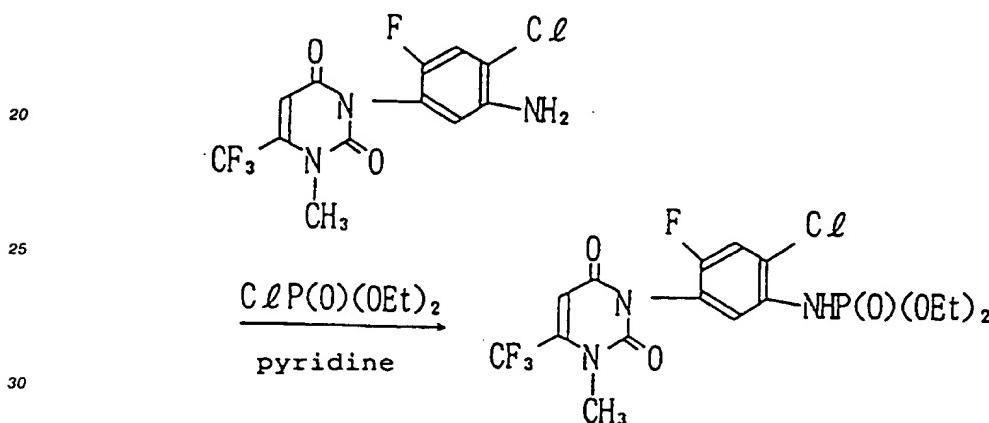


In 5 ml of N,N-dimethylformamide was dissolved 0.25 g of 3-(4-chloro-2-fluoro-5-(3-chloropropansulfonylamino)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.08 g of anhydrous potassium carbonate was added thereto and the mixture was stirred at room temperature for 2 days. After removing N,N-dimethylformamide by distillation, the residue was dissolved in ethyl acetate, washed successively with water and a saturated saline solution, and dried over anhydrous sodium sulfate. By removing ethyl acetate by distillation, a crude product was obtained. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 3 : 2) to obtain 0.1 g of the desired compound as white crystal.

10 [Example 3]

Synthesis of 3-(4-chloro-2-fluoro-5-(O,O-diethylphosphorylamino)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-16)

15



In 2 ml of pyridine was dissolved 0.50 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and then 0.22 ml of diethylchlorophosphate was added dropwise thereto at 5 °C or lower. Thereafter, the temperature was increased to room temperature and the reaction was continued overnight. Then, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The solution was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was washed with diisopropyl ether to obtain 0.39 g of the desired compound as white crystal.

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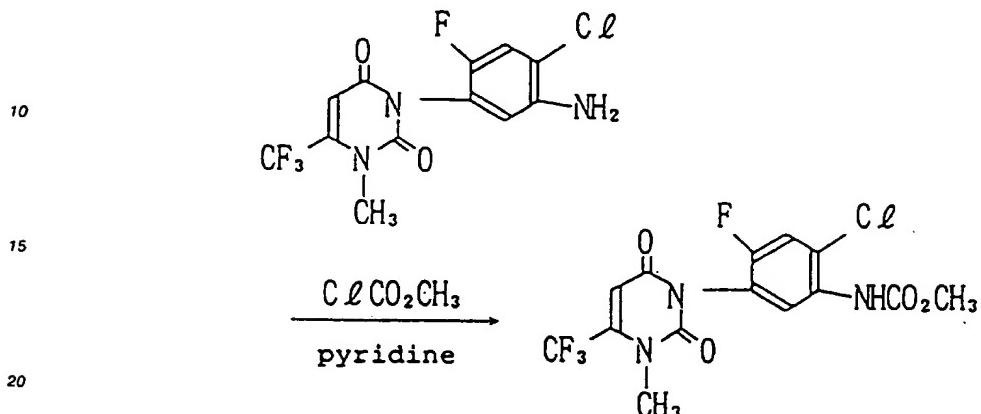
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[Example 4]

Synthesis of 3-(4-chloro-2-fluoro-5-(methoxycarbonylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-22)

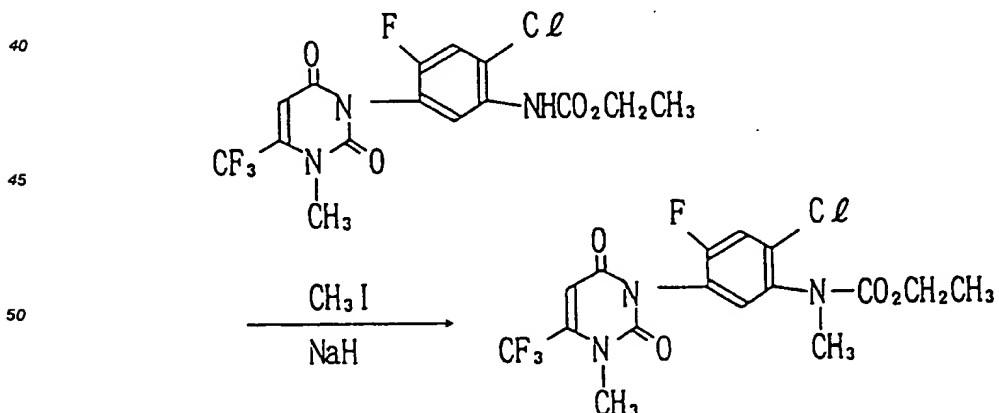
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In 5 ml of pyridine was dissolved 0.38 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and then 0.11 g of methyl chloroformate was added thereto at 5 °C or lower. Thereafter, the temperature was increased to room temperature and the reaction was continued overnight. Then, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The solution was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was washed with diisopropyl ether to obtain 0.28 g of the desired compound as white crystal.

[Example 5]

Synthesis of 3-(4-chloro-2-fluoro-5-(N-methyl)ethoxycarbonylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-24)



55

After adding 0.38 g of 3-(4-chloro-5-ethoxycarbonylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione to a suspension of 0.04 g of sodium hydride in tetrahydrofuran (10 ml), 0.06 ml of methyl iodide was added dropwise thereto. After 2 hours, ice-water was added thereto and the mixture was

extracted with ethyl acetate. The ethyl acetate layer was washed with a saturated saline solution and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 5 : 2) to obtain 0.22 g of the desired compound as white crystal.

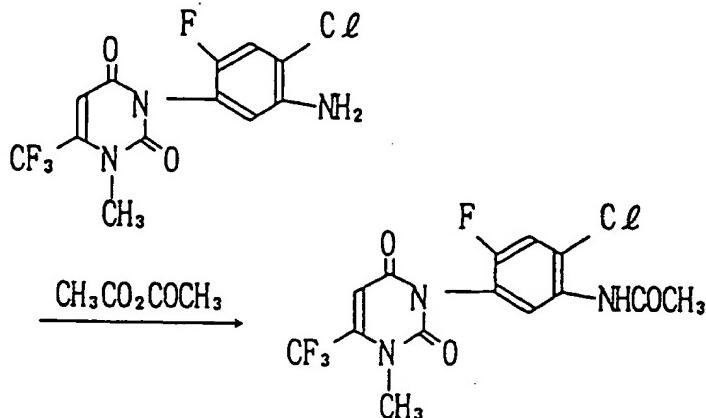
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[Example 6]

Synthesis of 3-(5-acetylaminio-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-17)

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In 5 ml of benzene was dissolved 2.00 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.61 ml of anhydrous acetic acid was added thereto and the mixture was refluxed for one hour. Benzene was removed by distillation to obtain a crude product. This was washed with hexane to obtain 2.20 g of the desired compound as white crystal.

[Example 7]

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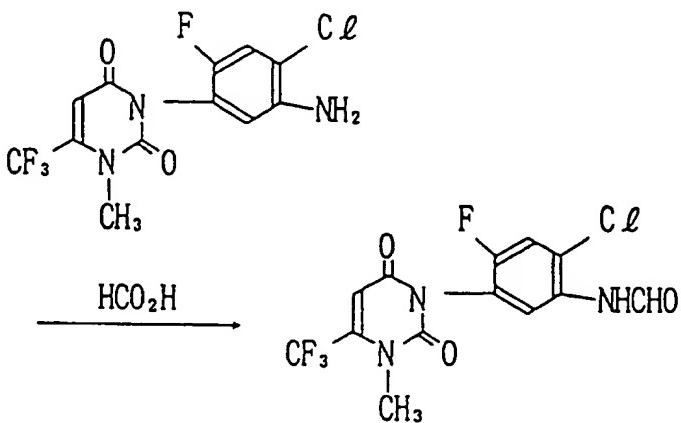
Synthesis of 3-(4-chloro-2-fluoro-5-formylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-21)

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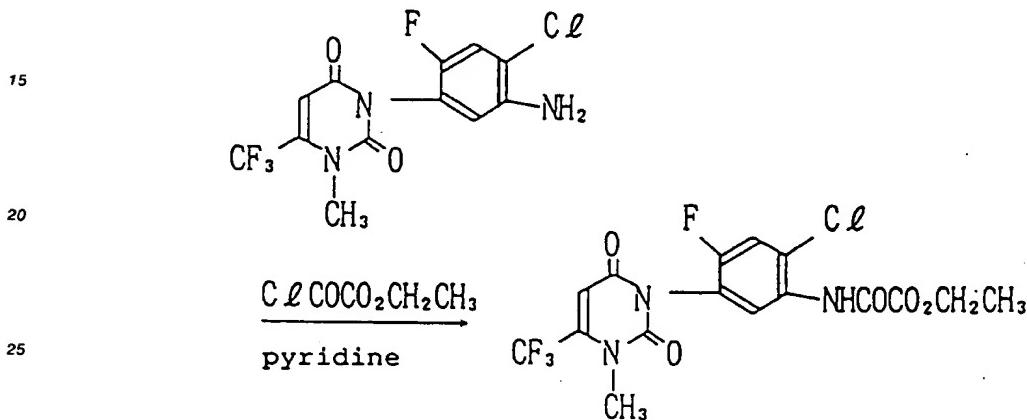


After stirring 0.080 g of formic acid and 0.180 g of anhydrous acetic acid at 60 °C for one hour, the mixture was cooled to 30 °C. To the mixture was added a mixture of 0.500 g of 3-(5-amino-4-chloro-2-

fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione and 4 ml of chloroform, and the mixture was stirred for one hour. After refluxing for further one hour, 1.3 ml of formic acid was added to the mixture and the mixture was refluxed for one hour. The solvent was removed by distillation using a vacuum pump to obtain a crude product. This was washed with hexane and dried to obtain 0.510 g of the desired compound
5 as brownish white crystal.

[Example 8]

Synthesis of 3-(4-chloro-5-ethoxalylamino-2
10 fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-35)

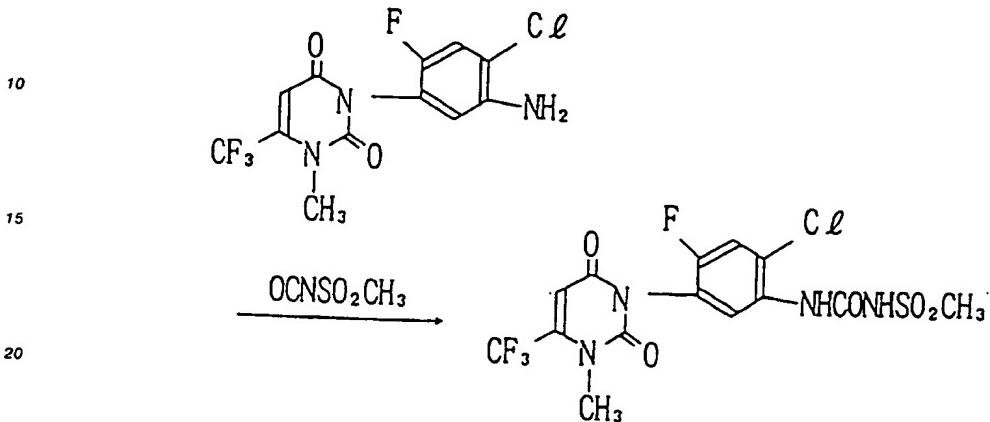


In 5 ml of pyridine was dissolved 0.39 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.17 g of ethyl oxalyl chloride was added thereto at 5 °C or lower. Thereafter, the temperature was increased to room temperature and the reaction was continued overnight. Then, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The mixture was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was washed with diisopropyl ether to obtain 0.29 g of the desired compound as white crystal.

[Example 9]

Synthesis of 3-(4-chloro-2-fluoro-5-(3-methansulfonylureyen-1-yl)phenyl)-1-methyl-6-trifluoromethyl-2,4-(1H,3H)-pyrimidinedione (Compound D-33)

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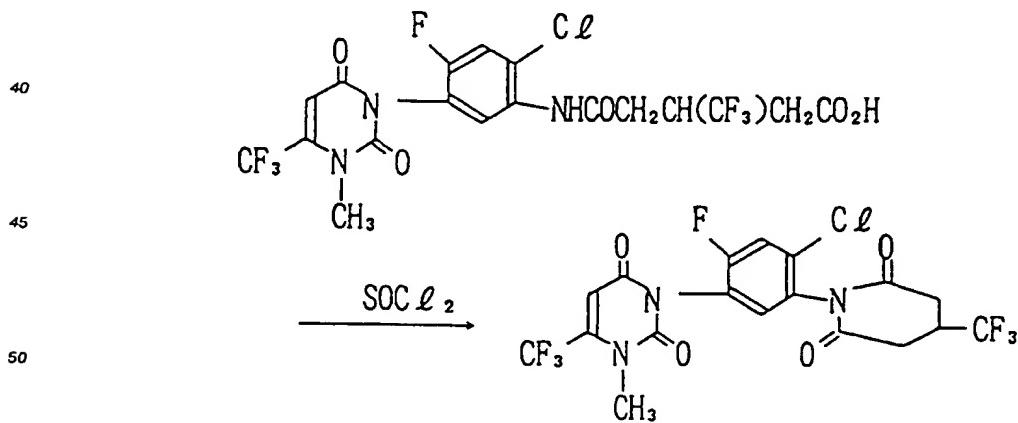
In 10 ml of dry tetrahydrofuran was dissolved 0.34 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.15 g of methylsulfonylisocyanate was added thereto and the mixture was stirred at 30-40 °C for 2 hours. After cooling by allowing to stand, precipitated crystals were collected by filtration and washed with n-hexane to obtain 0.28 g of the desired compound as white crystal.

30

[Example 10]

Synthesis of 3-(4-chloro-5-(2,6-dioxo-4-trifluoromethylpiperidin-1-yl)-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-40)

35



To a solution of 0.50 g of 4-(N-(2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedion-3-yl)phenyl)carbamoyl)-3-trifluoromethylbutyric acid and 7 ml of dry tetrahydrofuran was added dropwise 0.23 g of thionyl chloride at room temperature. After stirring for 2 hours under reflux, the temperature was cooled to room temperature, and the solvent was removed by distillation under reduced

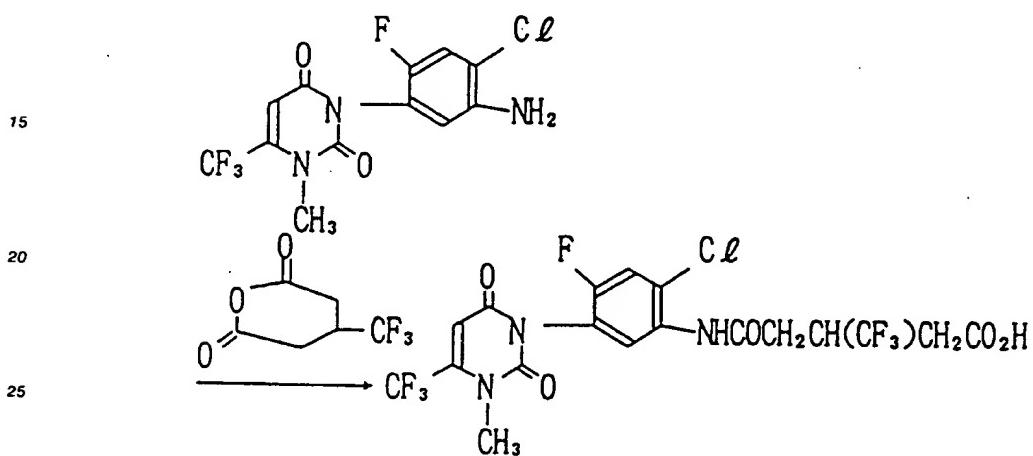
pressure. The resulting crude product was extracted with ethyl acetate, washed successively with water, a saturated aqueous solution of sodium hydrogen carbonate and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain 0.50 g of the desired compound as crystal.

5

[Example 11]

Synthesis of 4-(N-(2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedion-3-yl)phenyl)-carbamoyl)-3-trifluoromethylbutyric acid (Compound D-37)

10



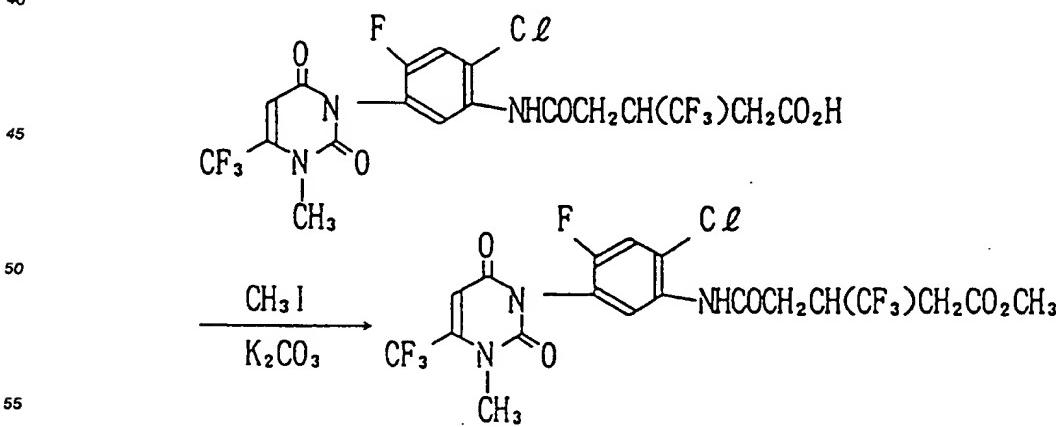
30 A mixture of 0.50 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, 0.27 g of 3-trifluoromethylglutaric anhydride and 6 ml of toluene was stirred at 100 °C for one hour. After cooling to room temperature, the solvent was removed by distillation under reduced pressure to obtain 0.7 g of the desired compound as crystal.

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[Example 12]

Synthesis of methyl 4-(N-(2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedion-3-yl)phenyl)carbamoyl)-3-trifluoromethylbutyrate (Compound D-38)

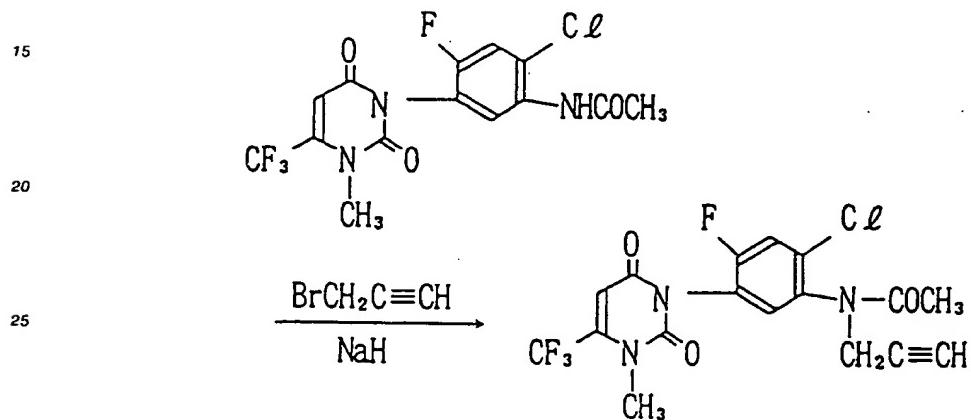
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To a mixture of 0.20 g of 4-(N-(2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedion-3-yl)phenyl)carbamoyl)-3-trifluoromethylbutyric acid, 0.06 g of potassium carbonate and 4 ml of N,N-dimethylformamide was added 0.05 g of methyl iodide at room temperature and the mixture was stirred at room temperature for 3 hours. The mixture was diluted with ethyl acetate and washed successively with water and a saturated saline solution, and dried over anhydrous sodium carbonate followed by removing ethyl acetate by distillation to obtain 0.44 g of the desired compound as crystal.

[Example 13]

- 10 Synthesis of 3-(5-(N-acetyl)propargylamino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-20)



30 A mixture of 1.05 g of 3-(5-acetylamino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4-(1H,3H)-pyrimidinedione and 9 ml of N,N-dimethylformamide was cooled to 0 °C, and 0.13 g of sodium hydride was added to the solution and the mixture was stirred until the temperature was increased to room temperature. Then, 0.33 g of propargyl bromide was added to the mixture and the mixture was stirred at room temperature for 2 days. The mixture was diluted with ethyl acetate and washed successively with water and a saturated saline solution, and dried over anhydrous sodium carbonate followed by removing ethyl acetate by distillation to obtain 0.93 g of the desired compound as crystal.

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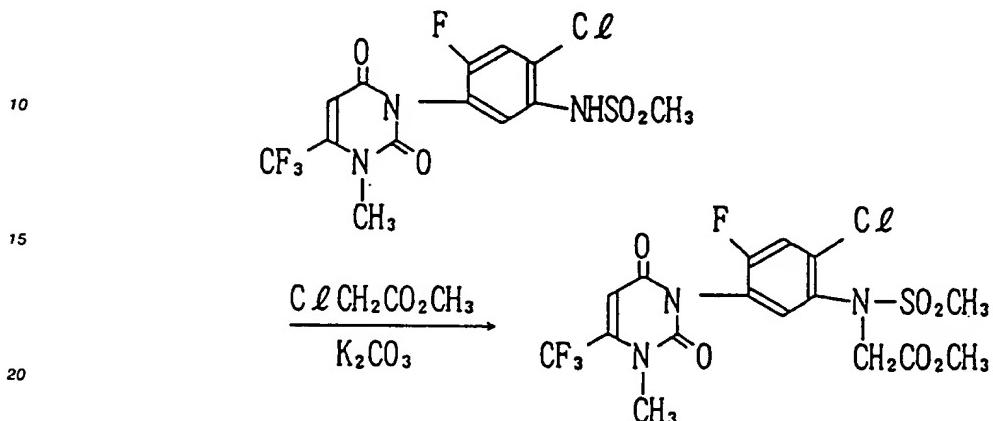
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[Example 14]

Synthesis of 3-(4-chloro-2-fluoro-5-(N-methanesulfonyl)methoxycarbonylmethylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-13)

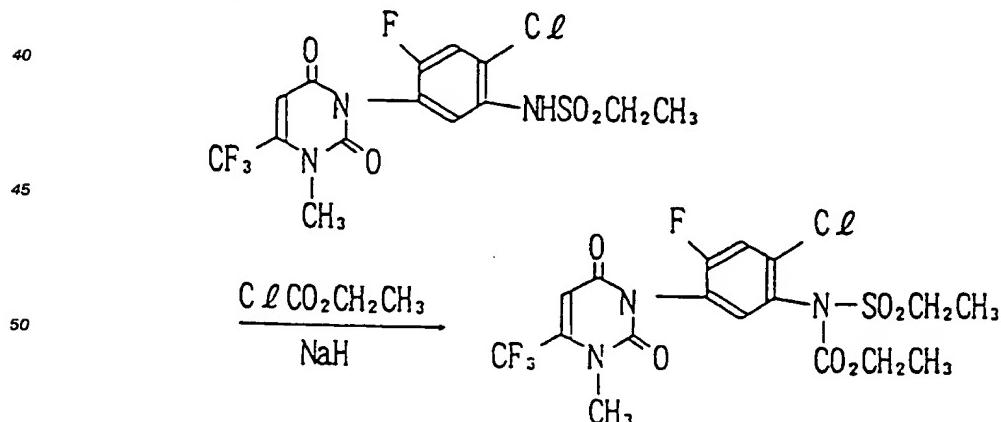
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In 5 ml of N,N-dimethylformamide was dissolved 0.50 g of 3-(4-chloro-2-fluoro-5-methanesulfonylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.17 g of anhydrous potassium carbonate and 0.11 ml of methyl chloroacetate were added thereto and the mixture was stirred at room temperature overnight. After removing N,N-dimethylformamide by distillation, the residue was dissolved in ethyl acetate, washed successively with water and a saturated saline solution, and dried over anhydrous sodium sulfate. By removing ethyl acetate by distillation, a crude product was obtained. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 1 : 1) to obtain 0.19 g of the desired compound as colorless viscous oily product.

[Example 15]

Synthesis of 3-(4-chloro-5-(N-ethanesulfonyl)ethoxycarbonylmethylamino-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-14)



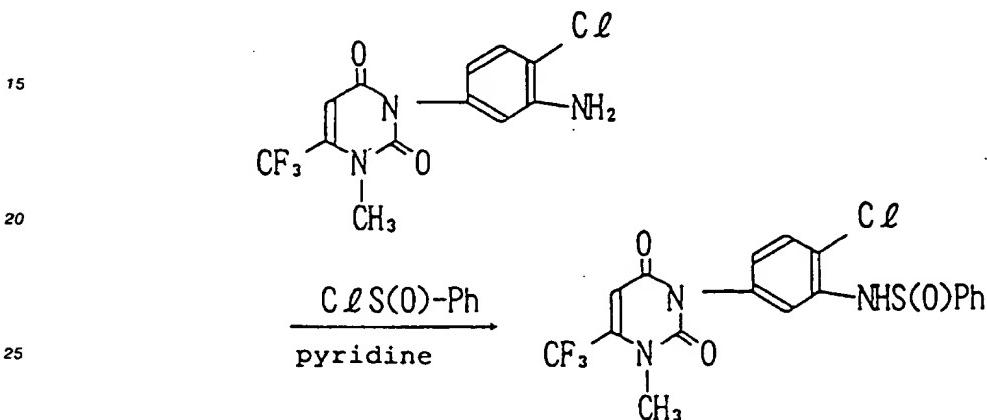
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In 5 ml of N,N-dimethylformamide was dissolved 0.30 g of 3-(4-chloro-5-ethanesulfonylamino-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.03 g of 60 % sodium hydride and 0.10 g of ethyl chloroformate were added thereto and the mixture was stirred at room temperature for 4

days. After removing N,N-dimethylformamide by distillation, the residue was dissolved in ethyl acetate, washed successively with water and a saturated saline solution, and dried over anhydrous sodium sulfate. By removing ethyl acetate by distillation, a crude product was obtained. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 3 : 2) to obtain 0.10 g of the
 5 desired compound as white crystal.

[Example 16]

Synthesis of 3-(5-benzensulfamino-4-chlorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione
 10 (Compound D-46)



In 5 ml of pyridine was dissolved 0.34 g of 3-(3-amino-4-chlorophenyl)-1-methyl-6-trifluoromethyl-2,4-
 30 (1H,3H)-pyrimidinedione, and 0.19 g of benzenesulfonyl chloride was added thereto at 5 °C or lower. After reacting the mixture for one hour, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The reaction mixture was washed successively with water, diluted hydrochloric acid and a
 35 saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 3 : 1) and recrystallized from n-hexane to obtain 0.03 g of the desired compound as white crystal.

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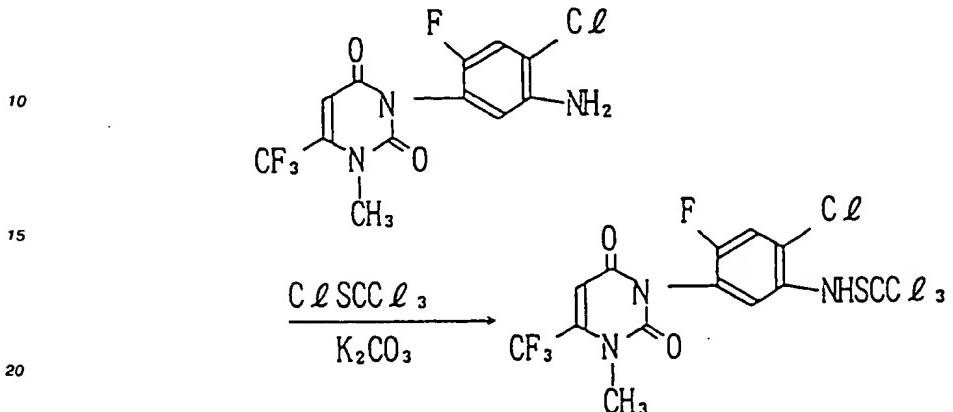
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[Example 17]

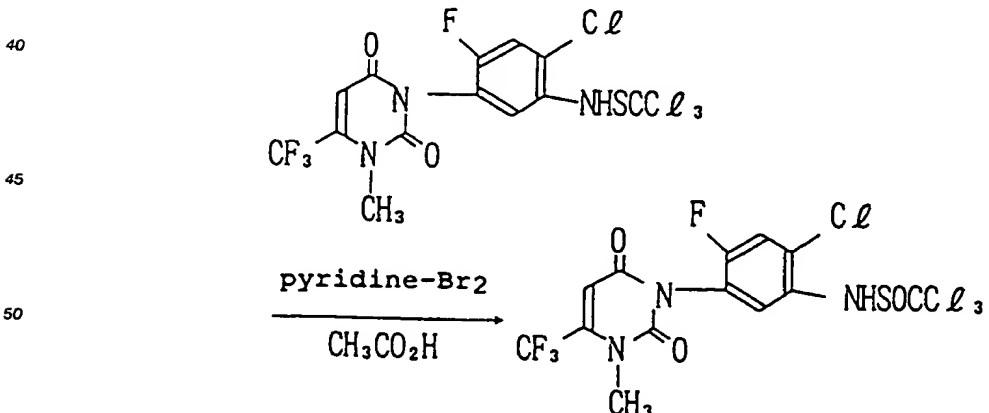
Synthesis of 3-(4-chloro-2-fluoro-5-trichloromethylthioaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-42)



In 5 ml of N,N-dimethylformamide was dissolved 0.73 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.33 g of anhydrous sodium carbonate and 0.44 g of perchloromethylmercaptane were added thereto and the mixture was stirred at room temperature for 1.5 hours. After removing N,N-dimethylformamide by distillation, the residue was dissolved in ethyl acetate, washed successively with water and a saturated saline solution, and dried over anhydrous sodium sulfate. By removing ethyl acetate by distillation, a crude product was obtained. This was purified by a preparative thin layer chromatography (developing solvent hexane ethyl acetate = 3 : 1) to obtain 0.79 g of the desired compound as colorless viscous oily product.

[Example 18]

35 Synthesis of 3-(4-chloro-2-fluoro-5-trichloromethanesulfinaminophenyl)-1-methyl-6-trifluoromethyl-2,4-(1H,3H)-pyrimidinedione (Compound D-43)

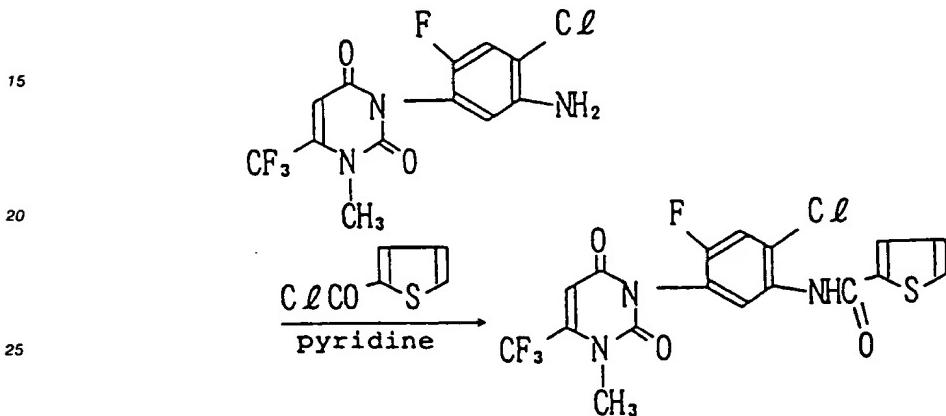


55 In 10 ml of 70 % acetic acid was dissolved 0.29 g of 3-(4-chloro-2-fluoro-5-trichloromethyl-thioaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.14 g of pyridine-bromine complex was added thereto and the mixture was stirred at room temperature for one hour. After removing

acetic acid by distillation, the residue was dissolved in ethyl acetate, washed successively with water, a saturated aqueous solution of sodium hydrogen carbonate and a saturated saline solution, and dried over anhydrous sodium sulfate. By removing ethyl acetate by distillation, a crude product was obtained. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 5 : 1) to obtain 0.05 g of the desired compound as white crystal.

[Example 19]

Synthesis of 3-(4-chloro-2-fluoro-5-(2-thenoylamino)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-45)



In 5 ml of pyridine was dissolved 0.34 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.17 g of 2-thiophencarbonyl chloride was added thereto at 5 °C or lower. Thereafter, the temperature was increased to room temperature and the reaction was continued overnight. Then, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The solution was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was washed with diisopropyl ether to obtain 0.30 g of the desired compound as white crystal.

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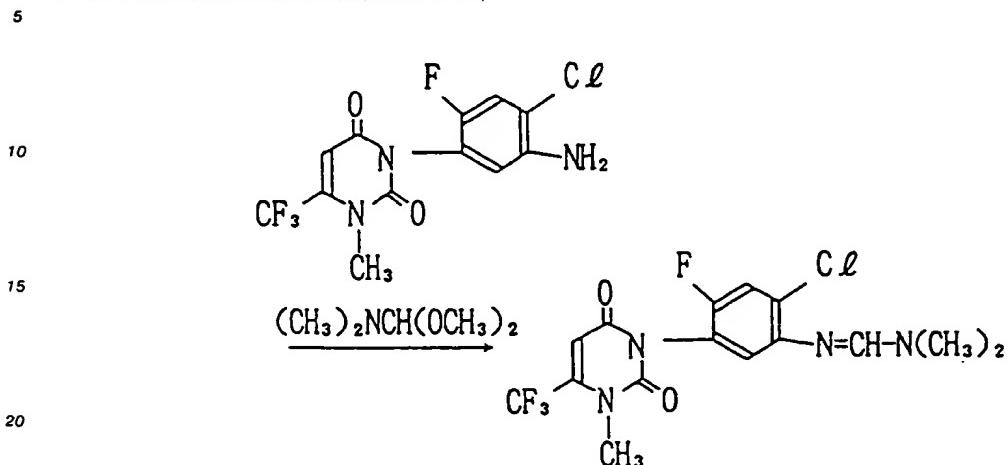
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[Example 20]

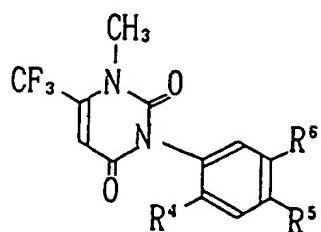
Synthesis of 3-(4-chloro-2-fluoro-5-(N,N-dimethylmethylenamino)phenyl)-1-methyl-6-trifluoromethyl-2,4-(1H,3H)-pyrimidinedione (Compound D-44)



In 2 ml of N,N-dimethylformamide was dissolved 0.40 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.14 g of N,N-dimethylformamidedimethylacetal was added thereto. After reacting the mixture at 80 °C for 10 hours, N,N-dimethylformamide was removed by distillation to obtain 0.50 g of the desired compound as brownish viscous oily product.

The compounds of the present invention synthesized in accordance with the above scheme or Examples including the compounds synthesized in the above Examples are shown in Tables 1 and 2 with their chemical structures and physical properties, respectively.

Table 1



	<u>Compound No.</u>	<u>R⁴</u>	<u>R⁵</u>	<u>R⁶</u>
5	D - 1	F	C ℓ	NHSO ₂ C ₆ H ₅
10	D - 2	F	C ℓ	N(CH ₃) SO ₂ C ₆ H ₅
15	D - 3	F	C ℓ	NHSO ₂ - (4-F-C ₆ H ₄)
20	D - 4	F	C ℓ	NHSO ₂ - (4-C ℓ -C ₆ H ₄)
25	D - 5	F	C ℓ	NHSO ₂ - (4-OCH ₃ -C ₆ H ₄)
30	D - 6	F	C ℓ	NHSO ₂ - (2-CH ₃ -C ₆ H ₄)
35	D - 7	F	C ℓ	NHSO ₂ - (3-CH ₃ -C ₆ H ₄)
40	D - 8	F	C ℓ	NHSO ₂ - (4-CH ₃ -C ₆ H ₄)
45	D - 9	F	C ℓ	NHSO ₂ - (2-NO ₂ -C ₆ H ₄)
50	D - 10	F	C ℓ	NHSO ₂ - (3-NO ₂ -C ₆ H ₄)
	D - 11	F	C ℓ	NHSO ₂ - (4-NO ₂ -C ₆ H ₄)
	D - 12	F	C ℓ	NHSO ₂ - Q ₄
	D - 13	F	C ℓ	N(SO ₂ CH ₃)CH ₂ CO ₂ CH ₃
	D - 14	F	C ℓ	N(SO ₂ C ₂ H ₅)CO ₂ C ₂ H ₅
	D - 15	F	C ℓ	Q ₃₈
	D - 16	F	C ℓ	NHP(O)(OC ₂ H ₅) ₂
	D - 17	F	C ℓ	NHCOC ₂ H ₅

Table 1 (Contd.)

5	<u>Compound No.</u>	<u>R⁴</u>	<u>R⁵</u>	<u>R⁶</u>
10	D - 18	F	C ℓ	NHCOCC ℓ ₃
15	D - 19	F	C ℓ	NHCOCF ₃
20	D - 20	F	C ℓ	N(CH ₂)C≡CHCOCH ₃
25	D - 21	F	C ℓ	NHCHO
30	D - 22	F	C ℓ	NHCO ₂ CH ₃
35	D - 23	F	C ℓ	NHCO ₂ C ₂ H ₅
40	D - 24	F	C ℓ	N(CH ₃)CO ₂ C ₂ H ₅
45	D - 25	F	C ℓ	NHCO ₂ CH ₂ CH ₂ CH ₃
50	D - 26	F	C ℓ	NHCO ₂ (CH ₂) ₃ CH ₃
55	D - 27	F	C ℓ	NHCO ₂ CH ₂ CH(CH ₃) ₂
60	D - 28	F	C ℓ	NHCO ₂ CH ₂ CH ₂ C ℓ
65	D - 29	F	C ℓ	NHCO ₂ CH ₂ CC ℓ ₃
70	D - 30	F	C ℓ	NHCO ₂ CH ₂ CH ₂ OCH ₃
75	D - 31	F	C ℓ	NHCO ₂ CH ₂ C ₆ H ₅
80	D - 32	F	C ℓ	NHCO ₂ C ₆ H ₅
85	D - 33	F	C ℓ	NHCONHSO ₂ CH ₃
90	D - 34	F	C ℓ	NHCONHCH ₃

Table 1 (Contd.)

	Compound No.	R ⁴	R ⁵	R ⁶
5	D - 35	F	C ₂ H ₅	NHCOCO ₂ C ₂ H ₅
10	D - 36	F	C ₂ H ₅	NHCOC(=O)CH ₂ CH(CH ₃)CH ₂ CO ₂ H
15	D - 37	F	C ₂ H ₅	NHCOC(=O)CH ₂ CH(CF ₃)CH ₂ CO ₂ H
20	D - 38	F	C ₂ H ₅	NHCOC(=O)CH ₂ CH(CF ₃)CH ₂ CO ₂ CH ₃
25	D - 39	F	C ₂ H ₅	4-Me-Q ₃ O
30	D - 40	F	C ₂ H ₅	4-CF ₃ -Q ₃ O
35	D - 41	F	C ₂ H ₅	Q ₄ 3
40	D - 42	F	C ₂ H ₅	NHS(=O)C(=O)C ₂ H ₅
45	D - 43	F	C ₂ H ₅	NHSOC(=O)C ₂ H ₅
50	D - 44	F	C ₂ H ₅	N=CHN(CH ₃) ₂
	D - 45	F	C ₂ H ₅	NHC(=O)Q ₄
	D - 46	H	C ₂ H ₅	NHSOC ₆ H ₅
	D - 47	C ₂ H ₅	F	NHCOC(=O)CH ₂ CH(CF ₃)CH ₂ CO ₂ C ₂ H ₅
	D - 48	H	C ₂ H ₅	NHSO ₂ C ₆ H ₅
	D - 49	F	C ₂ H ₅	NHSO ₂ -(2-CO ₂ C ₂ H ₅ -C ₆ H ₄)
	D - 50	F	C ₂ H ₅	NHC(=O)SCH ₃
	D - 51	F	C ₂ H ₅	NHC(=O)CH ₂ -(4-F-C ₆ H ₄)

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Table 1 (Contd.)

5	Compound No.	R ⁴	R ⁵	R ⁶
10	D - 52	F	C ℓ	NHCO ₂ CH ₂ - (4 - C ℓ - C ₆ H ₄)
15	D - 53	F	C ℓ	NHCO ₂ CH ₂ - (4 - CH ₃ - C ₆ H ₄)
20	D - 54	F	C ℓ	NHCO ₂ CH ₂ C(CH ₃) ₃
25	D - 55	F	C ℓ	NHC(0)SCH ₂ C ₆ H ₅
30	D - 56	F	F	NHSO ₂ C ₆ H ₅
35	D - 57	C ℓ	C ℓ	NHSO ₂ C ₆ H ₅
40	D - 58	H	C ℓ	NHCO ₂ CH ₃
45	D - 59	F	F	NHCO ₂ CH ₃
50	D - 60	C ℓ	C ℓ	NHCO ₂ CH ₃
55	D - 61	F	B r	NHCO ₂ C ₂ H ₅
60	D - 62	F	B r	NHSO ₂ C ₆ H ₅
65	D - 63	F	C ℓ	NHCO ₂ CH ₂ - (4 - CH ₃ O - C ₆ H ₄)
70	D - 64	F	C ℓ	NHCO ₂ CH ₂ - (3 - CH ₃ - C ₆ H ₄)
75	D - 65	F	C ℓ	NHCO ₂ CH ₂ - (2 - CH ₃ - C ₆ H ₄)
80	D - 66	F	B r	NHCO ₂ CH ₃
85	D - 67	F	B r	NHCO ₂ CH ₂ CH ₂ CH ₃
90	D - 68	H	B r	NHCO ₂ CH ₃

Table 1 (Contd.)

	<u>Compound No.</u>	<u>R⁴</u>	<u>R⁵</u>	<u>R⁶</u>		
5	D - 69	F	B r	NHCO ₂	CH ₂	C ₆ H ₅
10	D - 70	F	C ℓ	NHCO ₂	CH ₂ - (4 - C ₂ H ₅ - C ₆ H ₄)	
15	D - 71	F	C ℓ	NHCO ₂	CH ₂ - (4 - C(CH ₃) ₃ - C ₆ H ₄)	
20	D - 72	F	C ℓ	NHCO ₂	CH ₂ - (4 - CF ₃ - C ₆ H ₄)	
25	D - 73	F	C ℓ	NHCO ₂	CH ₂ - (4 - NO ₂ - C ₆ H ₄)	
30	D - 74	F	C ℓ	NHCO ₂	CH ₂ - Q ₁	
35	D - 75	F	C ℓ	NHCO ₂	CH ₂ - Q ₄	
40	D - 76	F	C ℓ	NHCO ₂	CH(CH ₃)C ₆ H ₅	
45	D - 77	F	C ℓ	NHCO ₂	CH ₂ CH ₂ C ₆ H ₅	
50	D - 78	F	C ℓ	NHCO ₂	CH ₂ CF ₃	
55	D - 79	F	C ℓ	NHCO ₂	CH ₂ - (cyclopentyl)	
60	D - 80	F	C ℓ	NHCO ₂	CH ₂ CH=CHCH ₃ (trans)	
65	D - 81	F	C ℓ	NHC(=O)NH	CH ₂ C ₆ H ₅	
70	D - 82	F	C ℓ	NHCO ₂	CH ₂ CH=CH ₂	
75	D - 83	F	C ℓ	NHCO ₂	CH ₂ CH=CHC ₆ H ₅	
80	D - 84	F	C ℓ	NHCO ₂	CH ₂ -Q ₂	
85	D - 85	F	C ℓ	NHCO ₂	CH ₂ -Q ₃	

Table 1 (Contd.)

	<u>Compound No.</u>	<u>R⁴</u>	<u>R⁵</u>	<u>R⁶</u>
10	D - 86	F	C ℓ	NHCO ₂ CH ₂ - Q ₄₈
	D - 87	F	C ℓ	NHCO ₂ CH ₂ - (β -naphthyl)
15	D - 88	F	C ℓ	NHCO ₂ - (4-CH ₃ - C ₆ H ₄)
	D - 89	F	C ℓ	NHCON(CH ₃) CH ₂ C ₆ H ₅
20	D - 90	F	C ℓ	NHCO-Q ₃₂
	D - 91	F	C ℓ	NHC(S)OCH ₃
25	D - 92	F	C ℓ	NHSO ₂ CH ₂ C ₆ H ₅
	D - 93	F	C ℓ	NHC(S)SCH ₃
30	D - 94	F	C ℓ	NHC(S)OCH ₂ C ₆ H ₅
	D - 95	F	C ℓ	N(CHO)CH ₂ CO ₂ CH ₃
35	D - 96	F	C ℓ	NHCH ₂ CO ₂ CH ₃
	D - 97	F	C ℓ	NHCH(CH ₃) CO ₂ CH ₃
40	D - 98	F	C ℓ	NHCH(CH ₃) CO ₂ C ₂ H ₅
	D - 99	F	C ℓ	NHCH ₂ P(O)(OC ₂ H ₅) ₂
45	D - 100	F	C ℓ	NHCH ₂ SC ₂ H ₅
	D - 101	F	C ℓ	NHCH ₂ SO ₂ CH ₃
50	D - 102	F	C ℓ	NHCH ₂ CN

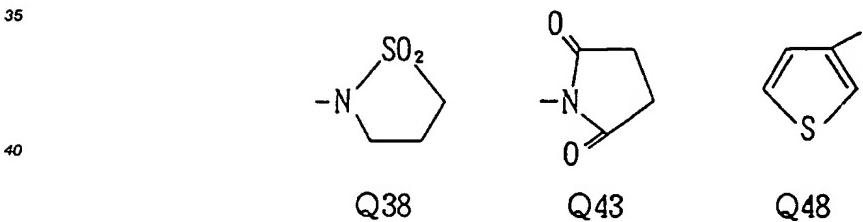
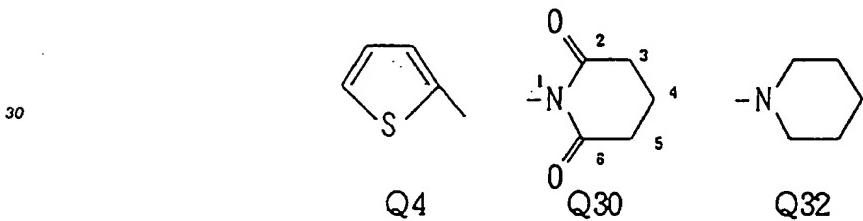
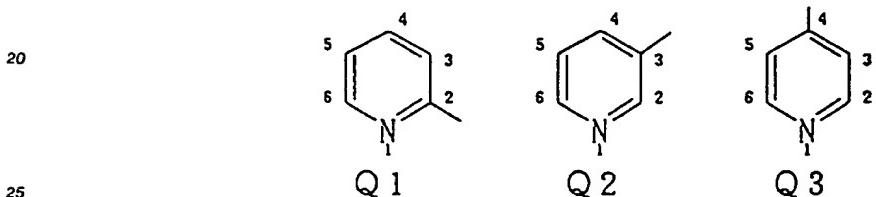
Table 1 (Contd.)

	<u>Compound No.</u>	<u>R⁴</u>	<u>R⁵</u>	<u>R⁶</u>
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10 D - 103 F C & NHCO₂ CH₂ - (2, 4 - (CH₃)₂ - C₆H₃)

15 D - 104 F C & NHCO₂ CH₂ - (3, 4 - (CH₃)₂ - C₆H₃)

in which Q₁, Q₂, Q₃, Q₄, Q₃₀, Q₃₂, Q₃₈, Q₄₃ and Q₄₈ are as shown below.



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Table 2

	<u>Compound No.</u>	<u>$^1\text{H-NMR } \delta$ (ppm)</u> <u>[solvent]</u>	<u>Physical property</u>
5	D - 1	3. 60 (3H, s), 6. 35 (1H, s), 7. 12 (1H, d, $J = 8\text{ Hz}$), 7. 39 - 7. 81 (7H, m)	
10		[C D C ℓ ₃]	Melting point 188 - 190 °C
15	D - 2	3. 18 (3H, s), 3. 53 (3H, s), 6. 33 (1H, s), 7. 05 - 7. 83 (7H, m)	
20		[C D C ℓ ₃]	Melting point 148 - 150 °C
25	D - 3	3. 54 (3H, s), 6. 36 (1H, s), 6. 93 - 7. 29 (4H, m), 7. 62 - 7. 88 (3H, m)	
30		[C D C ℓ ₃]	Melting point 190 - 192 °C
35	D - 4	3. 52 (3H, s), 6. 36 (1H, s), 6. 98 - 7. 80 (7H, m)	
40		[C D C ℓ ₃]	Melting point 211 - 212 °C
45	D - 5	3. 52 (3H, s), 3. 77 (3H, s), 6. 28 (1H, s), 6. 70 - 7. 16 (4H, m), 7. 48 - 7. 69 (3H, m)	
50		[C D C ℓ ₃]	Melting point 178 - 179 °C
55	D - 6	2. 63 (3H, s), 3. 52 (3H, s), 6. 37 (1H, s), 6. 90 - 7. 80 (7H, m)	
		[C D C ℓ ₃]	Melting point 183 - 185 °C

Table 2 (Contd.)

5	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
10	D - 7	2.34 (3H, s), 3.52 (3H, s), 6.39 (1H, s), 6.87-7.72 (7H, m)	
15		[C D C ℓ] [₃]	Melting point 182-184°C
20	D - 8	2.38 (3H, s), 3.57 (3H, s), 6.37 (1H, s), 7.08-7.33 (3H, m), 7.57-7.78 (4H, m)	
25		[C D C ℓ] [₃]	Melting point 194-195°C
30	D - 9	3.54 (3H, s), 6.27 (1H, s), 7.01-7.25 (1H, m), 7.53-7.90 (6H, m)	
35		[C D C ℓ] [₃]	Glass-like oily product
40	D - 10	3.56 (3H, s), 6.37 (1H, s), 7.02-8.80 (6H, m)	
45		[C D C ℓ] [₃]	Glass-like oily product
50	D - 11	3.57 (3H, s), 6.29 (1H, s), 7.00-7.25 (2H, m), 7.57-8.25 (5H, m)	
		[C D C ℓ] [₃]	Melting point 210-212°C
	D - 12	3.58 (3H, s), 6.30 (1H, s), 6.93-7.31 (3H, m), 7.45-7.82 (3H, m)	
		[C D C ℓ] [₃]	Melting point 173-174°C

Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5			
10	D - 13	3.11 (3H, s), 3.53 (3H, s), 3.71 (3H, s), 4.12 (2H, s), 6.34 (1H, s), 7.41 (1H, d, J = 9 Hz), 7.80 (1H, d, J = 7 Hz)	
15		[C D C ℓ ₃]	Viscous oily product
20	D - 14	1.36 (3H, t, J = 7 Hz), 1.48 (3H, t, J = 7 Hz), 3.57 (3H, s), 3.80 (2H, q, J = 7 Hz), 4.27 (2H, q, J = 7 Hz), 6.21 (1H, s), 7.31 (1H, s), 7.47 (1H, d, J = 2 Hz)	
25		[C D C ℓ ₃]	Melting point 155-157°C
30	D - 15	2.52 (2H, q, J = 6 Hz), 3.12-3.79 (4H, m), 3.47 (3H, s), 6.19 (1H, s), 7.24 (1H, d, J = 3 Hz), 7.31 (1H, br s), 7.41 (1H, d, J = 7 Hz)	
35		[C D C ℓ ₃]	Melting point 192-193°C
40	D - 16	1.20 (6H, t, J = 7 Hz), 3.38 (3H, s), 2.97 (4H, dq, J = 7 Hz), 5.41 (1H, d, J = 7 Hz), 6.12 (1H, s), 7.04 (1H, d, J = 9 Hz), 7.06 (1H, d, J = 7 Hz)	
45		[C D C ℓ ₃]	Melting point 130-132°C
50			

Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 17	2.15 (3H, s), 3.47 (3H, s), 6.54 (1H, s), 7.70 (1H, d, $J = 9\text{ Hz}$), 7.90 (1H, d, $J = 8\text{ Hz}$), 9.56 (1H, br s)	
10		[d ₆ - DMSO]	Melting point 263-266 °C
15	D - 18	3.45 (3H, s), 6.2 (1H, s), 7.25 (1H, d, $J = 9\text{ Hz}$), 8.13 (1H, d, $J = 7\text{ Hz}$), 8.86 (1H, br s)	
20		[CDCl ₃]	Viscous oily product
25	D - 19	3.44 (3H, s), 6.21 (1H, s), 7.22 (1H, d, $J = 9\text{ Hz}$), 8.04 (1H, d, $J = 7\text{ Hz}$), 8.44 (1H, br s)	
30		[CDCl ₃]	Melting point 144-145 °C
35	D - 20	1.87 (3H, s), 2.20 (1H, t, $J = 2\text{ Hz}$), 3.53 (3H, s), 3.62-4.11 (1H, m), 4.78-5.21 (1H, m), 6.28 (1H, s), 7.28 (1H, d, $J = 7\text{ Hz}$), 7.35 (1H, d, $J = 10\text{ Hz}$)	
40		[CDCl ₃]	Melting point 169-171 °C
45	D - 21	3.42 (3H, s), 6.28 (1H, s), 7.34 (1H, d, $J = 10\text{ Hz}$), 8.17 (1H, d, $J = 8\text{ Hz}$), 8.27 (1H, br s), 9.67 (1H, br s), [d ₆ - DMSO]	Melting point 268-270 °C
50			

Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 22	3. 51 (3H, s), 3. 72 (3H, s), 6. 30 (1H, s), 7. 10 (1H, br s), 7. 27 (1H, d, J=9 Hz), 8. 18 (1H, d, J=7 Hz)	
10			
15		[C D C <sub>3</sub>]	Melting point 136-138°C
20	D - 23	1. 26 (3H, t, J=7 Hz), 3. 37 (3H, s), 4. 16 (2H, q, J=7 Hz), 6. 45 (1H, s), 7. 57 (1H, d, J=9 Hz), 7. 77 (1H, d, J=7 Hz), 9. 10 (1H, br s)	
25			
30	D - 24	[d <sub>6</sub> - D M S O]	Melting point 153-155°C
35		1. 16 (1H, t, J=7 Hz), 3. 16 (3H, s), 3. 49 (3H, s), 3. 51 (2H, q, J=7 Hz), 6. 24 (1H, s), 7. 07 (1H, d, J=7 Hz), 7. 23 (1H, d, J=9 Hz)	
40	D - 25	[C D C <sub>3</sub>]	Melting point 144-146°C
45		0. 94 (3H, t, J=7 Hz), 1. 70 (2H, m), 3. 47 (3H, s), 4. 04 (2H, t, J=7 Hz), 6. 21 (1H, s), 6. 95 (1H, br s), 7. 14 (1H, d, J=9 Hz), 8. 06 (1H, d, J=7 Hz)	
50		[C D C <sub>3</sub>]	Melting point 152-154°C

Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 26	0. 98 (3 H, t, J = 6 Hz), 1. 18-1. 73 (4 H, m), 3. 48 (3 H, s), 4. 12 (2 H, t, J = 6 Hz), 6. 25 (1 H, s), 6. 98 (1 H, br s), 7. 16 (1 H, d, J = 9 Hz), 8. 11 (1 H, d, J = 7 Hz)	
10		[C D C ℓ] ₃	Melting point 117-118 °C
15	D - 27	0. 98 (6 H, d, J = 6 Hz), 1. 18-2. 25 (1 H, m), 3. 50 (3 H, s), 3. 91 (2 H, d, J = 6 Hz), 6. 24 (1 H, s), 7. 00 (1 H, br s), 7. 18 (1 H, d, J = 9 Hz), 8. 11 (1 H, d, J = 7 Hz)	
20		[C D C ℓ] ₃	Melting point 129-131 °C
25	D - 28	3. 50 (3 H, s), 3. 67 (2 H, t, J = 6 Hz), 4. 38 (2 H, t, J = 6 Hz), 6. 24 (1 H, s), 7. 09 (1 H, br s), 7. 18 (1 H, d, J = 9 Hz), 8. 08 (1 H, d, J = 7 Hz)	
30		[C D C ℓ] ₃	Melting point 153-154 °C
35	D - 29	3. 49 (3 H, s), 4. 71 (2 H, s), 6. 22 (1 H, s), 7. 17 (1 H, d, J = 8 Hz), 7. 22 (1 H, br s), 8. 00 (1 H, d, J = 7 Hz)	
40		[C D C ℓ] ₃	Melting point 158-161 °C
45			
50			

Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 30	3. 36 (3H, s), 3. 51 (3H, s), 3. 55-3. 69 (2H, m), 4. 20-4. 40 (2H, m), 6. 23 (1H, s), 7. 10 (1H, br s), 7. 16 (1H, d, J=9 Hz), 8. 07 (1H, d, J=7 Hz)	
10		[C D C ℓ ₃]	Melting point 119-120 °C
15	D - 31	2. 97 (3H, s), 5. 11 (2H, s), 6. 23 (1H, s), 7. 19 (1H, d, J=9 Hz), 7. 21 (1H, br s), 7. 26 (5H, s), 8. 11 (1H, d, J=7 Hz)	
20		[C D C ℓ ₃]	Glass-like oily product
25	D - 32	3. 48 (3H, s), 6. 21 (1H, s), 7. 05-7. 60 (7H, m), 8. 20 (1H, d, J=7 Hz)	
30		[C D C ℓ ₃]	Melting point 158-160 °C
35	D - 33	3. 22 (3H, s), 3. 48 (3H, s), 6. 23 (1H, s), 7. 20 (1H, d, J=9 Hz), 8. 11 (1H, d, J=7 Hz), 8. 28 (1H, br s)	
40		[d ₆ - D M S O]	Melting point 214-216 °C
45			
50			

Table 2. (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 34	2. 69 (3H, br d, J=3 Hz), 3. 54 (3H, s), 5. 62 (1H, br s), 6. 36 (1H, s), 7. 15 (1H, br s), 7. 16 (1H, d, J=9 Hz), 8. 26 (1H, d, J=8 Hz)	[C D C ℓ] Melting point 216-218°C
10	D - 35	1. 43 (3H, t, J=6 Hz), 3. 52 (3H, s), 4. 38 (2H, q, J=6 Hz), 6. 23 (1H, s), 7. 23 (1H, d, J=9 Hz), 8. 34 (1H, d, J=7 Hz), 9. 29 (1H, br s)	[C D C ℓ] Melting point 127-129°C
15	D - 36	0. 98-1. 47 (3H, m), 2. 42 (5H, br s), 3. 54 (3H, s), 6. 37 (1H, s), 7. 38 (1H, d, J=9 Hz), 7. 97 (1H, s), 8. 45 (1H, d, J=7 Hz), 9. 57 (1H, br s)	[C D C ℓ] Glass-like oily product
20	D - 37	2. 46-3. 81 (5H, m), 3. 46 (3H, s), 6. 21 (1H, s), 7. 10 (1H, d, J=9 Hz), 7. 93 (1H, d, J=10 Hz), 8. 14 (1H, br s)	[C D C ℓ] Melting point 115-119°C
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Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 38	2.47-2.94 (5H, m), 3.47 (3H, br s), 3.61 (3H, s), 6.21 (1H, s), 7.10 (1H, d, J=9 Hz), 7.91 (1H, br s), 8.14 (1H, d, J=7 Hz)	
10		[C D C ℓ ₃]	Melting point 158-160 °C
15	D - 39	0.79-1.41 (3H, m), 2.00-3.23 (5H, m), 3.52 (3H, s), 6.39 (1H, s), 7.16 (1H, d, J=7 Hz), 7.46 (1H, d, J=9 Hz),	
20		[C D C ℓ ₃]	Melting point 161-165 °C
25	D - 40	2.69-3.35 (5H, m), 3.46 (3H, s), 6.78 (1H, s), 7.21 (1H, d, J=7 Hz), 7.43 (1H, d, J=9 Hz)	
30		[d ₆ - D M S O]	Melting point 253-254 °C
35	D - 41	2.88 (4H, s), 3.42 (3H, s), 6.39 (1H, s), 7.50 (1H, d, J=7 Hz), 7.64 (1H, d, J=9 Hz)	
40		[d ₆ - D M S O]	Melting point 158-161 °C
45	D - 42	3.50 (3H, s), 6.31 (1H, s), 6.86 (1H, br s), 7.22 (1H, d, J=9 Hz), 7.53 (1H, d, J=7 Hz)	
50		[C D C ℓ ₃]	Oily product

Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 43	3.53 (3H, s), 6.32 (1H, s), 6.64 (1H, br s), 7.28 (1H, s), 7.44 (1H, d, $J=3\text{ Hz}$)	
10		[C D C ℓ ₃]	Melting point 165-167°C
15	D - 44	2.95 (6H, s), 3.46 (3H, s), 6.20 (1H, s), 6.63 (1H, d, $J=7\text{ Hz}$), 7.13 (1H, d, $J=9\text{ Hz}$), 7.31 (1H, s)	
20		[C D C ℓ ₃]	Viscous oily product
25	D - 45	3.50 (3H, s), 6.25 (1H, s), 6.95-7.65 (4H, m), 8.10 (1H, br s), 8.42 (1H, d, $J=7\text{ Hz}$)	
30		[C D C ℓ ₃]	Melting point 148-150°C
35	D - 46	3.49 (3H, s), 6.21 (1H, s), 6.65-6.79 (9H, m)	
40		[C D C ℓ ₃]	Melting point 220-222°C (decomposition)
45	D - 47	1.29 (3H, t, $J=7\text{ Hz}$), 2.42-3.81 (5H, m), 3.60 (3H, br s), 4.19 (2H, q, $J=7\text{ Hz}$), 6.41 (1H, s), 7.25 (1H, d, $J=10\text{ Hz}$), 8.20 (1H, br s)	
50		[C D C ℓ ₃]	Melting point 73-75°C

Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) (solvent)	Physical property
5	D - 48	3. 54 (3H, s), 6. 35 (1H, s), 6. 80-7. 88 (8H, m), 8. 99 (1H, br s)	
10		[d ₆ - DMSO]	Melting point 217-219°C
15	D - 49	1. 48 (3H, d, J=7Hz), 3. 58 (3H, s), 4. 51 (2H, q, J=7Hz), 6. 35 (1H, s), 7. 20 (1H, d, J=9Hz), 7. 47-8. 01 (5H, s), 8. 62 (1H, br s)	
20		[CDCl ₃]	Melting point 175-177°C
25	D - 50	2. 34 (3H, s), 3. 49 (3H, s), 6. 21 (1H, s), 7. 16 (1H, d, J=8Hz), 7. 41 (1H, br s), 8. 11 (1H, d, J=7Hz)	
30		[CDCl ₃]	Melting point 149-151°C
35	D - 51	3. 50 (3H, s), 5. 15 (2H, s), 6. 33 (1H, s), 6. 80-7. 51 (6H, m), 8. 23 (1H, d, J=7Hz)	
40		[CDCl ₃]	Melting point 142-144°C
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Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 52	3. 50 (3H, s), 5. 10 (2H, s), 6. 25 (1H, s), 7. 11-7. 35 (6H, m), 8. 11 (1H, d, J=7Hz)	
10		[C D C ℓ] ₃	Melting point 134-136°C
15	D - 53	2. 31 (3H, s), 3. 48 (3H, s), 5. 54 (2H, s), 6. 20 (1H, s), 6. 95-7. 25 (6H, m), 8. 08 (1H, d, J=7Hz)	
20		[C D C ℓ] ₃	Melting point 142-143°C
25	D - 54	1. 00 (9H, s), 3. 56 (3H, s), 3. 86 (2H, s), 6. 37 (1H, s), 7. 09 (1H, br s), 7. 20 (1H, d, J=9Hz), 8. 22 (1H, d, J=7Hz)	
30		[C D C ℓ] ₃	Melting point 150-152°C
35	D - 55	3. 54 (3H, s), 4. 19 (2H, s), 6. 34 (1H, s), 7. 10-7. 41 (6H, m), 8. 07 (1H, d, J=7Hz), 8. 61 (1H, br s)	
40		[C D C ℓ] ₃	Melting point 192-193°C
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Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 56	3. 59 (3H, s), 6. 36 (1H, s), 7. 35-7. 90 (8H, m) [d ₆ - D M S O]	Melting point 189-191°C
10	D - 57	3. 48 (3H, s), 6. 50 (1H, s), 7. 38-7. 90 (8H, m) [d ₆ - D M S O]	Melting point 211-223°C
15	D - 58	3. 56 (3H, s), 3. 80 (3H, s), 6. 36 (1H, s), 6. 75-7. 66 (3H, m), 8. 20 (1H, br s)	
20	D - 59	[C D C ℥ ₃]	Melting point 130-132°C
25	D - 60	3. 48 (3H, s), 3. 74 (3H, s), 6. 44 (1H, s), 7. 11-7. 96 (3H, m) [d ₆ - D M S O]	Melting point 254-256°C
30	D - 61	3. 53 (3H, s), 3. 79 (3H, s), 6. 33 (1H, s), 7. 38-7. 68 (2H, m), 8. 19 (1H, br s)	
35	D - 62	[d ₆ - D M S O]	Melting point 183-185°C
40	D - 63	1. 30 (3H, t, J=7Hz), 3. 51 (3H, br s), 4. 21 (2H, q, J=7Hz), 6. 32 (1H, s), 7. 09 (1H, br s), 7. 44 (1H, d, J=8Hz), 8. 20 (1H, d, J=7Hz) [C D C ℥ ₃]	Melting point 147-149°C
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Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 62	3.49 (3H, s), 6.32 (1H, s), 7.19-8.00 (7H, m)	
10		[C D C ℓ ₃ - DMSO - d ₆] Melting point	205-207°C
15	D - 63	3.51 (3H, s), 3.79 (3H, s), 5.10 (2H, s), 6.31 (1H, s), 6.78-7.45 (6H, m), 8.22 (1H, d, J = 7 Hz)	
20		[C D C ℓ ₃] Melting point	147-148°C
25	D - 64	2.38 (3H, s), 3.57 (3H, s), 5.19 (2H, s), 6.33 (1H, s), 7.11-7.40 (6H, m), 8.26 (1H, d, J = 8 Hz)	
30		[C D C ℓ ₃] Melting point	152-154°C
35	D - 65		Melting point 119-121°C
40	D - 66	3.52 (3H, s), 3.73 (3H, s), 6.27 (1H, s), 7.05 (1H, br s), 7.36 (1H, d, J = 8 Hz), 8.08 (1H, d, J = 7 Hz)	
45		[C D C ℓ ₃] Melting point	114-116°C

Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
10	D - 67	0. 95 (3H, t, J=7 Hz), 1. 28-1. 98 (2H, m), 3. 50 (3H, br s), 4. 10 (2H, t, J=7 Hz)	
15		6. 31 (1H, s), 7. 11 (1H, br s), 7. 43 (1H, d, J=8 Hz), 8. 19 (1H, d, J=7 Hz)	
20		[C D C ℓ ₃]	Melting point 154-157°C
25	D - 68	3. 53 (3H, s), 3. 79 (3H, s), 6. 36 (1H, s), 6. 75-8. 20 (4H, m),	
30	D - 69	[C D C ℓ ₃]	Melting point 125-126°C
35	D - 70	3. 54 (3H, s), 5. 11 (2H, s), 6. 31 (1H, s), 7. 11-7. 60 (7H, m), 8. 20 (1H, d, J=7 Hz)	
40		[C D C ℓ ₃]	Melting point 110-112°C
45	D - 70	1. 23 (3H, t, J=7 Hz), 2. 63 (2H, q, J=7 Hz), 3. 54 (3H, s), 5. 17 (2H, s), 6. 36 (1H, s), 7. 20-7. 38 (6H, m), 8. 29 (1H, d, J=7 Hz),	
50		[C D C ℓ ₃]	Melting point 131-133°C

Table 2 (Contd.)

Compound No.	$^1\text{H-NMR}$ δ (ppm) [solvent]	Physical property
D - 71	1. 32 (9 H, s), 3. 53 (3 H, s), 5. 16 (2 H, s), 6. 35 (1 H, s), 7. 17-7. 46 (6 H, m), 8. 27 (1 H, d, J = 7 Hz)	
	[C D C ℥] 3	Semi-solid
D - 72	3. 52 (3 H, s), 5. 23 (2 H, s), 6. 34 (1 H, s), 7. 30-7. 70 (6 H, m), 8. 22 (1 H, d, J = 7 Hz)	
	[C D C ℥] 3	Melting point . 103-104 °C
D - 73	3. 54 (3 H, s), 5. 27 (2 H, s), 6. 36 (1 H, s), 7. 20-7. 70 (4 H, m), 8. 10-8. 40 (3 H, m)	
	[C D C ℥] 3	Glass-like
D - 74	3. 56 (3 H, s), 5. 32 (2 H, s), 6. 35 (1 H, s), 7. 20-7. 75 (5 H, m), 8. 27 (1 H, d, J = 7 Hz), 8. 69 (1 H, d, J = 5 Hz)	
	[C D C ℥] 3	Glass-like
D - 75	3. 52 (3 H, s), 5. 34 (2 H, s), 6. 34 (1 H, s), 6. 88-7. 45 (5 H, m), 8. 26 (1 H, d, J = 7 Hz),	
	[C D C ℥] 3	Melting point 167-169 °C

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Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 76	1. 61 (3 H, d, J = 6 Hz), 3.56 (3 H, s), 5. 89 (1 H, q, J = 6 Hz), 6. 38 (1 H, s), 7. 12 - 7. 55 (7 H, m), 8. 29 (1 H, d, J = 7 Hz)	[C D C ℓ ₃] Melting point 163 - 164 °C
10			
15	D - 77	2. 99 (2 H, t, J = 7 Hz), 3. 54 (3 H, s), 4. 39 (2 H, t, J = 7 Hz), 6. 35 (1 H, s), 7. 05 - 7. 40 (7 H, m), 8. 20 (1 H, d, J = 8 Hz)	[C D C ℓ ₃] Melting point 87 - 88 °C
20			
25	D - 78	3. 56 (3 H, s), 4. 60 (2 H, q, J = 8 Hz), 6. 38 (1 H, s), 7. 36 (1 H, d, J = 9 Hz), 7. 38 (1 H, br s), 8. 19 (1 H, d, J = 7 Hz)	[C D C ℓ ₃] Melting point 164 - 165 °C
30			
35	D - 79	1. 76 - 2. 26 (9 H, m), 3. 55 (3 H, s), 4. 15 (2 H, d, J = 6 Hz), 6. 35 (1 H, s), 7. 15 (1 H, br s), 7. 39 (1 H, d, J = 9 Hz), 8. 26 (1 H, d, J = 7 Hz)	[C D C ℓ ₃] Melting point 116 - 118 °C
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Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 80	1. 74 (3H, d, $J=5\text{ Hz}$), 3. 55 (3H, s), 4. 61 (2H, m), 5. 59-5. 88 (2H, m), 6. 39 (1H, s), 7. 18 (1H, br s), 7. 34 (1H, d, $J=9\text{ Hz}$), 8. 23 (1H, d, $J=7\text{ Hz}$), [C D C ℓ] ₃	Glass-like
10	D - 81	3. 52 (3H, s), 4. 34 (2H, d, $J=5\text{ Hz}$), 5. 72 (1H, br s), 6. 30 (1H, s), 6. 99 (1H, br s), 7. 08 (1H, d, $J=9\text{ Hz}$), 7. 20 (5H, s), 8. 33 (1H, d, $J=7\text{ Hz}$)	
15	D - 82	[C D C ℓ] ₃	Melting point 165-167°C
20	D - 83	3. 60 (3H, s), 4. 69 (2H, d, $J=5\text{ Hz}$), 5. 18-6. 13 (3H, m), 6. 41 (1H, s), 7. 23 (1H, br s), 7. 36 (1H, d, $J=9\text{ Hz}$), 8. 28 (1H, d, $J=7\text{ Hz}$) [C D C ℓ] ₃	Melting point 148-149°C
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30	D - 84	3. 57 (3H, s), 4. 87 (2H, d, $J=6\text{ Hz}$), 6. 32-6. 72 (3H, m), 7. 19-7. 49 (7H, m), 8. 27 (1H, d, $J=7\text{ Hz}$) [C D C ℓ] ₃	Melting point 114-116°C
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Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 84	3. 56 (3H, s), 5. 25 (2H, s), 6. 39 (1H, s), 7. 15-8. 71 (7H, m)	
10		[C D C ℓ ₃]	Melting point 170-171°C
15	D - 85	3. 59 (3H, s), 5. 22 (2H, s), 6. 38 (1H, s), 7. 12-7. 51 (4H, m), 8. 21 (1H, d, J=7Hz), 8. 12 (2H, d, J=6Hz)	
20		[C D C ℓ ₃]	Melting point 208-209°C
25	D - 86	3. 55 (3H, s), 5. 21 (2H, s), 6. 40 (1H, s), 7. 08-7. 49 (5H, m), 8. 30 (1H, br s)	
30		[C D C ℓ ₃]	Melting point 155-156°C
35	D - 87	3. 49 (3H, s), 5. 36 (2H, s), 6. 32 (1H, s), 7. 21-8. 03 (9H, m), 8. 31 (1H, d, J=7Hz)	
40		[C D C ℓ ₃]	Melting point 130-132°C
45	D - 88	2. 34 (3H, s), 3. 50 (3H, s), 6. 29 (1H, s), 6. 92-7. 55 (6H, m), 8. 24 (1H, d, J=7Hz)	
50		[C D C ℓ ₃]	Melting point 145-147°C

Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 89	3.06 (3H, s), 3.53 (3H, s), 4.59 (2H, s), 6.34 (1H, s), 6.90-7.40 (7H, m), 8.43 (1H, d, $J=8\text{ Hz}$)	
10		[C D C ℓ] ₃	Melting point 143-145°C
15	D - 90	1.45-1.80 (6H, m), 3.28-3.64 (7H, m), 6.28 (1H, s), 7.00 (1H, br s), 7.29 (1H, d, $J=9\text{ Hz}$), 8.39 (1H, d, $J=7\text{ Hz}$)	
20		[C D C ℓ] ₃	Melting point 99-100 °C
25	D - 91	3.50 (3H, s), 4.00 (3H, s), 6.35 (1H, s), 7.21 (1H, d, $J=8\text{ Hz}$), 7.85 (1H, d, $J=7\text{ Hz}$), 8.19 (1H, br s)	
30		[C D C ℓ] ₃	Melting point 123-125°C
35	D - 92	3.57 (3H, s), 4.39 (2H, s), 6.39 (1H, s), 6.78 (1H, br s), 7.28 (1H, d, $J=9\text{ Hz}$), 7.30 (5H, s), 7.68 (1H, d, $J=7\text{ Hz}$)	
40		[C D C ℓ] ₃	Melting point 160-162°C
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Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 93	2.59 (3H, s), 3.50 (3H, s), 6.22 (1H, s), 7.20 (1H, d, J=8 Hz), 7.91 (1H, d, J=7 Hz), 8.07 (1H, br s)	
10		[C D C <sub>3</sub>]	Melting point 123-125°C
15	D - 94	3.53 (3H, s), 5.54 (2H, s), 6.34 (1H, s), 7.32 (1H, d, J=9 Hz), 7.36 (5H, s), 7.98 (1H, d, J=9 Hz), 8.38 (1H, br s)	
20		[C D C <sub>3</sub>]	Melting point 71-73°C
25	D - 95	3.55 (3H, s), 3.72 (3H, s), 4.43 (2H, s), 6.35 (1H, s), 7.47 (1H, d, J=9 Hz), 7.71 (1H, d, J=9 Hz), 8.29 (1H, s)	
30		[C D C <sub>3</sub>]	Melting point 152-154°C
35	D - 96	3.46 (3H, s), 3.62 (2H, d, J=5 Hz), 3.74 (3H, s), 4.91 (1H, t, J=5 Hz), 6.26 (1H, s), 6.35 (1H, d, J=7 Hz), 7.22 (1H, d, J=9 Hz)	
40		[C D C <sub>3</sub>]	Glass-like
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Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
5	D - 97	1.47 (3H, d, $J=7\text{ Hz}$), 3.72 (3H, s), 3.79 (3H, s), 4.06 (1H, dq, $J=7, 7\text{ Hz}$), 4.73 (1H, br s), 6.34 (1H, s), 6.45 (1H, d, $J=7\text{ Hz}$), 7.26 (1H, d, $J=9\text{ Hz}$)	
10		[C D C ℓ] 20	Viscous oily product
15	D - 98	1.25 (3H, t, $J=7\text{ Hz}$), 1.45 (3H, d, $J=7\text{ Hz}$), 3.48 (3H, s), 4.15 (1H, dq, $J=7, 7\text{ Hz}$), 4.17 (2H, q, $J=7\text{ Hz}$), 4.82 (1H, br s), 6.31 (1H, s), 6.45 (1H, d, $J=7\text{ Hz}$), 7.23 (1H, d, $J=9\text{ Hz}$)	
20		[C D C ℓ] 30	Viscous oily product
25	D - 99		
30			
35			
40			
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Table 2 (Contd.)

	Compound No.	$^1\text{H-NMR } \delta$ (ppm) (solvent)	Physical property
5	D-100		
10			
15	D-101		
20			
25	D-102		
30			
35	D-103		
40			
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Table 2 (Contd.)

Compound No.	$^1\text{H-NMR } \delta$ (ppm) [solvent]	Physical property
D-104		

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The compounds of the present invention synthesized in accordance with the above scheme or Examples including the compounds synthesized in the above Examples are shown below, but the present invention is not limited by these.

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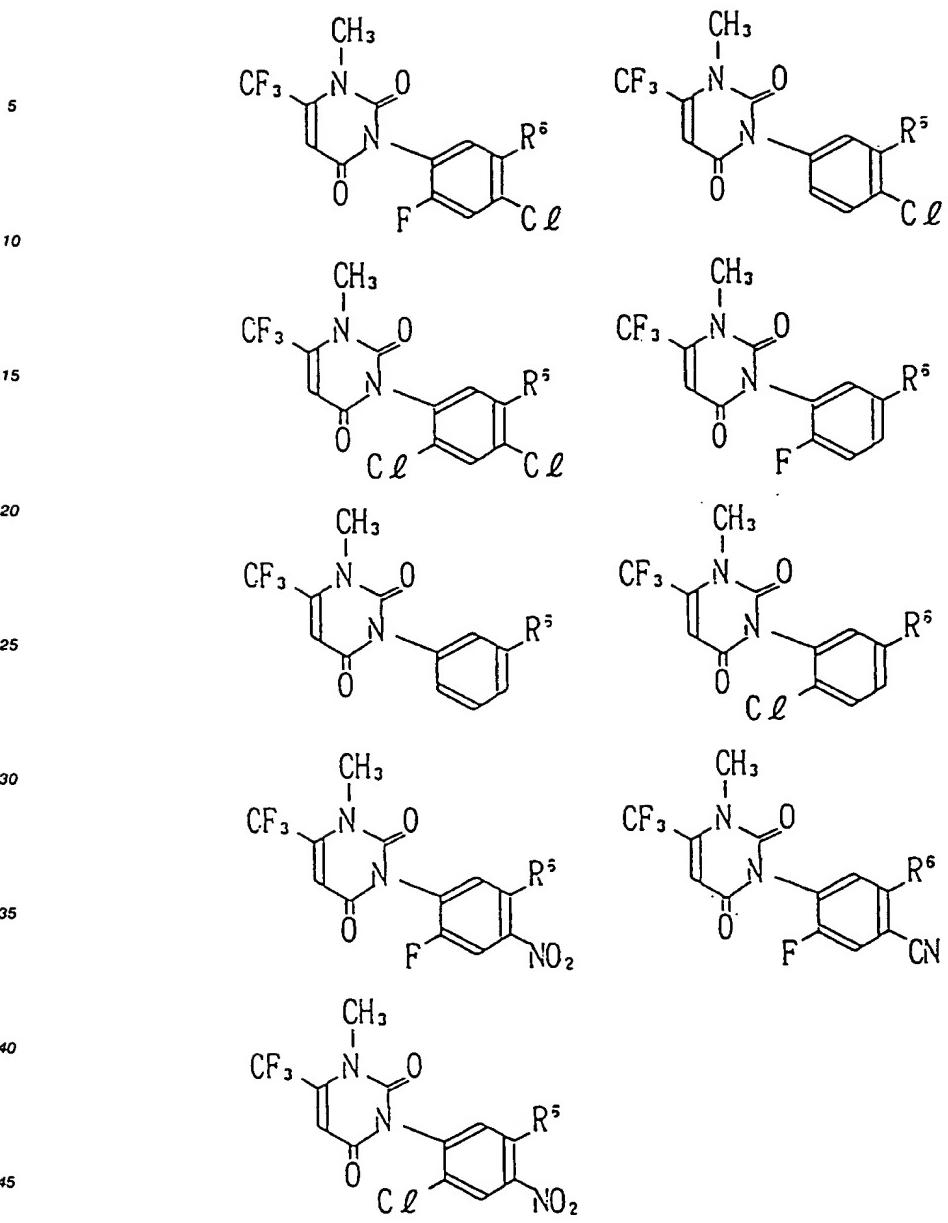
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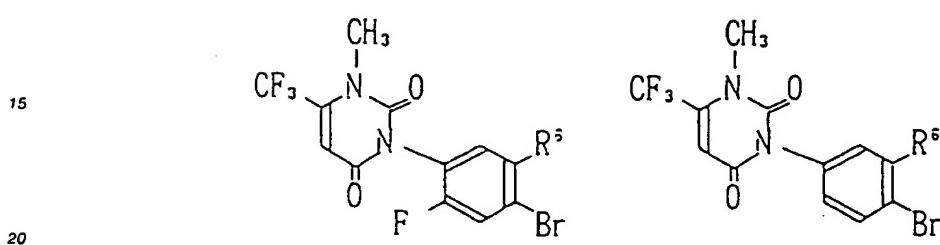
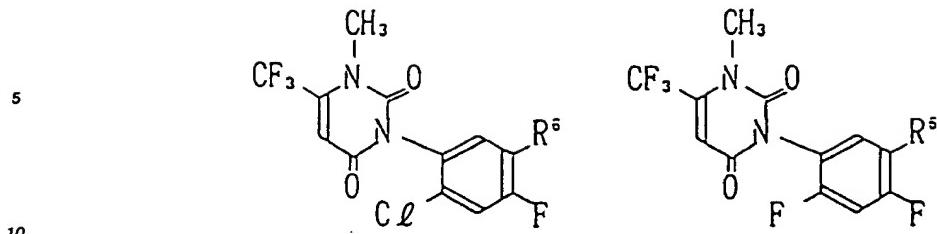
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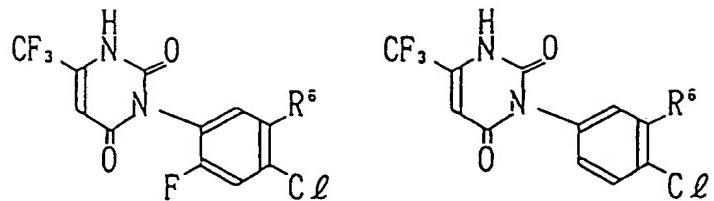
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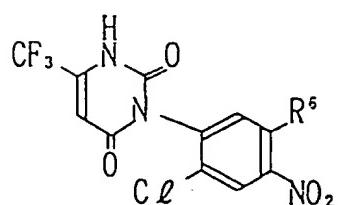
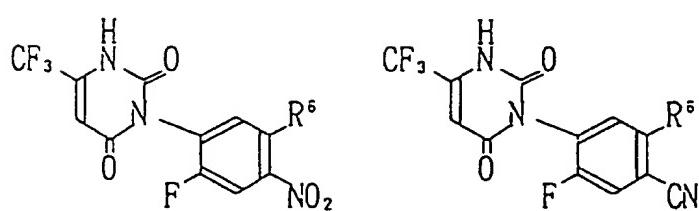
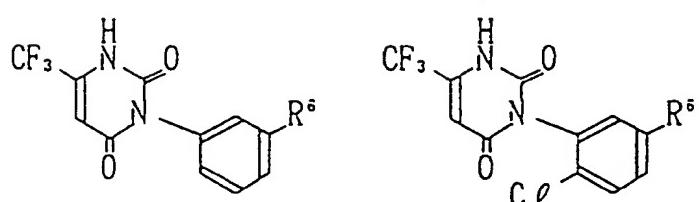
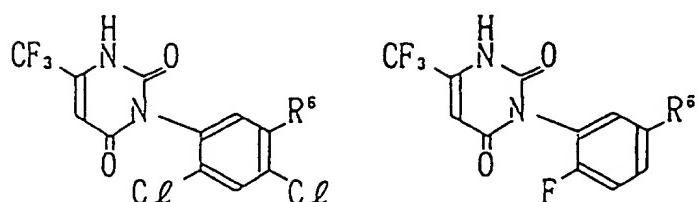
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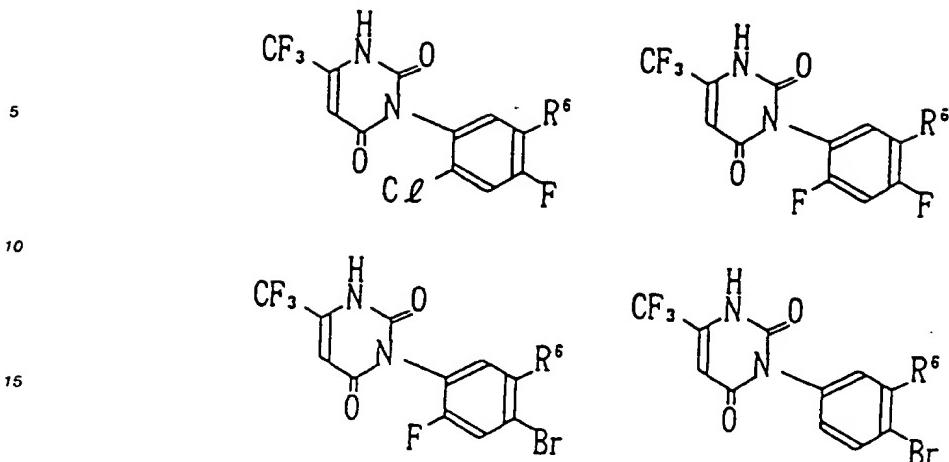


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in which R₆ represent the following:

(Abbreviations indicate respectively the meanings as shown below.

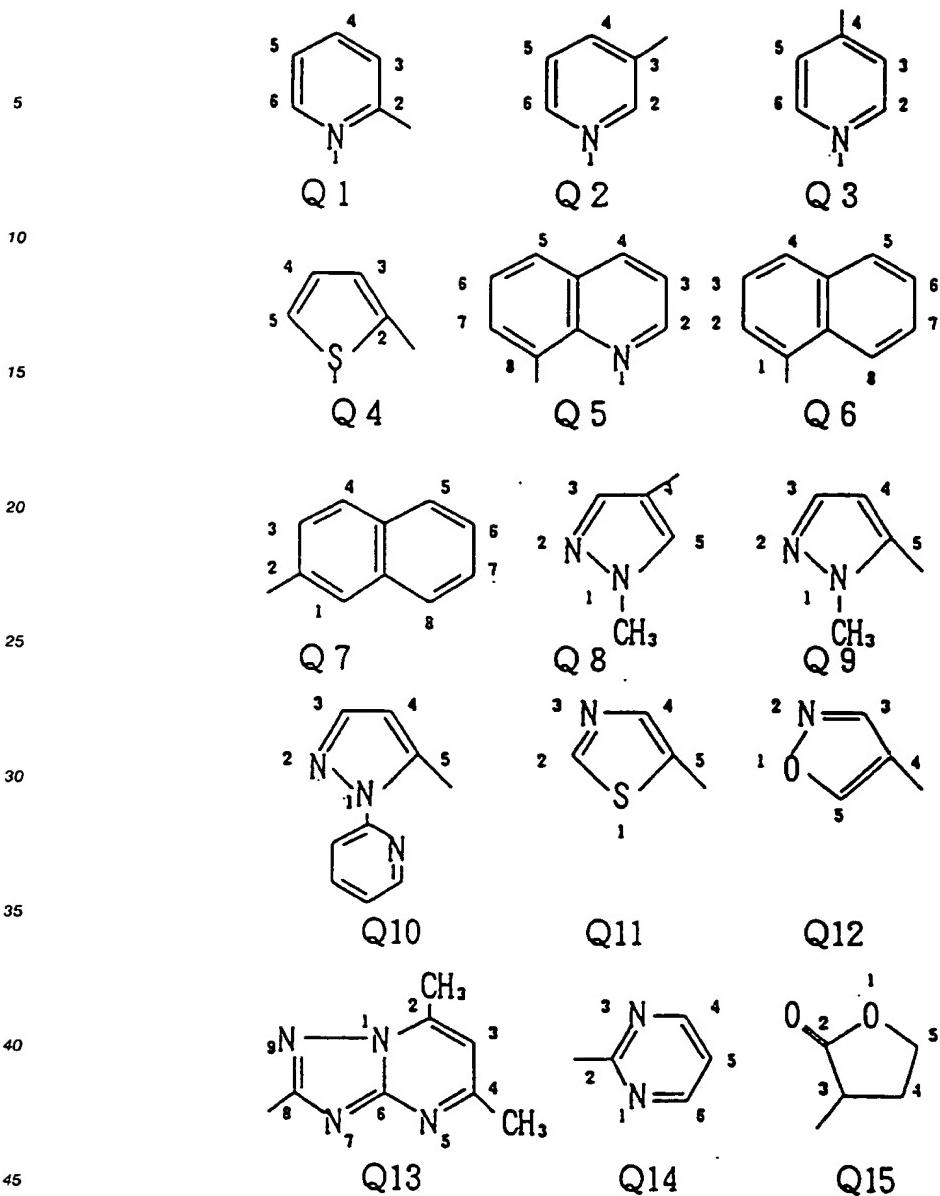
- Me: methyl group, Et: ethyl group, Ph: phenyl group, n-Bu: normal butyl group, s-Bu: secondary butyl group, i-Bu: iso butyl group, t-Bu: tertiary butyl group, n-Pr: normal propyl group, i-Pr: iso propyl group, n-Am: normal amyl group, t-Am: tertiary amyl group, n-Hex: normal hexyl group, c-Pr: cyclopropyl group, c-Bu: cyclobutyl group, c-pen: cyclopentyl group, c-Hex: cyclohexyl group)
- NHSO₂-t-Am , NHSO₂-n-Am , NHSO₂-n-Hex , NHSO₂CH₂(CH₂)₆Me , NHSO₂CH₂(CH₂)₈Me , NHSO₂CH₂-(CH₂)₁₀Me , NHSO₂CH₂(CH₂)₁₂Me , NHSO₂CH₂(CH₂)₁₄Me , NHSO₂CH₂(CH₂)₁₆Me , NHSO₂CH=CH₂ ,
- 25 NHSO₂CH₂CH=CH₂ , NHSO₂CH(Me)CH=CH₂ , NHSO₂C(Me)₂CH=CH₂ , NHSO₂CH₂C=CH , NHSO₂CH-(Me)C=CH , NHSO₂C(Me)₂C=CH , NHSO₂CH=CHPh , NHSO₂(CF₂)₃CF₃ , NHSO₂(CF₂)₅CF₃ , NHSO₂-(CF₂)₇CF₃ , NHSO₂CH₂Si(Me)₃ , NHSO₂CH₂SO₂Me , NHSO₂CH₂Ph , NHSO₂CH₂CH₂Ph , NHSO₂CH₂-(2-F-Ph) , NHSO₂CH₂-(3-F-Ph) , NHSO₂CH₂-(4-F-Ph) , NHSO₂CH₂-(2-CI-Ph) , NHSO₂CH₂-(3-CI-Ph) , NHSO₂CH₂-(4-CI-Ph) , NHSO₂CH₂-(2-Br-Ph) , NHSO₂CH₂-(3-Br-Ph) , NHSO₂CH₂-(4-Br-Ph) , NHSO₂CH₂-(2-I-Ph) , NHSO₂CH₂-(3-I-Ph) , NHSO₂CH₂-(4-I-Ph) , NHSO₂CH₂-(2-Me-Ph) , NHSO₂CH₂-(3-Me-Ph) , NHSO₂CH₂-(4-Me-Ph) , NHSO₂CH₂-(2-MeO-Ph) , NHSO₂CH₂-(3-MeO-Ph) , NHSO₂CH₂-(4-MeO-Ph) , NHSO₂CH₂-(2-NO₂-Ph) , NHSO₂CH₂-(3-NO₂-Ph) , NHSO₂CH₂-(4-NO₂-Ph) , NHSO₂CH₂-(2-MeOCO-Ph) , NHSO₂CH₂-(4-MeOCO-Ph) , NHSO₂CH₂-(2-CF₃-Ph) , NHSO₂CH₂-(3-CF₃-Ph) , NHSO₂CH₂-(4-CF₃-Ph) , NHSO₂CH₂-(2-CF₃O-Ph) , NHSO₂CH₂-(3-CF₃O-Ph) , NHSO₂CH₂-(4-CF₃O-Ph) ,
- 30 NHSO₂NHO₂Me , NHSO₂NHO₂Et , NHSO₂NHO₂-n-Pr , NHSO₂NHO₂-i-Pr , NHSO₂OMe , NHSO₂OEt , NHSO₂O-i-Pr , NHSO₂O-n-Pr , NHSO₂OCH₂CI , NHSO₂OSi(Me)₃ , NHSO₂Ph , NHSO₂-(2-F-Ph) , NHSO₂-(3-F-Ph) , NHSO₂-(4-F-Ph) , NHSO₂-(2-CI-Ph) , NHSO₂-(3-CI-Ph) , NHSO₂-(4-CI-Ph) , NHSO₂-(2-Br-Ph) , NHSO₂-(3-Br-Ph) , NHSO₂-(4-Br-Ph) , NHSO₂-(2-I-Ph) , NHSO₂-(3-I-Ph) , NHSO₂-(4-I-Ph) , NHSO₂-(2-Me-Ph) , NHSO₂-(3-Me-Ph) , NHSO₂-(4-Me-Ph) , NHSO₂-(2-MeO-Ph) , NHSO₂-(3-MeO-Ph) , NHSO₂-(4-MeO-Ph) , NHSO₂-(2-NO₂-Ph) , NHSO₂-(3-NO₂-Ph) , NHSO₂-(4-NO₂-Ph) , NHSO₂-(2-MeOCO-Ph) , NHSO₂-(3-MeOCO-Ph) , NHSO₂-(4-MeOCO-Ph) , NHSO₂-(2-CF₃O-Ph) , NHSO₂-(3-CF₃O-Ph) , NHSO₂-(4-CF₃O-Ph) ,
- 35 NHSO₂-(2-CI-Ph) , NHSO₂-(3-CI-Ph) , NHSO₂-(4-CI-Ph) , NHSO₂-(2-Br-Ph) , NHSO₂-(3-Br-Ph) , NHSO₂-(4-Br-Ph) , NHSO₂-(2-I-Ph) , NHSO₂-(3-I-Ph) , NHSO₂-(4-I-Ph) , NHSO₂-(2-Me-Ph) , NHSO₂-(3-Me-Ph) , NHSO₂-(4-Me-Ph) , NHSO₂-(2-MeO-Ph) , NHSO₂-(3-MeO-Ph) , NHSO₂-(4-MeO-Ph) , NHSO₂-(2-NO₂-Ph) , NHSO₂-(3-NO₂-Ph) , NHSO₂-(4-NO₂-Ph) , NHSO₂-(2-MeOCO-Ph) , NHSO₂-(3-MeOCO-Ph) , NHSO₂-(4-MeOCO-Ph) , NHSO₂-(2-CF₃O-Ph) , NHSO₂-(3-CF₃O-Ph) , NHSO₂-(4-CF₃O-Ph) ,
- 40 NHSO₂-(2-CI-Ph) , NHSO₂-(3-CI-Ph) , NHSO₂-(4-CI-Ph) , NHSO₂-(2-Br-Ph) , NHSO₂-(3-Br-Ph) , NHSO₂-(4-Br-Ph) , NHSO₂-(2-I-Ph) , NHSO₂-(3-I-Ph) , NHSO₂-(4-I-Ph) , NHSO₂-(2-Me-Ph) , NHSO₂-(3-Me-Ph) , NHSO₂-(4-Me-Ph) , NHSO₂-(2-MeO-Ph) , NHSO₂-(3-MeO-Ph) , NHSO₂-(4-MeO-Ph) , NHSO₂-(2-NO₂-Ph) , NHSO₂-(3-NO₂-Ph) , NHSO₂-(4-NO₂-Ph) , NHSO₂-(2-MeOCO-Ph) , NHSO₂-(3-MeOCO-Ph) , NHSO₂-(4-MeOCO-Ph) , NHSO₂-(2-CF₃O-Ph) , NHSO₂-(3-CF₃O-Ph) , NHSO₂-(4-CF₃O-Ph) ,
- 45 NHSO₂-(2-CI-Ph) , NHSO₂-(3-CI-Ph) , NHSO₂-(4-CI-Ph) , NHSO₂-(2-Br-Ph) , NHSO₂-(3-Br-Ph) , NHSO₂-(4-Br-Ph) , NHSO₂-(2-I-Ph) , NHSO₂-(3-I-Ph) , NHSO₂-(4-I-Ph) , NHSO₂-(2-Me-Ph) , NHSO₂-(3-Me-Ph) , NHSO₂-(4-Me-Ph) , NHSO₂-(2-MeO-Ph) , NHSO₂-(3-MeO-Ph) , NHSO₂-(4-MeO-Ph) , NHSO₂-(2-NO₂-Ph) , NHSO₂-(3-NO₂-Ph) , NHSO₂-(4-NO₂-Ph) , NHSO₂-(2-MeOCO-Ph) , NHSO₂-(3-MeOCO-Ph) , NHSO₂-(4-MeOCO-Ph) , NHSO₂-(2-CF₃O-Ph) , NHSO₂-(3-CF₃O-Ph) , NHSO₂-(4-CF₃O-Ph) ,
- 50 NHSO₂-(2-CI-Ph) , NHSO₂-(3-CI-Ph) , NHSO₂-(4-CI-Ph) , NHSO₂-(2-Br-Ph) , NHSO₂-(3-Br-Ph) , NHSO₂-(4-Br-Ph) , NHSO₂-(2-I-Ph) , NHSO₂-(3-I-Ph) , NHSO₂-(4-I-Ph) , NHSO₂-(2-Me-Ph) , NHSO₂-(3-Me-Ph) , NHSO₂-(4-Me-Ph) , NHSO₂-(2-MeO-Ph) , NHSO₂-(3-MeO-Ph) , NHSO₂-(4-MeO-Ph) , NHSO₂-(2-NO₂-Ph) , NHSO₂-(3-NO₂-Ph) , NHSO₂-(4-NO₂-Ph) , NHSO₂-(2-MeOCO-Ph) , NHSO₂-(3-MeOCO-Ph) , NHSO₂-(4-MeOCO-Ph) , NHSO₂-(2-CF₃O-Ph) , NHSO₂-(3-CF₃O-Ph) , NHSO₂-(4-CF₃O-Ph) ,
- 55 Me-3-NO₂-Ph , NHSO₂-(2,4-di-CI-5-Me-Ph) , NHSO₂-(4-CI-2,5-di-Me-Ph) , NHSO₂-(2-CI-6-Me-Ph) , NHSO₂-(3-CI-4-MeO-Ph) , NHSO₂-(3-CI-2-Me-Ph) , NHSO₂-(2-CI-5-CF₃-Ph) , NHSO₂-(2-CI-4-CF₃-Ph) , NHSO₂-(2-CN-Ph) , NHSO₂-(3-CN-Ph) , NHSO₂-(4-CN-Ph) , NHSO₂-(5-F-2-Me-Ph) , NHSO₂-(5-CI-2-MeO-Ph) , NHSO₂-(2,4-di-i-Pr-Ph) , NHSO₂-(6-MeO-3-Me-Ph) , NHSO₂-(2,4-di-MeO-Ph) , NHSO₂-(3,4-di-MeO-

Ph)、NHCO₂-(4-C₁-Ph)、NHCO₂-(2-Br-Ph)、NHCO₂-(3-Br-Ph)、NHCO₂-(4-Br-Ph)、NHCO₂-(2-I-Ph)、
 NHCO₂-(3-I-Ph)、NHCO₂-(4-I-Ph)、NHCO₂-(2-Me-Ph)、NHCO₂-(3-Me-Ph)、NHCO₂-(4-Me-Ph)、NHCO₂-
 (2-MeO-Ph)、NHCO₂-(3-MeO-Ph)、NHCO₂-(4-MeO-Ph)、NHCO₂-(4-Et-Ph)、NHCO₂-(4-n-Pr-Ph)、NHCO₂-
 (4-i-Pr-Ph)、NHCO₂-(4-n-Bu-Ph)、NHCO₂-(4-s-Bu-Ph)、NHCO₂-(4-i-Bu-Ph)、NHCO₂-(4-t-Bu-Ph)、NHCO₂-
 (4-t-Am-Ph)、NHCO₂-(4-n-Hex-Ph)、NHCO₂-(2-NO₂-Ph)、NHCO₂-(3-NO₂-Ph)、NHCO₂-(4-NO₂-Ph)、
 NHCO₂-(2-MeOCO-Ph)、NHCO₂-(3-MeOCO-Ph)、NHCO₂-(4-MeOCO-Ph)、NHCO₂-(2-CF₃-Ph)、NHCO₂-
 (3-CF₃-Ph)、NHCO₂-(4-CF₃-Ph)、NHCO₂-(2-CF₃O-Ph)、NHCO₂-(3-CF₃O-Ph)、NHCO₂-(4-CF₃O-Ph)、
 NHCO₂-(4-CF₃CF₂O-Ph)、NHCO₂-(3-MeCO-Ph)、NHCO₂-(3-HOCO-Ph)、NHCO₂-(4-HOCO-Ph)、NHCO₂-
 (2,4-di-NO₂-Ph)、NHCO₂-(4-C₁-3-NO₂-Ph)、NHCO₂-(2-Me-5-NO₂-Ph)、NHCO₂-(4-C₁-3-HOCO-Ph)、
 NHCO₂-(2-MeCONH-Ph)、NHCO₂-(3-MeCONH-Ph)、NHCO₂-(4-MeCONH-Ph)、NHCO₂-(2-NO₂-4-CF₃-Ph)
 5、NHCO₂-(3,5-di-CF₃-Ph)、NHCO₂-(4-(2,2-dichlorocyclopropyl)-Ph)、NHCO₂-(3-NO₂-4-t-Bu-Ph)、NHCO₂-
 (4-(1-bromoethyl)-Ph)、NHCO₂-(2,5-di-MeO-Ph)、NHCO₂-(4-dimethylamino-3-NO₂-Ph)、NHCO₂-(4-C₁-3-
 CF₃-Ph)、NHCO₂-(2,4-di-Me-3-NO₂-Ph)、NHCO₂-(2,4-di-C₁-5-Me-Ph)、NHCO₂-(4-C₁-2,5-di-Me-Ph)、
 NHCO₂-(2-C₁-6-Me-Ph)、NHCO₂-(3-C₁-4-MeO-Ph)、NHCO₂-(3-C₁-2-Me-Ph)、NHCO₂-(2-C₁-5-CF₃-Ph)、
 10 NHCO₂-(2-C₁-4-CF₃-Ph)、NHCO₂-(2-CN-Ph)、NHCO₂-(3-CN-Ph)、NHCO₂-(4-CN-Ph)、NHCO₂-(5-F-2-Me-
 Ph)、NHCO₂-(5-C₁-2-MeO-Ph)、NHCO₂-(2,4-di-i-Pr-Ph)、NHCO₂-(6-MeO-3-Me-Ph)、NHCO₂-(2,4-di-MeO-
 Ph)、NHCO₂-(3,4-di-MeO-Ph)、NHCO₂-(3,5-di-MeO-Ph)、NHCO₂-(2,5-di-MeO-Ph)、NHCO₂-(2,6-di-MeO-
 Ph)、NHCO₂-(2,3-di-MeO-Ph)、NHCO₂-(2,4-di-C₁-Ph)、NHCO₂-(3,4-di-C₁-Ph)、NHCO₂-(3,5-di-C₁-Ph)、
 NHCO₂-(2,5-di-C₁-Ph)、NHCO₂-(2,6-di-C₁-Ph)、NHCO₂-(2,3-di-C₁-Ph)、NHCO₂-(2,4,6-tri-C₁-Ph)、N
 15 HCO₂-(2,4-di-F-Ph)、NHCO₂-(3,4-di-F-Ph)、NHCO₂-(3,5-di-F-Ph)、NHCO₂-(2,5-di-F-Ph)、NHCO₂-(2,6-di-F-
 Ph)、NHCO₂-(2,5-di-Br-Ph)、NHCO₂-(3,4-di-Br-Ph)、NHCO₂-(2-C₁-4-F-Ph)、NHCO₂-(3-C₁-4-F-Ph)、
 NHCO₂-(pentafluoro-Ph)、NHCO₂-(pentamethyl-Ph)、NHCO₂-(2,4,6-tri-Me-Ph)、NHCO₂-(2,4,6-tri-i-Pr-Ph)、
 NHCO₂-(2,3,5,6-tetra-Me-Ph)、NHCO₂-(2,4-di-Me-Ph)、NHCO₂-(3,4-di-Me-Ph)、NHCO₂-(3,5-di-Me-Ph)、
 NHCO₂-(2,5-di-Me-Ph)、NHCO₂-(2,6-di-Me-Ph)、NHCO₂-(2,3-di-Me-Ph)、NHCO₂CH₂-(2-F-Ph)、
 20 NHCO₂CH₂-(3-F-Ph)、NHCO₂CH₂-(4-F-Ph)、NHCO₂CH₂-(2-C₁-Ph)、NHCO₂CH₂-(3-C₁-Ph)、NHCO₂CH₂-
 (4-C₁-Ph)、NHCO₂CH₂-(2-Br-Ph)、NHCO₂CH₂-(3-Br-Ph)、NHCO₂CH₂-(4-Br-Ph)、NHCO₂CH₂-(2-I-Ph)、
 NHCO₂CH₂-(3-I-Ph)、NHCO₂CH₂-(4-I-Ph)、NHCO₂CH₂-(2-Me-Ph)、NHCO₂CH₂-(3-Me-Ph)、NHCO₂CH₂-
 (4-Me-Ph)、NHCO₂CH₂-(2-MeO-Ph)、NHCO₂CH₂-(3-MeO-Ph)、NHCO₂CH₂-(4-MeO-Ph)、NHCO₂CH₂-(4-
 Et-Ph)、NHCO₂CH₂-(4-n-Pr-Ph)、NHCO₂CH₂-(4-i-Pr-Ph)、NHCO₂CH₂-(4-n-Bu-Ph)、NHCO₂CH₂-(4-s-Bu-
 Ph)、NHCO₂CH₂-(4-i-Bu-Ph)、NHCO₂CH₂-(4-t-Bu-Ph)、NHCO₂CH₂-(4-t-Am-Ph)、NHCO₂CH₂-(4-n-Hex-Ph)
 25 30、NHCO₂CH₂-(2-NO₂-Ph)、NHCO₂CH₂-(3-NO₂-Ph)、NHCO₂CH₂-(4-NO₂-Ph)、NHCO₂CH₂-(2-MeOCO-Ph)、
 NHCO₂CH₂-(3-MeOCO-Ph)、NHCO₂CH₂-(3,4-di-Me-Ph)、NHCO₂CH₂-(2,4-di-Me-Ph)、NHCO₂CH₂-(4-
 MeOCO-Ph)、NHCO₂CH₂-(2-CF₃-Ph)、NHCO₂CH₂-(3-CF₃-Ph)、NHCO₂CH₂-(4-CF₃-Ph)、NHCO₂CH₂-(2-
 CF₃O-Ph)、NHCO₂CH₂-(3-CF₃O-Ph)、NHCO₂CH₂-(4-CF₃O-Ph)、NHCO₂CH₂-(4-CF₃CF₂O-Ph)、
 35 NHCO₂CH₂-(3-MeCO-Ph)、NHCO₂CH₂-(3-HOCO-Ph)、NHCO₂CH₂-(4-HOCO-Ph)、NH(CO)SMe、NH(CO)-
 SEt、NHCOCO₂M_e、NHCOCO₂E_t、NHCOCO₂-n-Pr、NHCOCO₂-i-Pr、NHCOCO₂-n-Bu、NHCOCO₂-s-Bu、
 NHCOCO₂-i-Bu、NHCOCO₂-t-Bu、NHCOCO₂CH₂Ph、NHCOCO₂Ph、N(Me)COCO₂M_e、N(Me)COCO₂E_t、
 N(Me)COCO₂-n-Pr、N(Me)COCO₂-i-Pr、N(Me)COCO₂-n-Bu、N(Me)COCO₂-s-Bu、N(Me)COCO₂-i-Bu、
 N(Me)COCO₂-t-Bu、N(Me)COCO₂CH₂Ph、N(Me)COCO₂Ph、N(Et)COCO₂M_e、N(Et)COCO₂E_t、N(Et)-
 COCO₂-n-Pr、N(Et)COCO₂-i-Pr、N(Et)COCO₂-n-Bu、N(Et)COCO₂-s-Bu、N(Et)COCO₂-i-Bu、N(Et)COCO₂-
 t-Bu、N(Et)COCO₂CH₂Ph、N(Et)COCO₂Ph、NHS_{CCl}₃、NHS(O)C_{Cl}₃、NHS(O)Me、NHS(O)Et、NHS(O)-
 n-Pr、NHS(O)-i-Pr、NHS(O)-n-Bu、NHS(O)-s-Bu、NHS(O)-i-Bu、NHS(O)-t-Bu、NHS(O)CH₂Ph、NHS(O)-
 Ph、N(Me)SCC_l₃、N(Me)S(O)C_{Cl}₃、N(Me)S(O)Me、N(Me)S(O)Et、N(Me)S(O)-n-Pr、N(Me)S(O)-i-Pr、N-
 (Me)S(O)-n-Bu、N(Me)S(O)-s-Bu、N(Me)S(O)-i-Bu、N(Me)S(O)-t-Bu、N(Me)S(O)CH₂Ph、N(Me)S(O)Ph、
 40 N(Et)SCC_l₃、N(Et)S(O)C_{Cl}₃、N(Et)S(O)Me、N(Et)S(O)Et、N(Et)S(O)-n-Pr、N(Et)S(O)-i-Pr、N(Et)S(O)-n-
 Bu、N(Et)S(O)-s-Bu、N(Et)S(O)-i-Bu、N(Et)S(O)-t-Bu、N(Et)S(O)CH₂Ph、N(Et)S(O)Ph、NHSO₂NH₂、
 NHSO₂N(Me)₂、NHSO₂NHMe、NHSO₂NHET、NHSO₂NH-n-Pr、NHSO₂NH-i-Pr、NHSO₂NH-n-Bu、
 NHSO₂NHPh、NHSO₂NH-(2-C₁-Ph)、NHSO₂NH-(3-C₁-Ph)、NHSO₂NH-(4-C₁-Ph)、NHSO₂NHCH₂Ph、
 NHCSNH₂、NHCON(Me)₂、NHCON(Me)OMe、NHCONHMe、NHCONHET、NHCONH-n-Pr、NHCONH-i-
 45 Pr、NHCONH-n-Bu、NHCONH-s-Bu、NHCONH-i-Bu、NHCONH-t-Bu、NHCONHCH₂Ph、NHCONHPh、
 NHCON(Me)Ph、NHCON(OMe)Ph、N=C(OMe)N(Me)₂、N=C(SMe)N(Me)₂、NHCONHSO₂M_e、NHCON-
 HSO₂E_t、NHCONHSO₂-n-Pr、NHCONHSO₂-i-Pr、NHCONHSO₂-n-Bu、NHCONHSO₂-s-Bu、
 NHCONHSO₂-i-Bu、NHCONHSO₂-t-Bu、NHCONHSO₂CH₂Ph、NHCONHSO₂Ph、NHCONHSO₂CF₃、
 NHCSN(Me)₂、NHCSN(Me)OMe、NHCSNMe、NHCSNHet、NHCSN-n-Pr、NHCSN-i-Pr、NHCSN-
 50 n-Bu、NHCSNH-s-Bu、NHCSNH-i-Bu、NHCSNH-t-Bu、NHCSNHC_l₂Ph、NHCSNHPH、NHCONHCOMe、
 NHCONHCOEt、NHCONHCO-(2,6-di-C₁-Ph)、NHCONHCO-(2,6-di-F-Ph)、NHCSNHC_l₂-(2,6-di-C₁-Ph)、
 NHCSNHC_l₂-(2,6-di-F-Ph)、N=CHN(Me)₂、N=CHN(Et)₂、N=CHN(Et)Me、N=CHN(Et)Ph、N=C(OMe)-
 Ph、N=C(Me)Ph、-Q30、-(4-Me-Q30)、-(4-CF₃-Q30)、-(4-Ph-Q30)、-Q31、-Q32、-(4-Me-
 55 Q32)、-(4-Me-Q32)、-(4-Me-Q32)、-(4-Me-Q32)

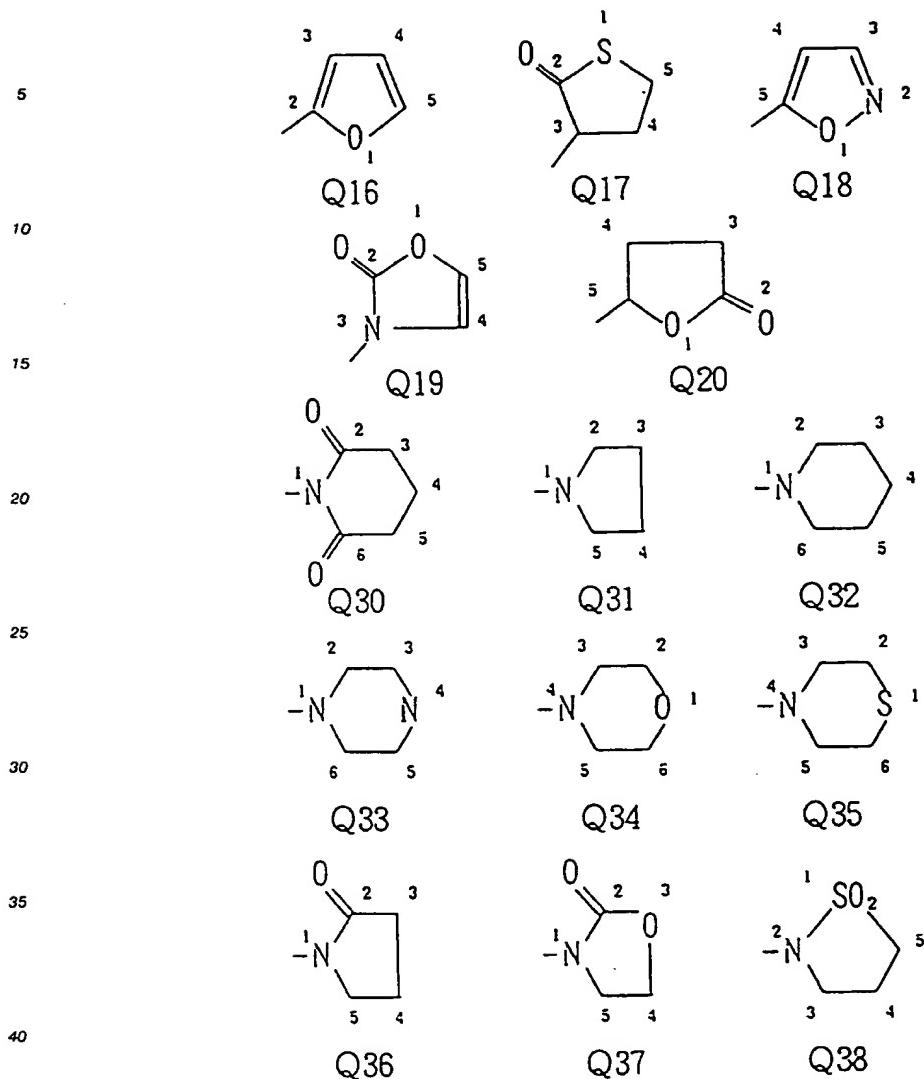
Q33)、-(4-H-Q33)、-Q34、-(2,6-di-Me-Q34)、-Q35、-(2,6-di-Me-Q35)、-Q36、-(3-Me-Q36)、-Q37、-Q38、
 -(3-Me-Q38)、-(4-Me-Q38)、-(5-Me-Q38)、-Q39、-(3-Me-Q39)、-(4-Me-Q39)、-(5-Me-Q39)、-(6-Me-Q39)、
 -(6-Me-Q40)、-(6-H-Q40)、-(6,3-di-Me-Q40)、-(6,4-di-Me-Q40)、-(6,5-di-Me-Q40)、-Q41、-Q42、-(2,5-di-
 Me-Q42)、-Q43、-Q44、-(3,4-di-Me-Q44)、-(3,4-di-CI-Q44)、-Q45、-Q46、-(4-H-Q47)、-(4-Me-Q47)、-(4-
 5 CH₂CO₂Me-Q47)、-(4-CO₂Me-Q47)、NH-(4,6-di-MeO-Q14)、NH-(4,6-di-Me-Q14)、CONH-(4,6-di-MeO-
 Q14)、CONH-(4,6-di-Me-Q14)、NH-(5-CF₃-3-Cl-Q1)、NHP(O)(OMe)₂、NHP(O)(OEt)₂、NHP(O)(OEt)S-n-Pr
 10 NHP(O)(OEt)SPh、NHP(O)(OEt)O-n-Pr、NHP(O)(O-i-Pr)₂、NHP(O)(O-n-Pr)₂、NHP(S)(OMe)₂、NHP(S)-
 (OEt)₂、NHP(S)(OEt)S-n-Pr、NHP(S)(OEt)SPh、NHP(S)(OEt)O-n-Pr、NHP(S)(O-i-Pr)₂、NHP(S)(O-n-Pr)₂、
 NHP(O)(OMe)Me、NHP(O)(OEt)Me、NHP(O)(OEt)Ph、NHP(O)(O-i-Pr)Me、NHP(O)(O-n-Pr)Me、NHP(S)-
 (OMe)Me、NHP(S)(OEt)Me、NHP(S)(OEt)Ph、NHP(S)(O-i-Pr)Me、NH(S)(O-n-Pr)Me、NHP(O)(OMe)OH、
 NHP(O)(OEt)OH、NHCH₂CO₂H、NHCH₂CO₂Me、NHCH₂CO₂Et、NHCH₂CO₂-n-Pr、NHCH₂CO₂-i-Pr、
 NHCH₂CO₂-n-Bu、NHCH₂CO₂-s-Bu、NHCH₂CO₂-i-Bu、NHCH₂CO₂-t-Bu、NHCH₂CO₂-t-Am、N
 HCH₂CO₂-n-Am、NHCH₂CO₂-n-Hex、NHCH₂CO₂CH₂(CH₂)₆Me、NHCH₂CO₂CH₂Ph、NHCH₂CO₂Ph、
 NHCH₂CO₂CH₂CO₂Me、NHCH₂CO₂CH₂CO₂Et、NHCH₂CO₂CH(Me)CO₂Me、NHCH₂CO₂CH(Me)CO₂Et、
 15 N(Me)CH₂CO₂Me、N(Me)CH₂CO₂Et、N(Et)CH₂CO₂Me、N(Et)CH₂CO₂Et、N(COMe)CH₂CO₂Me、N-
 (COMe)CH₂CO₂Et、N(COPh)CH₂CO₂Me、N(COPh)CH₂CO₂Et、NHCH(Me)CO₂H、NHCH(Me)CO₂Me、
 NHCH(Me)CO₂Et、NHCH(Me)CO₂-n-Pr、NHCH(Me)CO₂-i-Pr、NHCH(Me)CO₂-n-Bu、NHCH(Me)CO₂-s-Bu
 20 、NHCH(Me)CO₂-i-Bu、NHCH(Me)CO₂-t-Bu、NHCH(Me)CO₂-t-Am、NHCH(Me)CO₂-n-Hex、NHCH(Me)-
 CO₂CH₂Me、NHCH(Me)CO₂CH₂CO₂Et、NHCH(Me)CO₂CH(Me)CO₂Me、NHCH(Me)CO₂CH(Me)CO₂Et、
 N(Me)CH(Me)CO₂Me、N(Me)CH(Me)CO₂Et、N(Et)CH(Me)CO₂Me、N(Et)CH(Me)CO₂Et、N(COMe)CH(Me)-
 CO₂Me、N(COMe)CH(Me)CO₂Et、N(COPh)CH(Me)CO₂Me、N(COPh)CH(Me)CO₂Et、NHCH(Et)CO₂H、
 NHCH(Et)CO₂Me、NHCH(Et)CO₂Et、NHCH(Et)CO₂-n-Pr、NHCH(Et)CO₂-i-Pr、NHCH(Et)CO₂-n-Bu、
 NHCH(Et)CO₂-s-Bu、NHCH(Et)CO₂-i-Bu、NHCH(Et)CO₂-t-Bu、NHCH(Et)CO₂-t-Am、NHCH(Et)CO₂-n-Am、
 25 NHCh(Et)CO₂-n-Hex、NHCH(Et)CO₂CH₂(CH₂)₆Me、NHCH(Et)CO₂CH₂Ph、NHCH(Et)CO₂Ph、NHCH-
 (CH₂OMe)CO₂H、NHCH(CH₂OMe)CO₂Me、NHCH(CH₂OMe)CO₂Et、NHCH(CH₂OMe)CO₂-n-Pr、NHCH-
 (CH₂OMe)CO₂-i-Pr、NHCH(CH₂OMe)CO₂-n-Bu、NHCH(CH₂OMe)CO₂-s-Bu、NHCH(CH₂OMe)CO₂-i-Bu、
 NHCH(CH₂OMe)CO₂-t-Bu、NHCH(CH₂OMe)CO₂-t-Am、NHCH(CH₂OMe)CO₂-n-Am、NHCH(CH₂OMe)CO₂-
 n-Hex、NHCH(CH₂OMe)CO₂CH₂(CH₂)₆Me、NHCH(CH₂OMe)CO₂CH₂Ph、NHCH(CH₂OMe)CO₂Ph、NHCH-
 30 (SM₂)CO₂H、NHCH(SM₂)CO₂Me、NHCH(SM₂)CO₂Et、NHCH(SM₂)CO₂-n-Pr、NHCH-
 (CH₂OEt)CO₂i-Pr、NHCH(CH₂OEt)CO₂-n-Bu、NHCH(CH₂OEt)CO₂-s-Bu、NHCH(CH₂OEt)CO₂-i-Bu、
 NHCH(CH₂OEt)CO₂-t-Bu、NHCH(CH₂OEt)CO₂-t-Am、NHCH(CH₂OEt)CO₂-n-Am、NHCH(CH₂OEt)CO₂-n-
 Hex、NHCH(CH₂OEt)CO₂CH₂(CH₂)₆Me、NHCH(CH₂OEt)CO₂CH₂Ph、NHCH(CH₂OEt)CO₂Ph、NHCH-
 35 (SM₂)CO₂H、NHCH(SM₂)CO₂Me、NHCH(SM₂)CO₂Et、NHCH(SM₂)CO₂-n-Pr、NHCH(SM₂)CO₂-i-Pr、
 NHCH(SM₂)CO₂-n-Bu、NHCH(SM₂)CO₂-s-Bu、NHCH(SM₂)CO₂-i-Bu、NHCH(SM₂)CO₂-t-Bu、NHCH-
 (SM₂)CO₂-t-Am、NHCH(SM₂)CO₂-n-Am、NHCH(SM₂)CO₂-n-Hex、NHCH(SM₂)CO₂CH₂(CH₂)₆Me、
 NHCH(SM₂)CO₂CH₂Ph、NHCH(SM₂)CO₂Ph、NHCH(i-Pr)CO₂Me、NHCH(i-Pr)CO₂Et、NHCH(i-Pr)CO₂-n-
 Pr、NHCH(i-Pr)CO₂-i-Pr、NHCH(n-Pr)CO₂Me、NHCH(n-Pr)CO₂Et、NHCH(n-Pr)CO₂-n-Pr、NHCH(n-Pr)-
 CO₂-i-Pr、NHCH(Ph)CO₂Me、NHCH(Ph)CO₂Et、NHCH(Ph)CO₂-n-Pr、NHCH(Ph)CO₂-i-Pr、NHCH₂CONH₂
 40 、NHCH₂CONHMe、NHCH₂CONHET、NHCH₂CONH-n-Pr、NHCH₂CONH-i-Pr、NHCH₂CONH-n-Bu、
 NHCH₂CONH-s-Bu、NHCH₂CONH-i-Bu、NHCH₂CONH-t-Bu、NHCH₂CONH-t-Am、NHCH₂CONH-n-Am、
 NHCH₂CONH-n-Hex、NHCH₂CONHCH₂(CH₂)₆Me、NHCH₂CONHCH₂Ph、NHCH₂CONHPh、
 NHCH₂CONHCH₂CO₂Me、NHCH₂CONHCH₂CO₂Et、NHCH₂CONHCH(Me)CO₂Me、NHCH₂CONHCH(Me)-
 CO₂Et、N(Me)CH₂CONHMe、N(Me)CH₂CONHET、N(Et)CH₂CONHMe、N(Et)CH₂CONHET、N(COMe)-
 45 CH₂CONHMe、N(COMe)CH₂CONHET、N(COPh)CH₂CONHMe、N(COPh)CH₂CONHET、NHCH(Me)-
 CONH₂、NHCH(Me)CONHMe、NHCH(Me)CONHET、NHCH(Me)CONH-n-Pr、NHCH(Me)CONH-i-Pr、
 NHCH(Me)CONH-n-Bu、NHCH(Me)CONH-s-Bu、NHCH(Me)CONH-i-Bu、NHCH(Me)CONH-t-Bu、NHCH-
 (Me)CONH-t-Am、NHCH(Me)CONH-n-Am、NHCH(Me)CONH-n-Hex、NHCH(Me)CONHCH₂(CH₂)₆Me、
 NHCH(Me)CONHCH₂Ph、NHCH(Me)CONHPh、NHCH(Me)CONHCH₂CO₂Me、NHCH(Me)CONHCH₂CO₂Et
 50 、NHCH(Me)CONHCH(Me)CO₂Me、NHCH(Me)CONHCH(Me)CO₂Et、NHCH(Me)CONHCH(Me)Ph、N(Me)-
 CH(Me)CONHMe、N(Me)CH(Me)CONHET、N(Et)CH(Me)CONHMe、N(Et)CH(Me)CONHET、N(COMe)CH-
 (Me)CONHMe、N(COMe)CH(Me)CONHET、N(COPh)CH(Me)CONHMe、N(COPh)CH(Me)CONHET、NHCH-
 (Ei)CONH₂、NHCH(Ei)CONHMe、NHCH(Ei)CONHET、NHCH(Ei)CONH-n-Pr、NHCH(Ei)CONH-i-Pr、
 NHCH(Ei)CONH-n-Bu、NHCH(Ei)CONH-s-Bu、NHCH(Ei)CONH-i-Bu、NHCH(Ei)CONH-t-Bu、NHCH(Ei)-
 CONH-t-Am、NHCH(Ei)CONH-n-Am、NHCH(Ei)CONH-n-Hex、NHCH(Ei)CONHCH₂(CH₂)₆Me、NHCH(Ei)-
 CONHCH₂Ph、NHCH(Ei)CONHPh、NHCH(CH₂OMe)CONH₂、NHCH(CH₂OMe)CONHMe、NHCH-
 55 (CH₂OMe)CONHET、NHCH(CH₂OMe)CONH-n-Pr、NHCH(CH₂OMe)CONH-i-Pr、NHCH(CH₂OMe)CONH-n-
 Bu、NHCH(CH₂OMe)CONH-s-Bu、NHCH(CH₂OMe)CONH-i-Bu、NHCH(CH₂OMe)CONH-t-Bu、NHCH(CH₂OMe)-
 CONH₂、NHCH(CH₂OMe)CONHPh、NHCH(CH₂OMe)CONH₂Ph、NHCH(CH₂OMe)CONHMe、NHCH-
 (CH₂OMe)CONHET、NHCH(CH₂OMe)CONH-n-Pr、NHCH(CH₂OMe)CONH-i-Pr、NHCH(CH₂OMe)CONH-n-
 Bu、NHCH(CH₂OMe)CONH-s-Bu、NHCH(CH₂OMe)CONH-i-Bu、NHCH(CH₂OMe)CONH-t-Bu、NHCH(CH₂OMe)-
 CONH₂Ph

CH(Me)CO₂Ph 、 N(SO₂Et)CH(Me)CONH₂ 、 N(SO₂Et)CH(Me)CONHM₆ 、 N(SO₂Et)CH(Me)CONHET 、 N(SO₂Et)CH(Me)CONH-n-Pr 、 N(SO₂Et)CH(Me)CONH-i-Pr 、 N(SO₂Et)CH(Me)CONH-n-Bu 、 N(SO₂Et)CH(Me)-CONH-s-Bu 、 N(SO₂Et)CH(Me)CONH-i-Bu 、 N(SO₂Et)CH(Me)CONH-t-Bu 、 N(SO₂Et)CH(Me)CONH-t-Am 、 N(SO₂Et)CH(Me)CONH-n-Am 、 N(SO₂Et)CH(Me)CONH-n-Hex 、 N(SO₂Et)CH(Me)CONH₂(CH₂)₆Me 、 N(SO₂Et)CH(Me)CONHCH₂Ph 、 N(SO₂Et)CH(Me)CONHPh 、 NHMe 、 NHEt 、 NH-n-Pr 、 NH-i-Pr 、 NH-n-Bu 、 NH-s-Bu 、 NH-i-Bu 、 NH-t-Bu 、 NH-t-Am 、 NH-n-Am 、 NH-n-Hex 、 NHCH₂(CH₂)₆Me 、 NHCH₂Ph 、 NHPh 、 NH-(2-NO₂-Ph) 、 NH-(4-NO₂-Ph) 、 NH-(2,4-di-NO₂-Ph) 、 NH-(2-NO₂-4-CF₃-Ph) 、 NH-(4-NO₂-2-CF₃-Ph) 、 NH-(2,6-di-NO₂-4-CF₃-Ph) 、 NH-(2,4-di-NO₂-6-CF₃-Ph) 、 NH-(2,4,6-tri-NO₂-Ph) 、 NH-(2,6-di-NO₂-4-CF₃-5-CI-Ph) 、 NHCH₂-(2-F-Ph) 、 NHCH₂-(3-F-Ph) 、 NHCH₂-(4-F-Ph) 、 NHCH₂-(2-CI-Ph) 、 NHCH₂-(3-CI-Ph) 、 NHCH₂-(4-CI-Ph) 、 NHCH₂-(2-Br-Ph) 、 NHCH₂-(3-Br-Ph) 、 NHCH₂-(4-Br-Ph) 、 NHCH₂-(2-I-Ph) 、 NHCH₂-(3-I=Ph) 、 NHCH₂-(4-I-Ph) 、 NHCH₂-(2-Me-Ph) 、 NHCH₂-(3-Me-Ph) 、 NHCH₂-(4-Me-Ph) 、 NHCH₂-(2-MeO-Ph) 、 NHCH₂-(3-MeO-Ph) 、 NHCH₂-(4-MeO-Ph) 、 NHCH₂-(4-Et-Ph) 、 NHCH₂-(4-n-Pr-Ph) 、 NHCH₂-(4-i-Pr-Ph) 、 NHCH₂-(4-n-Bu-Ph) 、 NHCH₂-(4-s-Bu-Ph) 、 NHCH₂-(4-i-Bu-Ph) 、 NHCH₂-(4-t-Bu-Ph) 、 NHCH₂-(4-t-Am-Ph) 、 NHCH₂-(4-n-Hex-Ph) 、 NHCH₂-(2-NO₂-Ph) 、 NHCH₂-(3-NO₂-Ph) 、 NHCH₂-(4-NO₂-Ph) 、 NHCH₂-(2-MeOCO-Ph) 、 NHCH₂-(3-MeOCO-Ph) 、 NHCH₂-(4-MeOCO-Ph) 、 NHCH₂-(2-CF₃-Ph) 、 NHCH₂-(3-CF₃-Ph) 、 NHCH₂-(4-CF₃-Ph) 、 NHCH₂-(2-CF₃O-Ph) 、 NHCH₂-(3-CF₃O-Ph) 、 NHCH₂-(4-CF₃O-Ph) 、 NHCH₂-(4-CF₃CF₂O-Ph) 、 NHCH₂-(3-MeCO-Ph) 、 NHCH₂-(3-HOCO-Ph) 、 NHCH₂-(4-HOCO-Ph) 、 NHCH(Me)Ph 、 NHCH₂CH₂Ph 、 N-(Me)₂ 、 N(Et)₂ 、 N(n-Pr)₂ 、 N(Me)Et 、 N(n-Bu)₂ 、 N(s-Bu)₂ 、 N(i-Bu)₂ 、 N(t-Bu)₂ 、 N(n-Am)₂ 、 N(n-Am)₂ 、 NHCF₃ 、 NHCH₂CF₃ 、 NHCH₂CH₂CF₃ 、 NHCH(Me)CF₃ 、 NHCH(CF₃)₂ 、 NHCH(F)CF₃ 、 NH(CF₂)₃ 、 NH(CF₂)₅CF₃ 、 NH(CF₂)₇CF₃ 、 NHCCl₃ 、 NHCHCl₂ 、 NHCH₂CCl₃ 、 NHCH₂Cl 、 NHCH₂CH₂Cl 、 NHCH₂CH(Cl)Me 、 NHCH₂C(Cl)=CH₂ 、 NHCH(OH)CCl₃ 、 NHCh=CH₂ 、 NHCH₂CH=CH₂ 、 NHCH(Me)CH=CH₂ 、 NHC(Me)₂CH=CH₂ 、 NHCH₂C≡CH 、 NHCH(Me)C≡CH 、 NHC-(Me)₂C=CH 、 NHCH₂C(Me)=CH₂ 、 N(CH₂CH=CH₂)₂ 、 N(CH₂C=CH)₂ 、 NH-c-Pr 、 NH-c-Bu 、 NH-c-Pen 、 NH-c-Hex 、 NHCH₂-c-Pr 、 NHCH₂-c-Bu 、 NHCH₂-c-Pen 、 NHCH₂-c-Hex 、 NHCH₂OMe 、 NHCH₂OEt 、 NHCH₂O-n-Pr 、 NHCH₂O-i-Pr 、 NHCH₂O-n-Bu 、 NHCH₂O-s-Bu 、 NHCH₂O-i-Bu 、 NHCH₂O-t-Bu 、 NHCH₂O-t-Am 、 NHCH₂O-n-Am 、 NHCH₂O-n-Hex 、 NHCH₂OCH₂(CH₂)₆Me 、 NHCH₂OCH₂Ph 、 NHCH₂OPh 、 NHCH₂CH₂OMe 、 NHCH₂CH₂OEt 、 NHCH₂CH₂O-n-Pr 、 NHCH₂CH₂O-i-Pr 、 NHCH₂CH₂O-n-Bu 、 NHCH₂CH₂O-s-Bu 、 NHCH₂CH₂O-i-Bu 、 NHCH₂CH₂O-t-Bu 、 NHCH₂CH₂O-t-Am 、 NHCH₂CH₂O-n-Am 、 NHCH₂CH₂O-n-Hex 、 NHCH₂CH₂OCH₂(CH₂)₆Me 、 NHCH₂CH₂OCH₂Ph 、 NHCH₂CH₂OPh 、 NHCH(Me)CH₂OMe 、 NHCH(Me)CH₂OEt 、 NHCH(Me)CH₂O-n-Pr 、 NHCH(Me)CH₂O-i-Pr 、 NHCH(Me)CH₂O-n-Bu 、 NHCH(Me)CH₂O-s-Bu 、 NHCH(Me)CH₂O-i-Bu 、 NHCH(Me)CH₂O-t-Bu 、 NHCH(Me)CH₂O-t-Am 、 NHCH(Me)CH₂O-n-Am 、 NHCH(Me)CH₂O-n-Hex 、 NHCH(Me)CH₂OCH₂(CH₂)₆Me 、 NHCH(Me)CH₂OCH₂Ph 、 NHCH(Me)CH₂OPh 、 NHOCH₂CO₂Me 、 NHOCH₂CO₂Et 、 N(Me)OCH₂CO₂Me 、 N(Me)OCH₂CO₂Et 、 NHNNH₂ 、 NHNHSO₂Me 、 NHNHSO₂Et 、 NHNHSO₂n-Pr 、 NHNHSO₂i-Pr 、 NHNHSO₂n-Bu 、 NHNHSO₂s-Bu 、 NHNHSO₂i-Bu 、 NHNHSO₂t-Bu 、 NHNHSO₂t-Am 、 NHNHSO₂n-Am 、 NHNHSO₂n-Hex 、 NHNHSO₂CH₂(CH₂)₆Me 、 NHNHSO₂CH₂Ph 、 NHNHSO₂Ph 、 NHN(SO₂Me)₂ 、 NHN(SO₂Et)₂ 、 NHN(SO₂n-Pr)₂ 、 NHN(SO₂i-Pr)₂ 、 NHN(SO₂n-Bu)₂ 、 NHN(SO₂s-Bu)₂ 、 NHN(SO₂i-Bu)₂ 、 NHN(SO₂t-Bu)₂ 、 NHN(SO₂n-Am)₂ 、 NHN(SO₂n-Hex)₂ 、 NHN(SO₂CH₂(CH₂)₆Me)₂ 、 NHN(SO₂CH₂Ph)₂ 、 NHN(SO₂Ph)₂ 、 N(NH₂)SO₂Me 、 N(NH₂)SO₂Et 、 N(NH₂)SO₂n-Pr 、 N(NH₂)SO₂i-Pr 、 N(NH₂)SO₂n-Bu 、 N(NH₂)SO₂s-Bu 、 N(NH₂)SO₂i-Bu 、 N(NH₂)SO₂t-Bu 、 N(NH₂)SO₂t-Am 、 N(NH₂)SO₂n-Am 、 N(NH₂)SO₂n-Hex 、 N(NH₂)SO₂CH₂(CH₂)₆Me 、 N(NH₂)SO₂CH₂Ph 、 N(NH₂)SO₂Ph 、 N(COCH₂Cl)CH₂OEt 、 N(COCH₂Br)CH₂OEt 、 N(COCH₂Cl)CH₂O-i-Pr 、 N(COCH₂Br)CH₂O-i-Pr 、 N(COCH₂Cl)CH₂O-n-Bu 、 N(COCH₂Br)CH₂O-n-Bu 、 N(COCH₂Cl)CH₂O-i-Bu 、 N(COCH₂Br)CH₂O-i-Bu 、 N(COCH₂Cl)CH₂CO₂Me 、 N(COCH₂Br)CH₂CO₂Et 、 N(COCH₂Cl)CH(Me)CH₂OMe 、 N(COCH₂Br)CH(Me)CH₂OMe 、 N(COCH₂OMe)CH(Me)CO₂Me 、 N(COCH₂OMe)CH(Me)CO₂Et 、 N(COCH₂OMe)-Q15 、 N(COCH₂OMe)-Q15 、 N(COCH₂OMe)-Q17 、 N(COCH₂OMe)-Q17 、 N(COCH₂OMe)-Q19 、 N(COCH₂OMe)-Q19 、 N(CO-Q16)CH(Me)CO₂Me 、 N(COCH₂Cl)-Q17 、 N(COCH₂Br)-Q17 、 N(COCH₂Cl)-Q15 、 N(COCH₂Br)-Q15 、 N(COCH₂Cl)CH(Me)CO₂Me 、 N(COCH₂Ph)CH(Me)CO₂Et 、 N(COCH₂Ph)CH(Me)CO₂Me 、 N(COCH₂Ph)CH(Me)CO₂Et 、 N(CO-c-Pr)-Q15 、 N(CO-c-Pr)-Q15 、 N(CO-Q18)CH(Me)CO₂Me 、 N(CO-Q18)CH(Me)CO₂Et 、 NHCOCH₂OMe 、 NHCOCH₂OEt 、 NHCH=NOMe 、 NHCH=NOEt 、 NHCH₂CON(Me)-(6-MeO-Q1) 、 NHCH₂CON(Me)-(6-Me-Q1) 、 NHCH₂CON(Me)Ph 、 NHCOCH₂CH(Me)CH₂CO₂H 、 NHCOCH₂CH(Me)CH₂CO₂Me 、 NHCOCH₂CH(Me)CH₂CO₂Et 、 NHCOCH₂CH(CF₃)CH₂CO₂H 、 NHCOCH₂CH(CF₃)CH₂CO₂Me 、 NHCOCH₂CH(CF₃)CH₂CO₂Et 、 NHCH₂SMe 、 NHCH₂SO₂Me 、 NHCH₂SEt 、 NHCH₂SO₂Et 、 NHCH₂CN

in which Q₁ to Q₄₇ are as shown below.



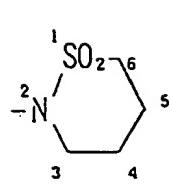
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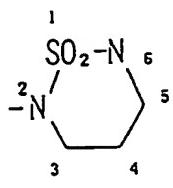
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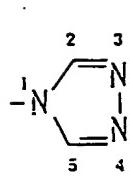
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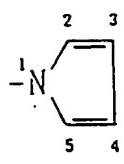
Q39



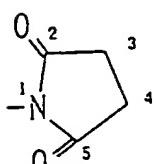
Q40



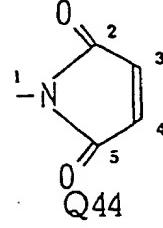
Q41



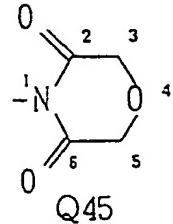
Q42



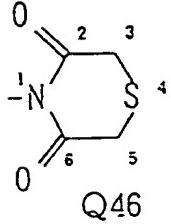
Q43



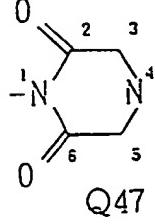
Q44



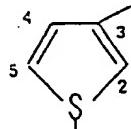
Q45



Q46



Q47



Q48

Next, formulation examples of preparations using the compound of the present invention are shown specifically. The formulation examples of the present invention are not limited only to these. In the following formulation examples, all "part"s mean part by weight.

[Wettable powder]

The compound of the present invention	5 - 80 parts
Solid carrier	10 - 85 parts
Surfactant	1 - 10 parts
Others	1 - 5 parts

As the others, there may be mentioned, for example, an anticaking agent.

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[Emulsifiable concentrate]

5

The compound of the present invention	1 - 30 parts
Liquid carrier	30 - 95 parts
Surfactant	5 - 15 parts

10 [Flowable formulation]

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The compound of the present invention	5 - 70 parts
Liquid carrier	15 - 65 parts
Surfactant	5 - 12 parts
Others	5 - 30 parts

As the others, there may be mentioned, for example, an antifreezing agent, a thickening agent, etc.

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[Granular wettable formulation (Dry flowable formulation)]

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The compound of the present invention	20 - 90 parts
Solid carrier	10 - 60 parts
Surfactant	1 - 20 parts

30 [Granule]

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The compound of the present invention	0.01 - 10 parts
Solid carrier	90 - 99.99 parts
Others	0 - 5 parts

[Formulation example 1] Wettable powder

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Present compound D-12	50 parts
Zeeklite PFP	43 parts
(Kaolin series clay: produced by Zeeklite Kogyo K.K., tradename)	

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Sorpol 5050	2 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

55

Runox 1000C	3 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

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Carplex #80 (Anticaking agent)	2 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

5 The above components were uniformly mixed and pulverized to prepare a wettable powder.

[Formulation example 2] Emulsifiable concentrate

Present compound D-12	3 parts
Xylene	76 parts
Isophorone	15 parts
Sorpol 3005X	6 parts
(Mixture of an nonionic surfactant and an anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

The above components were uniformly mixed to prepare an emulsifiable concentrate.

[Formulation example 3] Flowable formulation

Present compound D-12	35 parts
Agrizole S-711	8 parts
(Nonionic surfactant: produced by Kao Corporation, tradename)	

Runox 1000C	0.5 part
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

1 % Rodopole water	20 parts
(Thickening agent: produced by Rhone-Poulenc S.A., tradename)	

Ethylene glycol (Antifreezing agent)	8 parts
Water	28.5 parts

45 The above components were uniformly mixed to prepare a flowable formulation.

[Formulation example 4] Granular wettable powder (Dry flowable formulation)

Present compound D-12	75 parts
Isobam No. 1	10 parts
(Anionic surfactant: produced by Kuraray Isoprene Chemical Co., Ltd., tradename)	

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Vanilex N	5 parts
(Anionic surfactant: produced by Sanyo Kokusaku Pulp Co., Ltd., tradename)	

5

Carplex #80	10 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

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The above components were uniformly mixed and finely pulverized to prepare a dry flowable formulation.

[Formulation example 5] Granule

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Present compound D-12	0.1 part
Bentonite	55.0 parts
Talc	44.9 parts

20

The above components were uniformly mixed and pulverized, and then a small amount of water was added and the mixture was stirred, mixed and kneaded, and granulated by an extrusion type granulating machine and dried to prepare granule.

25

[Formulation example 6] Wettable powder

30

Present compound D-15	50 parts
Zeeklite PFP	43 parts
(Kaolin series clay: produced by Zeeklite Kogyo K.K., tradename)	

35

Sorpol 5050	2 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

40

Runox 1000C	3 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

45

Carplex #80 (Anticaking agent)	2 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

50

The above components were uniformly mixed and pulverized to prepare a wettable powder.

55

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[Formulation example 7] Emulsifiable concentrate

5	Present compound D-15 Xylene Isophorone Sorpel 3005X	3 parts 76 parts 15 parts 6 parts
10	(Mixture of an nonionic surfactant and an anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

The above components were uniformly mixed to prepare an emulsifiable concentrate.

15 [Formulation example 8] Flowable formulation

20	Present compound D-15 Agrizole S-711	35 parts 8 parts
25	(Nonionic surfactant: produced by Kao Corporation, tradename)	

25	Runox 1000C	0.5 part
30	(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

30	1 % Rodopole water	20 parts (Thickening agent: produced by Rhone-Poulenc S.A., tradename)
----	--------------------	--

35	Ethylene glycol (Antifreezing agent) Water	8 parts 28.5 parts
----	---	-----------------------

The above components were uniformly mixed to prepare a flowable formulation.

40 [Formulation example 9] Granular wettable powder (Dry flowable formulation)

45	Present compound D-15 Isobam No. 1	75 parts 10 parts
50	(Anionic surfactant: produced by Kuraray Isoprene Chemical Co., Ltd., tradename)	

50	Vanilex N	5 parts
55	(Anionic surfactant: produced by Sanyo Kokusaku Pulp Co., Ltd., tradename)	

55	Carplex #80	10 parts
60	(White carbon: produced by Shionogi & Co., Ltd., tradename)	

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The above components were uniformly mixed and finely pulverized to prepare a dry flowable formulation.

[Formulation example 10] Granule

5

10

Present compound D-15	0.1 part
Bentonite	55.0 parts
Talc	44.9 parts

The above components were uniformly mixed and pulverized, and then a small amount of water was added and the mixture was stirred, mixed and kneaded, and granulated by an extrusion type granulating machine and dried to prepare granule.

15

[Formulation example 11] Wettable powder

20

Present compound D-16	50 parts
Zeeklite PFP	43 parts
(Kaolin series clay: produced by Zeeklite Kogyo K.K., tradename)	

25

Sorpol 5050	2 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

30

Runox 1000C	3 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

35

Carplex #80 (Anticaking agent)	2 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

40

The above components were uniformly mixed and pulverized to prepare a wettable powder.

[Formulation example 12] Emulsifiable concentrate

45

Present compound D-16	3 parts
Xylene	76 parts
Isophorone	15 parts
Sorpol 3005X	6 parts
(Mixture of an nonionic surfactant and an anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

55

The above components were uniformly mixed to prepare an emulsifiable concentrate.

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[Formulation example 13] Flowable formulation

5

Present compound D-16 Agrizole S-711	35 parts 8 parts
(Nonionic surfactant: produced by Kao Corporation, tradename)	

10

Runox 1000C	0.5 part
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

15

1 % Rodopole water	20 parts
(Thickening agent: produced by Rhone-Poulenc S.A., tradename)	

20

Ethylen glycol (Antifreezing agent) Water	8 parts 28.5 parts
--	-----------------------

25

The above components were uniformly mixed to prepare a flowable formulation.

[Formulation example 14] Granular wettable powder (Dry flowable formulation)

30

Present compound D-16 Isobam No. 1	75 parts 10 parts
(Anionic surfactant: produced by Kuraray Isoprene Chemical Co., Ltd., tradename)	

35

Vanilex N	5 parts
(Anionic surfactant: produced by Sanyo Kokusaku Pulp Co., Ltd., tradename)	

40

Carplex #80	10 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

45

The above components were uniformly mixed and finely pulverized to prepare a wettable powder.

50

[Formulation example 15] Granule

55

Present compound D-16 Bentonite Talc	0.1 part 55.0 parts 44.9 parts
--	--------------------------------------

The above components were uniformly mixed and pulverized, and then a small amount of water was added and the mixture was stirred, mixed and kneaded, and granulated by an extrusion type granulating

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machine and dried to prepare granule.

[Formulation example 16] Wettable powder

5

Present compound D-22 Zeeklite PFP	50 parts 43 parts
(Kaolin series clay: produced by Zeeklite Kogyo K.K., tradename)	

10

15

Sorpol 5050	2 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

20

Runox 1000C

3 parts

(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)

25

Carplex #80 (Anticaking agent)

2 parts

(White carbon: produced by Shionogi & Co., Ltd., tradename)

The above components were uniformly mixed and pulverized to prepare a wettable powder.

30 [Formulation example 17] Emulsifiable concentrate

35

Present compound D-22 Xylene Isophorone Sorpol 3005X	3 parts 76 parts 15 parts 6 parts
(Mixture of an nonionic surfactant and an anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

40

The above components were uniformly mixed to prepare an emulsifiable concentrate.

[Formulation example 18] Flowable formulation

45

50

Present compound D-22 Agrizole S-711	35 parts 8 parts
(Nonionic surfactant: produced by Kao Corporation, tradename)	

55

Runox 1000C

0.5 part

(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)

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1 % Rodopole water	20 parts
(Thickening agent: produced by Rhone-Poulenc S.A., tradename)	

5

Ethyleneglycol (Antifreezing agent)	8 parts
Water	28.5 parts

10 The above components were uniformly mixed to prepare a flowable formulation.

[Formulation example 19] Granular wettable powder (Dry flowable formulation)

15

Present compound D-22 Isobam No. 1	75 parts 10 parts
(Anionic surfactant: produced by Kuraray Isoprene Chemical Co., Ltd., tradename)	

20

Vanilex N	5 parts
(Anionic surfactant: produced by Sanyo Kokusaku Pulp Co., Ltd., tradename)	

25

Carplex #80	10 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

30

The above components were uniformly mixed and finely pulverized to prepare a wettable powder.

[Formulation example 20] Granule

35

Present compound D-22 Bentonite Talc	0.1 part 55.0 parts 44.9 parts
--	--------------------------------------

40

The above components were uniformly mixed and pulverized, and then a small amount of water was added and the mixture was stirred, mixed and kneaded, and granulated by an extrusion type granulating machine and dried to prepare granule.

45

[Formulation example 21] Wettable powder

55

Present compound D-24 Zeeklite PFP	50 parts 43 parts
(Kaolin series clay: produced by Zeeklite Kogyo K.K., tradename)	

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Sorpol 5050	2 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

5

Runox 1000C	3 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

10

Carplex #80 (Anticaking agent)	2 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

15

The above components were uniformly mixed and pulverized to prepare a wettable powder.

[Formulation example 22] Emulsifiable concentrate

20

Present compound D-24	3 parts
Xylene	76 parts
Isophorone	15 parts
Sorpol 3005X	6 parts
(Mixture of an nonionic surfactant and an anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

30

The above components were uniformly mixed to prepare an emulsifiable concentrate.

[Formulation example 23] Flowable formulation

35

Present compound D-24	35 parts
Agrizole S-711	8 parts
(Nonionic surfactant: produced by Kao Corporation, tradename)	

40

Runox 1000C	0.5 part
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

45

1 % Rodopole water	20 parts
(Thickening agent: produced by Rhone-Poulenc S.A., tradename)	

50

Ethylene glycol (Antifreezing agent)	8 parts
Water	28.5 parts

55

The above components were uniformly mixed to prepare a flowable formulation.

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[Formulation example 24] Granular wettable powder (Dry flowable formulation)

5	Present compound D-24 Isobam No. 1	75 parts 10 parts
(Anionic surfactant: produced by Kuraray Isoprene Chemical Co., Ltd., tradename)		

10	Vanilex N	5 parts
(Anionic surfactant: produced by Sanyo Kokusaku Pulp Co., Ltd., tradename)		

15	Carplex #80	10 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)		

20 The above components were uniformly mixed and finely pulverized to prepare a wettable powder.

[Formulation example 25] Granule

25	Present compound D-24 Bentonite Talc	0.1 part 55.0 parts 44.9 parts
----	--	--------------------------------------

30 The above components were uniformly mixed and pulverized, and then a small amount of water was added and the mixture was stirred, mixed and kneaded, and granulated by an extrusion type granulating machine and dried to prepare granule.

For practical use, the wettable powder, the emulsifiable concentrate, the flowable formulation and the granular wettable powder are diluted 50 to 1000-fold with water and applied so that the dose of an effective component is 0.0001 to 10 kg per one hectare (ha).

Next, availability of the compounds of the present invention as a herbicide is explained specifically by referring to the following test examples.

40 [Test example 1] Test of herbicidal effect by soil treatment

In a plastic box having a length of 15 cm, a width of 22 cm and a depth of 6 cm was charged a sterilized diluvial soil, and Echinochloa crus-galli (barnyardgrass), Digitaria adscendens (Crabgrass), Cyperus microira (annual sedge), Solanum nigrum (black nightshade), Galinsoga ciliata (hairy galinsoga), Rorippa indica (indian field cress), rice, corn, wheat, soybean and cotton were sewed mixedly and after covering with soil about 1 cm, chemicals were applied uniformly using a small sized spray on the surface of the soil so that the dose of the effective ingredient is as predetermined. The chemical liquor at applying was used by diluting the preparation prepared according to the above formulation examples, etc., with water and this was applied. After 3 weeks from the application of the chemical liquor, herbicidal effect against respective weeds and crops were examined according to the following judgement standard. The results are shown in Table 3.

Judgement standard

- 55 5 Weed killing rate 90 % or more (substantially completely killed)
 4 Weed killing rate 70 to 90 %
 3 Weed killing rate 40 to 70 %
 2 Weed killing rate 20 to 40 %
 1 Weed killing rate 5 to 20 %

0 Weed killing rate 5 % or lower (substantially no effect)

The above weed killing rate was obtained by the following equation by after measuring an above-ground green forage weight in the chemical treated area and an above-ground green forage weight in the non-treated area.

5

Weed killing rate = $(1 - (\text{above-ground green forage weight in chemical treated area} / \text{above-ground green forage weight in non-treated area})) \times 100$

[Test example 2] Test of herbicidal effect by foliar treatment

10

In a plastic box having a length of 15 cm, a width of 22 cm and a depth of 6 cm was charged a sterilized diluvial soil, and seeds of Echinochloa crus-galli (barnyardgrass), Digitaria adscendens - (Crabgrass), Cyperus microiria (annual sedge), Solanum nigrum (black nightshade), Galinsoga ciliata (hairy galinsoga), Rorippa indica (indian field cress), rice, corn, wheat, soybean, cotton and sugar beet were spot-sowed and after covering with soil about 1 cm. Each kinds of plants were reached to 2 to 3-leaf stage, chemicals were applied uniformly to the foliar portion so that the dose of the effective ingredient is as predetermined.

The chemical liquor at applying was used by diluting the preparation prepared according to the above formulation examples, etc., with water and this was applied using a small sized spray to whole surface of the foliar portion. After 4 weeks from the application of the chemical liquor, herbicidal effect against respective weeds and crops were examined according to the judgement standard in Test example

1. The results are shown in Table 4.

25 [Test example 3] Test of herbicidal effect under watering condition

In 1/5000 are Wagner pot was placed a diluvial soil and water was charged therein and mixed to make a watering condition with a water depth of 2 cm. Each seed of Echinochloa crus-galli (barnyardgrass), Monochoria vaginalis (ducksalad), Rotala indica (toothcap) and Scirpus juncoides (bulrush) was sewed mixedly in the above pot. Also, root of Sagittaria pygmaea (arrowhead) and Cyperus serotinus (perennial flat sedge) were placed therein and rice seedlings at 2.5-leaf stage was transplanted. The pot was placed in a green house at 25 to 30 °C to grow plants, and 2 days after sewing, diluted chemical solution was added dropwise to the water surface with a messipet so that the dose of the chemical as predetermined. After 3 weeks from the dropping of the chemical liquor, herbicidal effect against respective weeds and crops were examined according to the judgement standard in Test example 1. The results are shown in Table 5.

Symbols in the respective tables mean as shown below.

- N: Echinochloa crus-galli (barnyardgrass)
- M: Digitaria adscendens (crabgrass)
- K: Cyperus microiria (annual sedge)
- H: Solanum nigrum (black nightshade)
- D: Galinsoga ciliata (hairy galinsoga)
- I: Rorippa indica (Indian field grass)
- R: rice
- T: corn
- W: wheat
- S: soybean
- C: cotton
- B: sugar beet
- a: Scirpus juncoides (bulrush)
- b: Monochoria vaginalis (ducksalad)
- c: Rotala indica (toothcap)
- d: Sagittaria pygmaea (arrowhead)
- e: Cyperus serotinus (perennial flat sedge)
- f: transplanted rice

55

Table 3

	Compound No.	Dose (g/a)	N	M	K	H	D	I	R	T	W	S	C
10	D - 1	0.4	3	2	5	5	5	5	0	0	0	0	1
15	D - 2	0.4	3	2	5	5	2	5	1	0	0	0	0
20	D - 3	0.4	2	3	5	5	5	5	0	0	0	0	0
25	D - 4	0.4	4	5	5	5	5	5	0	0	0	0	0
30	D - 5	0.4	2	4	5	5	5	5	1	0	0	0	1
35	D - 6	0.4	2	3	4	5	5	5	0	0	0	0	1
40	D - 7	0.4	4	3	5	5	5	5	1	0	0	0	0
45	D - 8	0.4	2	2	4	5	5	5	0	0	0	0	0
50	D - 9	0.4	2	4	5	5	5	5	0	0	0	0	0
	D - 10	0.4	1	2	4	5	5	5	0	0	0	0	0
	D - 11	0.4	2	2	3	5	5	5	0	0	0	0	0
	D - 12	0.4	4	5	5	5	5	5	1	0	0	0	2
	D - 13	0.4	2	4	4	5	5	5	0	0	0	0	1
	D - 14	0.1	5	5	5	5	5	5	1	0	0	0	0
	D - 15	0.4	4	5	5	5	5	5	1	1	0	1	2
	D - 16	0.1	1	3	5	5	5	5	1	0	0	0	0
	D - 17	0.4	1	2	1	5	4	5	0	0	0	0	1
	D - 18	0.4	2	1	5	5	5	5	0	0	0	0	0

Table 3 (Contd.)

	Compound	Dose	N	M	K	H	D	I	R	T	W	S	C
	No.	(g/a)											
5	D - 19	0.4	3	4	5	5	5	5	0	0	0	0	0
10	D - 20	0.1	3	5	5	5	5	5	1	0	0	0	1
15	D - 21	0.4	3	5	5	5	5	5	0	0	0	1	2
20	D - 22	0.1	5	5	5	5	5	5	1	1	1	0	0
25	D - 23	0.1	4	5	5	5	5	5	0	1	0	0	1
30	D - 24	0.1	4	5	5	5	5	5	1	0	1	0	1
35	D - 25	0.1	3	4	5	5	5	5	1	0	0	0	1
40	D - 26	0.1	3	4	5	5	5	5	0	0	0	0	0
45	D - 27	0.1	4	3	5	5	5	5	0	1	0	0	1
50	D - 28	0.1	3	4	5	5	5	5	0	0	0	0	0
	D - 29	0.4	1	2	2	5	5	5	0	0	0	0	0
	D - 30	0.4	3	4	5	5	5	5	1	0	1	0	1
	D - 31	0.4	4	5	5	5	5	5	0	0	0	0	0
	D - 32	0.4	2	3	5	5	5	5	0	0	0	0	0
	D - 33	0.4	1	2	3	4	5	5	0	0	0	0	0
	D - 34	0.4	1	2	4	5	5	5	0	0	0	0	0
	D - 35	0.4	1	3	5	5	3	5	0	0	0	0	0
	D - 36	1.6	1	2	5	5	3	5	0	0	0	0	0

Table 3 (Contd.)

	Compound No.	Dose (g/a)	N	M	K	H	D	I	R	T	W	S	C
10	D - 3 7	1. 6	2	3	5	5	5	5	1	0	0	0	0
15	D - 3 8	1. 6	2	4	5	5	5	5	0	0	0	0	0
20	D - 3 9	1. 6	4	5	5	5	5	5	0	0	0	1	1
25	D - 4 0	1. 6	3	4	5	5	5	5	0	1	1	0	1
30	D - 4 1	0. 4	3	4	5	5	5	5	0	0	0	0	0
35	D - 4 2	1. 6	2	4	5	5	5	5	0	0	0	0	0
40	D - 4 3	1. 6	3	4	5	5	5	5	0	0	0	0	0
45	D - 4 4	0. 4	3	4	5	5	5	5	0	0	0	0	0
50	D - 4 8	0. 4	1	1	5	5	5	5	0	0	0	0	0
55	D - 4 9	0. 4	1	3	5	5	5	5	1	0	0	0	0
60	D - 5 0	0. 4	4	4	5	5	5	5	2	0	1	0	0
65	D - 5 1	0. 4	3	3	5	5	5	5	0	0	0	0	0
70	D - 5 2	0. 4	2	2	5	5	2	5	0	0	0	0	0
75	D - 5 3	0. 4	3	3	5	5	5	5	1	0	1	0	0
80	D - 5 4	0. 4	1	2	4	5	5	5	0	1	1	1	0
85	D - 5 5	0. 4	0	1	2	5	5	5	0	0	0	0	0
90	D - 5 6	0. 4	1	1	5	5	5	5	0	0	0	0	0
95	D - 5 7	0. 4	1	1	5	5	5	5	0	0	0	0	0

Table 3 (Contd.)

	Compound No.	Dose (g/a)	N	M	K	H	D	I	R	T	W	S	C
10	D - 5 8	0 . 4	4	5	5	5	5	5	0	0	1	1	1
15	D - 5 9	0 . 4	4	5	5	5	5	5	0	1	1	1	1
20	D - 6 0	0 . 4	2	4	5	5	3	5	0	0	0	0	0
25	D - 6 1	0 . 1	5	5	5	5	5	5	3	2	2	1	2
30	D - 6 2	0 . 4	4	4	5	5	5	5	1	0	0	0	2
35	D - 6 3	0 . 4	3	2	5	5	5	5	0	0	0	0	0
40	D - 6 4	0 . 4	2	1	5	5	5	5	0	0	0	0	0
45	D - 6 5	0 . 4	1	2	5	5	5	5	0	0	1	0	0
50	D - 6 6	0 . 1	5	5	5	5	5	5	0	0	0	0	0
55	D - 6 7	0 . 1	1	2	5	5	5	5	0	0	0	0	0
60	D - 6 8	0 . 4	5	5	5	5	5	5	1	0	0	2	2
65	D - 6 9	0 . 4	5	5	5	5	5	5	0	0	3	0	3
70	D - 7 0	1 . 6	4	5	5	5	5	5	0	0	0	0	0
75	D - 7 1	1 . 6	0	2	5	5	5	5	0	0	0	0	1
80	D - 7 2	1 . 6	4	4	5	5	5	5	0	0	0	0	0
85	D - 7 3	1 . 6	5	5	5	5	5	5	0	0	1	0	0
90	D - 7 4	0 . 4	5	5	5	5	5	5	1	1	0	1	1
95	D - 7 5	1 . 6	5	5	5	5	5	5	1	1	1	1	1

Table 3 (Contd.)

	Compound	Dose	N	M	K	H	D	I	R	T	W	S	C
	No.	(g/a)											
10	D - 7 6	0 . 4	5	5	5	5	5	5	0	0	0	0	0
15	D - 7 7	0 . 4	5	5	5	5	5	5	0	0	0	0	0
20	D - 7 8	0 . 4	5	5	5	5	5	5	1	2	1	3	3
25	D - 7 9	1 . 6	5	5	5	5	5	5	2	1	0	3	1
30	D - 8 0	0 . 4	5	5	5	5	5	5	0	0	0	0	0
35	D - 8 1	1 . 6	2	3	5	5	5	5	1	0	0	0	0
40	D - 8 2	0 . 4	5	5	5	5	5	5	3	1	2	1	0
45	D - 8 3	1 . 6	2	5	5	5	5	5	0	0	0	3	3
50	D - 8 4	1 . 6	3	5	5	5	5	5	1	0	0	0	0
	D - 8 5	1 . 6	4	4	5	5	4	5	0	0	0	0	0
	D - 8 6	0 . 4	5	5	5	5	5	5	0	1	0	0	0
	D - 8 7	1 . 6	2	2	4	5	3	5	0	0	0	0	0
	D - 8 8	0 . 4	1	2	5	5	5	5	0	0	0	0	0
	D - 8 9	0 . 1	2	4	5	5	5	5	0	0	0	0	0
	D - 9 0	1 . 6	5	5	5	5	5	5	0	0	0	0	0
	D - 9 1	0 . 4	5	5	5	5	5	5	1	1	0	1	1
	D - 9 2	0 . 4	3	1	5	5	5	5	0	0	0	0	1
	D - 9 3	1 . 6	5	5	5	5	5	5	0	0	0	0	0

Table 3 (Contd.)

Compound No.	Dose (g/a)	N	M	K	H	D	I	R	T	W	S	C
		10	2	5	5	5	5	5	1	0	1	0
D - 9 4	1. 6											
D - 9 5	6. 3	2	5	5	5	5	5	1	0	0	0	5
D - 9 6	1. 6	5	5	5	5	5	5	2	0	2	2	2
D - 9 7	0. 4	5	5	5	5	5	5	0	0	0	0	3
D - 9 8	0. 4	5	5	5	5	5	5	0	0	0	0	1

25

30

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50

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Table 4

5	Compound	Dose	N	M	K	H	D	I	R	T	W	S	C	B
	No.	(g/a)												
10	D - 1	0.4	2	1	5	5	5	5	1	1	0	4	5	5
D - 2	0.4	2	1	5	5	3	2	0	2	0	3	4	5	
D - 3	0.4	2	1	5	5	5	5	0	1	0	3	5	5	
D - 4	0.4	4	5	5	5	5	5	1	3	0	5	5	5	
D - 5	0.4	2	3	5	5	5	5	1	2	0	4	4	5	
D - 6	0.4	2	3	5	5	5	5	1	1	0	4	5	5	
D - 7	0.4	3	3	5	5	5	5	2	2	0	5	5	5	
D - 8	0.4	2	1	5	5	5	5	0	0	0	3	5	5	
D - 9	0.4	2	3	5	5	5	5	1	2	0	3	4	5	
D - 10	0.4	2	2	5	5	5	5	0	0	0	2	5	5	
D - 11	0.4	3	3	5	5	5	5	4	1	2	1	4	4	4
D - 12	0.1	4	3	5	5	5	5	0	2	0	3	4	5	
D - 13	0.4	2	1	5	5	5	5	4	0	2	1	2	5	5
D - 14	0.1	3	2	5	5	5	5	1	2	1	5	5	5	
D - 15	0.4	2	3	5	5	5	5	1	2	0	5	5	5	
D - 16	0.1	2	2	4	5	5	5	2	1	1	0	2	5	5
D - 17	1.6	1	2	1	5	5	5	0	0	0	3	2	3	
D - 18	0.4	2	2	5	5	5	5	0	0	0	3	5	5	

Table 4 (Contd.)

5	Compound	Dose	N	M	K	H	D	I	R	T	W	S	C	B
10	No.	(g/a)												
10	D - 19	1. 6	4	2	5	5	5	5	0	1	2	4	5	4
15	D - 20	0. 4	3	4	5	5	5	5	2	3	1	3	4	4
20	D - 21	0. 4	2	2	2	5	5	3	0	0	0	3	2	3
25	D - 22	0. 1	2	3	5	5	5	5	1	2	0	5	5	5
30	D - 23	0. 1	2	5	5	5	5	5	1	2	0	5	5	5
35	D - 24	0. 1	2	3	5	5	5	4	1	1	2	4	5	5
40	D - 25	0. 1	2	4	5	5	5	4	1	2	1	4	5	5
45	D - 26	0. 1	2	3	5	5	5	4	1	1	0	4	5	5
50	D - 27	0. 1	3	3	5	5	5	4	1	1	1	5	5	5
55	D - 28	0. 1	2	3	5	5	5	5	1	1	0	5	5	5
60	D - 29	0. 4	2	3	5	5	5	5	0	0	0	2	4	3
65	D - 30	0. 4	4	3	5	5	5	5	1	1	0	4	5	5
70	D - 31	0. 4	3	2	5	5	5	5	0	1	0	3	5	5
75	D - 32	0. 4	2	2	5	5	5	5	0	1	0	2	5	4
80	D - 33	1. 6	4	2	2	5	5	5	1	0	0	4	5	5
85	D - 34	1. 6	2	2	5	5	5	5	1	2	1	4	5	5
90	D - 35	0. 4	2	3	5	5	5	5	0	0	0	3	2	2
95	D - 36	0. 4	2	2	5	5	5	3	0	0	0	3	5	3

Table 4 (Contd.)

5	Compound No.	Dose (q/a)	N	M	K	H	D	I	R	T	W	S	C	B
10	D - 3 7	0 . 4	2	3	5	5	5	2	0	0	0	3	5	5
15	D - 3 8	0 . 4	2	2	5	5	5	4	0	1	0	4	5	5
20	D - 3 9	1 . 6	3	4	5	5	5	5	0	2	0	5	5	5
25	D - 4 0	1 . 6	2	3	5	5	5	5	0	2	1	4	5	5
30	D - 4 1	0 . 4	3	4	2	5	5	5	1	3	0	5	4	3
35	D - 4 2	1 . 6	2	2	5	5	5	5	0	1	0	2	4	3
40	D - 4 3	1 . 6	3	2	5	5	5	4	1	1	0	2	5	5
45	D - 4 4	0 . 4	1	2	2	5	5	5	0	0	0	2	3	3
50	D - 4 8	0 . 4	1	1	5	5	5	5	0	0	0	2	5	3
55	D - 4 9	0 . 4	2	1	5	5	5	5	1	2	1	5	5	5
60	D - 5 0	0 . 4	2	1	5	5	5	5	2	2	1	4	5	5
65	D - 5 1	0 . 4	5	2	5	5	5	5	1	4	4	5	5	5
70	D - 5 2	0 . 4	4	2	5	5	5	5	1	3	1	5	5	5
75	D - 5 3	0 . 4	5	2	5	5	5	5	1	3	1	5	5	5
80	D - 5 4	0 . 4	2	1	5	5	5	5	1	3	1	5	5	5
85	D - 5 6	0 . 4	1	1	5	5	5	5	2	0	0	3	5	5
90	D - 5 7	1 . 6	1	1	5	5	5	5	0	1	1	1	5	4
95	D - 5 8	0 . 4	2	4	5	5	5	5	0	1	1	4	5	5

Table 4 (Contd.)

5	Compound	Dose	N	M	X	H	D	I	R	T	W	S	C	B
10	No.	(q/a)												
10	D - 5 9	1 . 6	3	4	5	5	5	5	2	2	1	5	5	5
15	D - 6 0	1 . 6	2	3	5	5	4	3	1	2	1	5	5	5
20	D - 6 1	0 . 1	2	1	5	5	5	5	2	3	2	3	5	5
25	D - 6 2	0 . 4	2	1	5	5	5	5	1	2	1	5	5	5
30	D - 6 3	0 . 4	2	2	5	5	5	5	1	2	1	3	5	2
35	D - 6 4	0 . 4	2	1	5	5	5	5	1	3	1	4	5	4
40	D - 6 5	0 . 4	2	1	5	5	5	5	1	3	2	5	5	5
45	D - 6 6	0 . 1	3	2	5	5	5	5	1	2	0	5	3	5
50	D - 6 7	0 . 1	1	1	5	5	5	5	0	2	1	4	5	5
55	D - 6 8	0 . 4	3	1	2	5	5	5	0	0	0	3	3	2
60	D - 6 9	0 . 4	4	0	2	5	5	5	1	3	3	5	5	1
65	D - 7 0	1 . 6	1	1	2	5	5	5	1	3	0	1	2	1
70	D - 7 1	1 . 6	0	0	2	5	5	5	0	2	0	4	3	1
75	D - 7 2	1 . 6	2	0	2	5	5	4	1	1	0	3	3	1
80	D - 7 3	1 . 6	3	0	2	5	5	5	1	2	1	3	3	3
85	D - 7 4	0 . 4	2	0	1	5	5	5	1	1	1	3	3	2
90	D - 7 5	1 . 6	4	0	0	5	4	4	1	3	1	3	3	0
95	D - 7 6	0 . 4	2	0	1	5	5	5	0	2	0	2	4	0

Table 4 (Contd.)

5 No.	Compound No.	Dose (g/a)												
			N	M	K	H	D	I	R	T	W	S	C	B
10	D - 77	0. 4	3	0	2	5	5	5	0	2	0	5	3	2
15	D - 78	0. 4	3	0	2	5	5	5	1	3	1	3	3	2
20	D - 79	1. 6	5	1	5	5	5	5	2	4	1	4	5	2
25	D - 80	0. 4	4	0	3	5	5	5	1	2	0	3	3	1
30	D - 81	1. 6	1	0	1	5	5	5	1	1	0	3	3	1
35	D - 82	0. 4	4	1	2	5	5	4	2	3	1	4	3	1
40	D - 83	1. 6	1	1	3	5	5	5	1	2	0	4	4	1
45	D - 84	1. 6	2	1	0	5	5	5	3	1	1	2	3	2
50	D - 85	1. 6	0	0	0	5	4	5	0	0	0	1	0	0
55	D - 86	0. 4	1	0	1	5	4	3	0	2	0	1	2	0
60	D - 87	1. 6	0	0	1	5	4	5	0	1	0	0	1	0
65	D - 88	0. 4	1	1	4	5	5	5	0	0	0	2	2	2
70	D - 89	0. 1	2	1	4	5	5	5	0	0	0	4	3	2
75	D - 90	1. 6	1	1	2	5	5	5	1	1	0	3	3	3
80	D - 91	0. 4	4	1	2	5	5	5	1	1	0	4	3	2
85	D - 92	0. 4	1	1	2	5	5	5	0	1	0	2	5	2
90	D - 93	1. 6	1	1	1	5	5	5	0	0	0	3	3	3
95	D - 94	1. 6	2	3	3	5	5	5	1	1	2	3	5	0

Table 4 (Contd.)

5

Table 5

5	Compound No.	Dose (g/a)						
			N	a	b	c	d	e
10	D - 31	0. 4	5	5	5	5	4	5
15	D - 53	0. 4	5	5	5	5	4	5
20	D - 54	0. 4	5	5	5	5	5	5
25	D - 61	0. 4	5	5	5	5	5	5
30	D - 62	0. 4	5	5	5	5	5	5
35	D - 63	0. 4	5	5	5	5	5	5
40	D - 64	0. 4	5	5	5	5	5	5
45	D - 65	0. 4	5	5	5	5	5	5
50	D - 66	0. 4	5	5	5	5	5	5
55	D - 67	0. 4	5	5	5	5	5	5
60	D - 69	0. 4	5	5	5	5	5	5
65	D - 70	0. 4	5	5	5	5	4	5
70	D - 76	0. 4	5	5	5	5	4	5
75	D - 77	0. 4	5	5	5	5	5	5
80	D - 80	0. 4	5	4	5	5	5	5
85	D - 82	0. 4	5	5	5	5	5	4
90	D - 89	0. 4	5	4	5	5	5	5
95	D - 91	0. 4	5	5	5	5	5	4
100	D - 96	0. 4	5	5	5	5	5	4

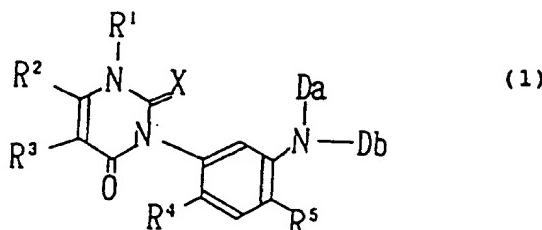
55 (Utilizability in industry)

The uracil derivative represented by the formula (I) of the present invention can be used for important crops with safety and shows high herbicidal effect against many weeds with low dose, and is available as an

active ingredient for a selective herbicide.

Claims

- 5 1. An uracil derivative represented by the formula (1):

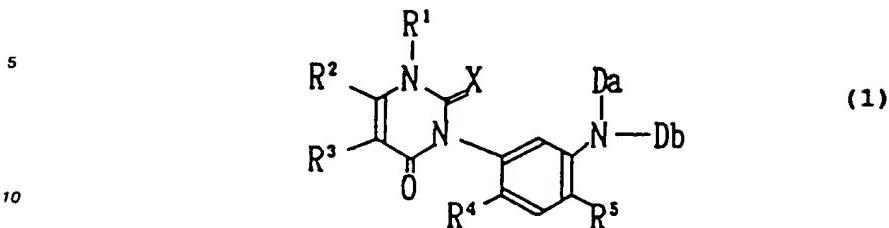


in which

- 20 R¹ represents a hydrogen atom, a C₁ to C₃ alkyl group or a C₁ to C₃ haloalkyl group;
R² represents a C₁ to C₆ haloalkyl group;
- R³ represents a hydrogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ haloalkyl group, a hydroxymethyl group, a halogen atom or nitro group;
- R⁴ represents a hydrogen atom or a halogen atom;
- R⁵ represents a hydrogen atom, a halogen atom, a nitro group or a cyano group;
- X represents an oxygen atom or a sulfur atom;
- D_a and D_b each independently represents a hydrogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ haloalkyl group, a C₃ to C₈ cycloalkyl group, a C₃ to C₈ cycloalkyl(C₁ to C₄)alkyl group, a C₂ to C₈ alkenyl group, a C₃ to C₈ alkynyl group, a C₂ to C₈ haloalkenyl group, a C₃ to C₈ haloalkynyl group, a C₃ to C₈ halocycloalkyl group, a C₃ to C₈ halocycloalkyl(C₁ to C₄)alkyl group, CH(OH)CCl₃, Ar in which Ar represents a phenyl group or a naphthyl group each of which may be substituted by one or two or more substituents,
- L¹-Ar in which Ar has the same meaning as above, and L¹ represents a C₁ to C₆ alkyl chain, a C₂ to C₆ alkenyl chain or a C₂ to C₆ alkynyl chain each of which may be branched,
- Het in which Het represents a 5-membered heterocyclic residue, a 6-membered heterocyclic residue or a fused heterocyclic residue each of which contains, as a ring constituent atom, at least one atom selected from a sulfur atom, an oxygen atom and a nitrogen atom and may be substituted by one or two or more substituents,
- L¹-Het in which Het and L¹ have the same meanings as above,
- L²-D⁵² in which D⁵² represents a hydrogen atom, a C₁ to C₂₀ alkyl group, a C₁ to C₂₀ haloalkyl group, a C₃ to C₈ cycloalkyl group, a C₃ to C₈ cycloalkyl(C₁ to C₄)alkyl group, a C₂ to C₈ alkenyl group, a C₃ to C₈ alkynyl group, a C₂ to C₈ haloalkenyl group, a C₃ to C₈ haloalkynyl group, a C₃ to C₈ halocycloalkyl group, a C₃ to C₈ halocycloalkyl(C₁ to C₄)alkyl group, a C₁ to C₄ alkoxy(C₁ to C₄)alkyl group,
- 45 Ar (Ar has the same meaning as above), -L¹-Ar group (Ar and L¹ have the same meanings as above), Het (Het has the same meaning as above) or -L¹-Het (Het and L¹ have the same meanings as above), and L² represents -C(O)-, -C(S)-, -SO₂-, -S(O)-, -S-, -O-, -C(O)O-, -C(O)S-, -C(S)O-, -C(S)S- or -C(O)C(O)-,
- L³-O-D⁵² in which D⁵² has the same meaning as above, and L³ represents a C₁ to C₆ alkyl chain, a C₂ to C₆ alkenyl chain or a C₂ to C₆ alkynyl chain, each of which may be substituted by one or two or more substituents and may be branched, and the substituent may be selected from a C₁ to C₄ alkyloxycarbonyl group, a C₁ to C₄ haloalkyl group, a C₁ to C₄ alkoxy(C₁ to C₄)alkyl group, a C₁ to C₄ alkylthio group, Ar (Ar has the same meaning as above), -L¹-Ar (Ar and L¹ have the same meanings as above), Het (Het has the same meaning as above) and -L¹-Het (Het and L¹ have the same meanings as above),
- 55 -L³-S-D⁵² in which D⁵² and L³ have the same meanings as above,
- L³-C(O)-D⁵² in which D⁵² and L³ have the same meanings as above,
- L³-C(S)-D⁵² in which D⁵² and L³ have the same meanings as above,

- L³-C(O)O-D⁵² in which D⁵² and L³ have the same meanings as above,
- O-L³-C(O)O-D⁵² in which D⁵² and L³ have the same meanings as above,
- L³-C(O)S-D⁵² in which D⁵² and L³ have the same meanings as above,
- O-L³-C(O)S-D⁵² in which D⁵² and L³ have the same meanings as above,
- C(O)-ND⁵²D⁵³ in which D⁵² has the same meaning as above, and D⁵³ represents a hydrogen atom, a C₁ to C₈ alkyl group,
- a C₁ to C₈ haloalkyl group, a C₁ to C₆ alkoxy group, a C₁ to C₆ alkylcarbonyl group, a C₁ to C₆ haloalkylcarbonyl group,
- a C₁ to C₆ alkoxy carbonyl group, a C₁ to C₆ alkylsulfonyl group, a C₁ to C₆ haloalkylsulfonyl group, Ar (Ar has the same meaning as above), -L¹-Ar group (Ar and L¹ have the same meanings as above), -C-(O)-Ar (Ar has the same meaning as above), -C(S)-Ar (Ar has the same meaning as above) or -SO₂-Ar (Ar has the same meaning as above), and alternatively D⁵² and D⁵³ together with a nitrogen atom to which they are attached may form a 5- to 7-membered ring, and the ring constituent atoms are selected from a carbon atom, a nitrogen atom, an oxygen atom and a sulfur atom,
- C(S)-ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
- L³-C(O)-ND⁵²D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
- L³-C(S)-ND⁵²D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
- SO₂-ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
- SO₂O-D⁵³ in which D⁵³ has the same meanings as above, -SO₂O-Si(CH₃)₃,
- SO₂-L¹-Si(CH₃)₃ in which L¹ has the same meaning as above, -SO₂CH₂SO₂CH₃,
- P(O)(OD⁵²)(OD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
- P(O)(OD⁵²)(SD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
- P(O)(OD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
- P(O)(SD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
- P(S)(OD⁵²)(OD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
- P(S)(OD⁵²)(SD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
- P(S)(OD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
- P(S)(SD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
- L³-P(O)(OD⁵²)(OD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
- L³-P(O)(OD⁵²)(SD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
- L³-P(O)(OD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
- L³-P(O)(SD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
- L³-P(S)(OD⁵²)(OD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
- L³-P(S)(OD⁵²)(SD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
- L³-P(S)(OD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
- L³-P(S)(SD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
- C(D⁵³)(P(O)(OD⁵²))₂ in which D⁵² and D⁵³ have the same meanings as above,
- =CD⁵²D⁵⁴ in which D⁵² has the same meaning as above, and D⁵⁴ represents a hydrogen atom, a halogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ alkoxy group, a C₁ to C₆ alkylthio group or Ar (Ar has the same meaning as above),
- L³-CN in which L³ has the same meaning as above,
- ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
- CH=N-O-D⁵² in which D⁵² has the same meaning as above,
- =CD⁵⁴-ND⁵²D⁵³ in which D⁵², D⁵³ and D⁵⁴ have the same meanings as above,
- L²-D⁵⁵ in which L² has the same meaning as above, and D⁵⁵ represents a C₁ to C₆ alkyl group, a C₂ to C₆ alkenyl group or a C₂ to C₆ alkynyl group each of which may be substituted by one or two or more of a C₁ to C₆ haloalkyl group or one or two or more of a C₁ to C₄ alkoxy carbonyl group and may be branched, or
- L¹-SO₂-D⁵⁶ in which L¹ has the same meaning as above, and D⁵⁶ represents a C₁ to C₆ alkyl group; and alternatively D_a and D_b together with a nitrogen atom to which they are attached may form a 3- to 8-membered ring and the ring constituent atoms are selected from a carbon atom, a nitrogen atom, an oxygen atom, a sulfur atom and a phosphorus atom, and the ring may be substituted:
- provided that except for the case where D_a and D_b both represent hydrogen atoms, the case where D_a represents -L²-D⁵² (L² represents -SO₂-, and D⁵² represents the C₁ to C₄ alkyl group or the C₁ to C₃ haloalkyl group) and D_b represents the hydrogen atom, the C₁ to C₄ alkyl group, the C₂ to C₆ alkenyl group, the C₃ to C₅ alkynyl group, the C₂ to C₅ acyl group, the C₁ to C₄ alkylsulfonyl group or a (C₁ to C₃ alkoxy) C₁ to C₂ alkyl group are excluded.

2. An uracil derivative according to Claim 1, which is represented by the formula (1):



in which

- 15 R¹ represents a hydrogen atom, a C₁ to C₃ alkyl group or a C₁ to C₃ haloalkyl group;
 R² represents a C₁ to C₆ haloalkyl group;
- 20 R³ represents a hydrogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ haloalkyl group, a hydroxymethyl group, a halogen atom or a nitro group;
 R⁴ represents a hydrogen atom or a halogen atom;
- 25 R⁵ represents a hydrogen atom, a halogen atom, a nitro group or a cyano group;
 X represents an oxygen atom or a sulfur atom;
- 30 D_a and D_b each independently represents a hydrogen atom, a C₁ to C₈ alkyl group, a C₁ to C₆ haloalkyl group, a C₃ to C₈ cycloalkyl group, a C₃ to C₈ cycloalkyl(C₁ to C₄)alkyl group, a C₂ to C₈ alkenyl group, a C₃ to C₈ alkynyl group, a C₂ to C₈ haloalkenyl group, a C₃ to C₈ haloalkynyl group, a C₃ to C₈ halocycloalkyl group, a C₃ to C₈ halocycloalkyl(C₁ to C₄)alkyl group, CH(OH)CCl₃, Ar in which Ar represents a phenyl group or a naphthyl group each of which may be substituted by one or two or more substituents and the substituent may be selected from a C₁ to C₄ alkyl group, a C₃ to C₆ cycloalkyl group, a C₁ to C₄ haloalkyl group, a C₃ to C₆ halocycloalkyl group, a halogen atom, a cyano group, a nitro group, a hydroxy group, a C₁ to C₄ alkoxy group, a C₁ to C₄ haloalkoxy group, a C₁ to C₄ alkylcarbonyl group, a carboxyl group, a C₁ to C₄ alkoxy carbonyl group, an amino group, a C₁ to C₄ alkylamino group, an acetamino group, a N,N-dimethylamino group and a methanesulfonyl group, -L¹-Ar in which Ar has the same meaning as above, and L¹ represents a C₁ to C₆ alkyl chain, a C₂ to C₆ alkenyl chain or a C₂ to C₆ alkynyl chain each of which may be branched, Het in which Het represents a 5-membered heterocyclic residue, a 6-membered heterocyclic residue or a fused heterocyclic residue each of which contains, as a ring constituent atom, at least one atom selected from a sulfur atom, an oxygen atom and a nitrogen atom and may be substituted by one or two or more substituents, and the substituent may be selected from a C₁ to C₄ alkyl group, a C₃ to C₆ cycloalkyl group, a C₁ to C₄ haloalkyl group, a C₃ to C₆ halocycloalkyl group, a halogen atom, a cyano group, a nitro group, a hydroxy group, a C₁ to C₄ alkoxy group, a C₁ to C₄ haloalkoxy group, a C₁ to C₄ alkylcarbonyl group, a carboxyl group, a C₁ to C₄ alkoxy carbonyl group, an amino group, a C₁ to C₄ alkylamino group, an acetamino group, a N,N-dimethylamino group and a methanesulfonyl group, -L¹-Het in which Het and L¹ have the same meanings as above, -L²-D⁵² in which D⁵² represents a hydrogen atom, a C₁ to C₂₀ alkyl group, a C₁ to C₂₀ haloalkyl group, a C₃ to C₈ cycloalkyl group, a C₃ to C₈ cycloalkyl(C₁ to C₄)alkyl group, a C₂ to C₈ alkenyl group, a C₃ to C₈ alkynyl group, a C₂ to C₈ haloalkenyl group, a C₃ to C₈ haloalkynyl group, a C₃ to C₈ halocycloalkyl group, a C₃ to C₈ halocycloalkyl(C₁ to C₄)alkyl group, a C₁ to C₄ alkoxy(C₁ to C₄)alkyl group, Ar (Ar has the same meaning as above), -L¹-Ar group (Ar and L¹ have the same meanings as above), Het (Het has the same meaning as above) or -L¹-Het (Het and L¹ have the same meanings as above), and L² represents -C(O)-, -C(S)-, -SO₂-, -S(O)-, -S-, -O-, -C(O)O-, -C(O)S-, -C(S)O-, -C(S)S- or -C(O)C(O)O-, -L³-O-D⁵² in which D⁵² has the same meaning as above, and L³ represents a C₁ to C₆ alkyl chain, a C₂ to C₆ alkenyl chain or a C₂ to C₆ alkynyl chain each of which may be substituted by one or two or more substituents and may be branched and the substituent may be selected from a C₁ to C₄ alkoxy carbonyl group, a C₁ to C₄ haloalkyl group, a C₁ to C₄ alkoxy(C₁ to C₄)alkyl group, a C₁ to C₄ alkylthio group, Ar (Ar has the same meaning as above), -L¹-Ar group (Ar and L¹ have the same meanings as above), Het (Het has the same meaning as above) and -L¹-Het (Het and L¹ have the same meanings as above),

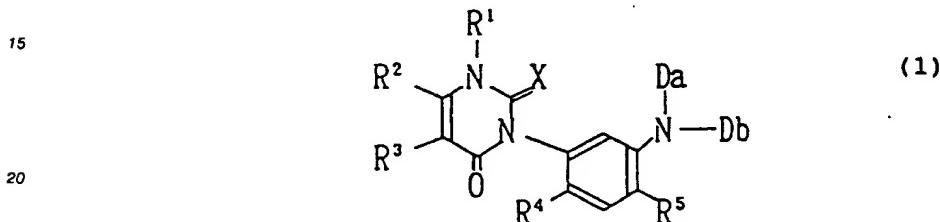
EP 0 563 384 A1

-L³-S-D⁵² in which D⁵² and L³ have the same meanings as above,
 -L³-C(O)-D⁵² in which D⁵² and L³ have the same meanings as above,
 -L³-C(S)-D⁵² in which D⁵² and L³ have the same meanings as above,
 -L³-C(O)O-D⁵² in which D⁵² and L³ have the same meanings as above,
 5 -O-L³-C(O)O-D⁵² in which D⁵² and L³ have the same meanings as above,
 -L³-C(O)S-D⁵² in which D⁵² and L³ have the same meaning as above,
 -O-L³-C(O)S-D⁵² in which D⁵² and L³ have the same meanings as above,
 -C(C)-ND⁵²D⁵³ in which D⁵² has the same meaning as above, and D⁵³ represents a hydrogen atom, a
 C₁ to C₈ alkyl group,
 10 a C₁ to C₈ haloalkyl group, a C₁ to C₆ alkoxy group, a C₁ to C₆ alkylcarbonyl group, a C₁ to C₆
 haloalkylcarbonyl group,
 a C₁ to C₆ alkoxy carbonyl group, a C₁ to C₆ alkylsulfonyl group, a C₁ to C₆ haloalkylsulfonyl group, Ar
 (Ar has the same meaning as above), -L¹-Ar group (Ar and L¹ have the same meanings as above), -C-
 15 (O)-Ar (Ar has the same meaning as above), -C(S)-Ar (Ar has the same meaning as above) or -SO₂-Ar
 (Ar has the same meaning as above), and alternatively D⁵² and D⁵³ together with a nitrogen atom to
 which they are attached may form a 5- to 7-membered ring, and ring constituent atoms are selected
 from a carbon atom, a nitrogen atom, an oxygen atom and a sulfur atom,
 -C(S)-ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 -L³-C(O)-ND⁵²D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 20 -L³-C(S)-ND⁵²D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 -SO₂-ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 -SO₂O-D⁵³ in which D⁵³ has the same meaning as above,
 -SO₂O-Si(CH₃)₃,
 -SO₂-L¹-Si(CH₃)₃ in which L¹ has the same meaning as above,
 25 -SO₂CH₂SO₂CH₃,
 -P(O)(OD⁵²)(OD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
 -P(O)(OD⁵²)(SD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
 -P(O)(OD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 30 -P(O)(SD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 -P(S)(OD⁵²)(OD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
 -P(S)(OD⁵²)(SD⁵³) in which D⁵² and D⁵³ have the same meanings as above,
 -P(S)(OD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 35 -P(S)(SD⁵²)D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 -L³-P(O)(OD⁵²)(OD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(O)(OD⁵²)(SD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(O)(OD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(O)(SD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 40 -L³-P(S)(OD⁵²)(OD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(S)(OD⁵²)(SD⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(S)(OD⁵²)(D⁵³) in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(S)(OD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 -L³-P(S)(SD⁵²)D⁵³ in which D⁵², D⁵³ and L³ have the same meanings as above,
 -C(D⁵³)(P(O)(OD⁵²)₂) in which D⁵² and D⁵³ have the same meanings as above,
 =CD⁵²D⁵⁴ in which D⁵² has the same meaning as above, and D⁵⁴ represents a hydrogen atom, a
 45 halogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ alkoxy group, a C₁ to C₆ alkylthio group or Ar (Ar has
 the same meaning as above),
 -L³-CN in which L³ has the same meaning as above,
 -ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above,
 -CH=N-O-D⁵² in which D⁵² has the same meaning as above,
 50 =CD⁵⁴-ND⁵²D⁵³ in which D⁵², D⁵³ and D⁵⁴ have the same meanings as above,
 -L²-D⁵⁵ in which L² has the same meaning as above, and D⁵⁵ represents a C₁ to C₆ alkyl group, a C₂
 to C₆ alkenyl group or a C₂ to C₆ alkynyl group each of which may be substituted by one or two or
 more of a C₁ to C₆ haloalkyl group or one or two or more of a C₁ to C₄ alkoxy carbonyl group and
 may be branched, or
 -L¹-SO₂-D⁵⁶ in which L¹ has the same meaning as above, and D⁵⁶ represents a C₁ to C₆ alkyl group;
 55 and alternatively D_a and D_b may form a 3- to 8-membered ring and the ring constituent atoms are
 selected from a carbon atom, a nitrogen atom, an oxygen atom, a sulfur atom and a phosphorus atom,
 and the ring may be substituted by one or two or more of a substituent, and the substituent may be
 selected from a C₁ to C₄ alkyl group, a C₃ to C₆ cycloalkyl group, a C₁ to C₄ haloalkyl group, a C₃ to

C_6 halocycloalkyl group, a halogen atom, a cyano group, a nitro group, a hydroxy group, a C_1 to C_4 alkoxy group, a C_1 to C_4 haloalkoxy group, a C_1 to C_4 alkylcarbonyl group, a carboxyl group, a C_1 to C_4 alkoxy carbonyl group, an amino group, a C_1 to C_4 alkylamino group, an acetamino group, a N,N -dimethylamino group and a methanesulfonyl group:

5 provided that except for the case where D_a and D_b both represent hydrogen atoms, the case where D_a represents $-L^2-D^{52}$ (L^2 represents $-SO_2-$, and D^{52} represents the C_1 to C_4 alkyl group or the C_1 to C_4 haloalkyl group) and D_b represents the hydrogen atom, the C_1 to C_4 alkyl group, the C_2 to C_5 alkenyl group, the C_3 to C_5 alkynyl group, the C_2 to C_5 acyl group, the C_1 to C_4 alkylsulfonyl group or the (C_1 to C_3 alkoxy) C_1 to C_2 alkyl group are excluded.

10 3. An uracil derivative according to Claim 1, which is represented by the formula (1):



in which

- 25 R^1 represents a methyl group;
 R^2 represents a trifluoromethyl group;
 R^3 represents a hydrogen atom;
 R^4 represents a hydrogen atom or a halogen atom;
 R^5 represents a halogen atom;

30 X represents an oxygen atom;
 D_a and D_b each independently represents a hydrogen atom, a C_1 to C_6 alkyl group, a C_3 to C_6 alkenyl group, a C_3 to C_6 alkynyl group,
 $-L^1-Ar$ in which L^1 represents a C_1 to C_6 alkyl chain, a C_2 to C_6 alkenyl chain or a C_2 to C_6 alkynyl chain each of which may be branched, and Ar represents a phenyl group or a naphthyl group each of which may be substituted by one or two or more of a substituent, and the substituent may be selected from a C_1 to C_4 alkyl group, a C_1 to C_4 haloalkyl group, a halogen atom, a nitro group, a C_1 to C_4 alkoxy group and a C_1 to C_4 alkoxy carbonyl group,
 Het in which Het represents a pyridine ring, a thiophene ring and a furan ring,
 $-L^1-Het$ in which Het and L^1 have the same meanings as above,

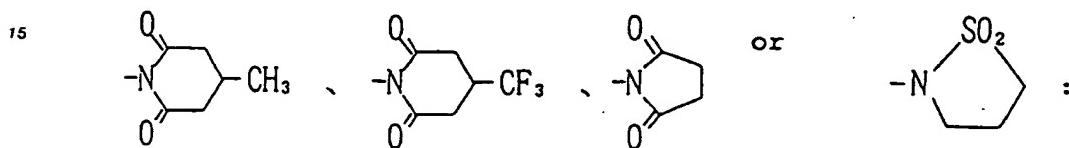
40 $-L^2-D^{52}$ in which D^{52} represents a hydrogen atom, a C_1 to C_6 alkyl group, a C_1 to C_6 haloalkyl group, a C_3 to C_8 cycloalkyl group, a C_3 to C_8 cycloalkyl(C_1 to C_2)alkyl group, a C_3 to C_6 alkenyl group, a C_3 to C_6 alkynyl group, a C_1 to C_4 alkoxy(C_1 to C_4)alkyl group, Ar (Ar has the same meaning as above), $-L^1-Ar$ (Ar and L^1 have the same meanings as above), Het (Het has the same meaning as above) or $-L^1-Het$ (Het and L^1 have the same meanings as above), and L^2 represents $-C(O)-$, $-C(S)-$, $-SO_2-$, $-S-$, $-C(O)O-$, $-C(O)S-$, $-C(S)O-$, $-C(S)S-$ or $-C(O)C(O)O-$,

45 $-L^3-O-D^{52}$ in which D^{52} has the same meaning as above, and L^3 represents a C_1 to C_6 alkyl chain, a C_2 to C_6 alkenyl chain or a C_2 to C_6 alkynyl chain each of which may be substituted by one or two or more of a C_1 to C_4 haloalkyl group or a C_1 to C_4 alkoxy carbonyl group and may be branched,
 $-L^3-C(O)-D^{52}$ in which D^{52} and L^3 have the same meanings as above,

50 $-C(O)-ND^{52}D^{53}$ in which D^{52} has the same meaning as above, and D^{53} represents a hydrogen atom, a C_1 to C_6 alkyl group, a C_1 to C_6 alkoxy group, a C_1 to C_4 alkylsulfonyl group, Ar (Ar has the same meaning as above) or $-L^1-Ar$ group (Ar and L^1 have the same meanings as above), and alternatively D^{52} and D^{53} together with a nitrogen atom to which they are attached may form a 5- or 6-membered ring,
 $-C(S)-ND^{52}D^{53}$ in which D^{52} and D^{53} have the same meanings as above,

55 $-L^3-C(O)-ND^{52}D^{53}$ in which D^{52} , D^{53} and L^3 have the same meanings as above,
 $-L^3-C(S)-ND^{52}D^{53}$ in which D^{52} , D^{53} and L^3 have the same meanings as above,
 $-P(O)(OD^{52})(OD^{53})$ in which D^{52} and D^{53} have the same meanings as above,
 $-L^3-P(O)(OD^{52})(OD^{53})$ in which D^{52} , D^{53} and L^3 have the same meanings as above,

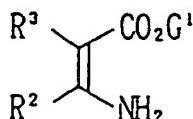
-L³-CN in which L³ has the same meaning as above,
=CD⁵⁴-ND⁵²D⁵³ in which D⁵² and D⁵³ have the same meanings as above, and D⁵⁴ represents a hydrogen atom, a halogen atom, a C₁ to C₆ alkyl group, a C₁ to C₆ alkoxy group, a C₁ to C₄ alkylthio group or Ar (Ar has the same meaning as above),
5 -L²-D⁵⁵ in which L² has the same meaning as above, and D⁵⁵ represents a C₁ to C₆ alkyl group, a C₂ to C₆ alkenyl group or a C₂ to C₆ alkynyl group each of which may be substituted by one or two or more of a C₁ to C₄ haloalkyl group or one or two or more of a C₁ to C₄ alkyloxycarbonyl group and may be branched, or
10 -L¹-SO₂-D⁵⁶ in which L¹ has the same meaning as above, and D⁵⁶ represents a C₁ to C₄ alkyl group; and alternatively D_a and D_b together with a nitrogen atom to which they are attached may form a ring represented by



provided that except for the case where D_a and D_b both represent hydrogen atoms, the case where D_a represents -L²-D⁵² (L² represents -SO₂-, and D⁵² represents the C₁ to C₄ alkyl group or the C₁ to C₃ haloalkyl group), and D_b represents a hydrogen atom, a C₁ to C₄ alkyl group, a C₂ to C₅ alkenyl group, a C₃ to C₅ alkynyl group, a C₂ to C₅ acyl group, a C₁ to C₄ alkylsulfonyl group or a (C₁ to C₃ alkoxy)C₁ to C₂ alkyl group are excluded.

- 25
- 4. A herbicide comprising the uracil derivative as defined in Claim 1 as an effective ingredient.
 - 30 5. A herbicide comprising the uracil derivative as defined in Claim 2 as an effective ingredient.
 - 6. A herbicide comprising the uracil derivative as defined in Claim 3 as an effective ingredient.
 - 7. A method for killing weeds and inhibiting their growth, which comprises applying the uracil derivative as defined in Claim 1 in an amount effective for killing the weeds.
 - 35 8. A method for killing weeds and inhibiting their growth which comprises applying the uracil derivative as defined in Claim 2 in an amount effective for killing the weeds.
 - 9. A method for killing weeds and inhibiting their growth which comprises applying the uracil derivative as defined in Claim 3 in an amount effective for killing the weeds.
 - 40 10. A process for preparing the uracil derivative as defined in Claim 1, which comprises reacting a β -acrylate ester represented by the formula (5):

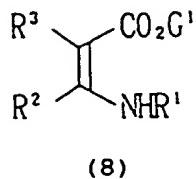
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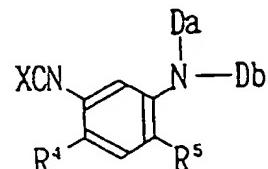
- 55 in which G¹ represents a C₁ to C₄ alkyl group or an N-alkyl- β -acrylate ester represented by the formula (8):

5



10 with a phenyliso(thio)cyanate represented by the formula (6):

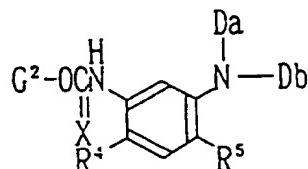
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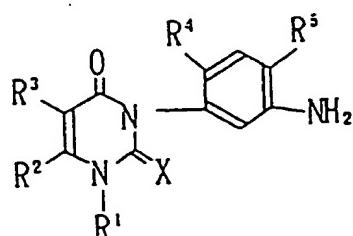
in which G^2 represents a C_1 to C_4 alkyl group or a phenyl group,
and, if necessary, reacting the resulting product with an alkylating agent.

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11. A process for preparing the uracil derivative as defined in Claim 1, which comprises reacting an aminoaryl uracil compound represented by the formula (9):

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(9)

with a D_a -halogen compound, and then reacting the resulting product with a D_b -halogen compound.

INTERNATIONAL SEARCH REPORT

International Application No. PCT/JP91/01716

I. CLASSIFICATION OF SUBJECT MATTER (If several classification symbols apply, indicate all)

According to International Patent Classification (IPC) or to both National Classification and IPC

Int. Cl⁵

C07D239/54, 239/553, 239/56, 401/10, 401/12, 403/10, 409/12,
417/10, C07F7/10, C07F9/6512, A01N43/54, 43/80, 47/02, 47/04,

II. FIELDS SEARCHED

Classification System	Minimum Documentation Searched*	
		Classification Symbols
IPC	C07D239/54, 239/553, 239/56, 401/10, 401/12, 403/10 409/12, 417/10, C07F7/10, C07F9/6512, A01N43/54, 43/80, 47/02-04, 47/20, 47/30, 55/00, 57/24, 57/32	
Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched*		

III. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of Document, ** with indication, where appropriate, of the relevant passages***	Relevant to Claim No.**
A	JP, A, 61-221178 (F. Hoffmann - La Roche AG); October 1, 1986 (01. 10. 86), & US, A, 4746352 & US, A, 4760163 & EP, A, 195346	1-10
A	JP, A, 63-41466 (F. Hoffmann - La Roche AG), February 22, 1988 (22. 02. 88), & US, A, 4859229 & EP, A, 255047	1-10
A	JP, A, 63-107967 (F. Hoffmann - La Roche AG), May 12, 1988 (12. 05. 88), & US, A, 481264 & EP, A, 260621	1-10

* Special categories of cited documents:

- "A" document defining the general state of the art which is not considered to be of particular relevance
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- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step
- "--" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- "&" document member of the same patent family

IV. CERTIFICATION

Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report
March 24, 1992 (24. 03. 92)	April 14, 1992 (14. 04. 92)
International Searching Authority Japanese Patent Office	Signature of Authorized Officer